09/ 574,740

Trying 3106016892...Open

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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Dec 17	The CA Lexicon available in the CAPLUS and CA files
NEWS 3	Feb 06	Engineering Information Encompass files have new names
NEWS 4	Feb 16	TOXLINE no longer being updated
NEWS 5	Apr 23	Search Derwent WPINDEX by chemical structure
NEWS 6	Apr 23	PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
NEWS 7	May 07	DGENE Reload
NEWS 8	Jun 20	Published patent applications (A1) are now in USPATFULL
NEWS 9	JUL 13	New SDI alert frequency now available in Derwent's DWPI and DPCI
NEWS 10	Aug 23	In-process records and more frequent updates now in MEDLINE
NEWS 11	Aug 23	PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
NEWS 12	Aug 23	Adis Newsletters (ADISNEWS) now available on STN
NEWS 13	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH
NEWS 14	Oct 09	Korean abstracts now included in Derwent World Patents Index
NEWS 15	Oct 09	Number of Derwent World Patents Index updates increased
NEWS 16	Oct 15	Calculated properties now in the REGISTRY/ZREGISTRY File
NEWS 17	Oct 22	Over 1 million reactions added to CASREACT
NEWS 18	Oct 22	DGENE GETSIM has been improved
NEWS 19	Oct 29	AAASD no longer available
NEWS 20	Nov 19	New Search Capabilities USPATFULL and USPAT2
NEWS 21	Nov 19	TOXCENTER(SM) - new toxicology file now available on STN
NEWS 22	Nov 29	COPPERLIT now available on STN
NEWS 23	Nov 29	DWPI revisions to NTIS and US Provisional Numbers
NEWS 24	Nov 30	Files VETU and VETB to have open access
NEWS 25	Dec 10	WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
NEWS 26	Dec 10	DGENE BLAST Homology Search
NEWS 27	Dec 17	WELDASEARCH now available on STN
NEWS 28	Dec 17	STANDARDS now available on STN
NEWS 29	Dec 17	New fields for DPCI
NEWS 30	Dec 19	CAS Roles modified
NEWS 31	Dec 19	1907-1946 data and page images added to CA and CAplus

NEWS EXPRESS	August 15 CURRENT WINDOWS VERSION IS V6.0c, CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP), AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

09/ 574,740

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:46:01 ON 08 JAN 2002

=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.15	0.15

FILE 'REGISTRY' ENTERED AT 13:46:09 ON 08 JAN 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

STRUCTURE FILE UPDATES: 7 JAN 2002 HIGHEST RN 380827-91-8
DICTIONARY FILE UPDATES: 7 JAN 2002 HIGHEST RN 380827-91-8

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

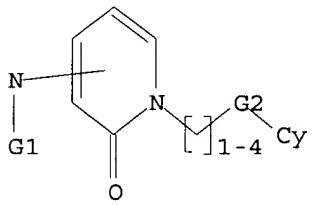
Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09574740.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,OH

G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 13:46:42 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 19012 TO ITERATE

5.3% PROCESSED 1000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

09/ 574,740

SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 372012 TO 388468
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 13:46:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 382093 TO ITERATE

100.0% PROCESSED 382093 ITERATIONS 247 ANSWERS
SEARCH TIME: 00.00.35

L3 247 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 140.54 140.69

FILE 'CAPLUS' ENTERED AT 13:47:34 ON 08 JAN 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 8 Jan 2002 VOL 136 ISS 2
FILE LAST UPDATED: 7 Jan 2002 (20020107/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAplus now provides online access to patents and literature covered in CA from 1907 to the present. Bibliographic information and abstracts were added in 2001 for over 3.8 million records from 1907-1966.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

=> s l3
L4 35 L3

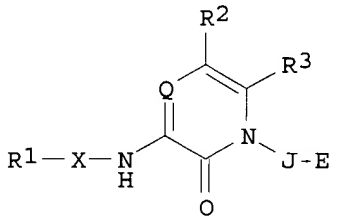
=> d l4 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 35 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:780941 CAPLUS
DOCUMENT NUMBER: 135:331445
TITLE: Preparation of pyrazinone and pyridinone derivatives as thrombin inhibitors
INVENTOR(S): Semple, Joseph Edward; Araldi, Gian Luca
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: PCT Int. Appl., 241 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079262	A1	20011025	WO 2001-US12338	20010413

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-549453 A 20000414
OTHER SOURCE(S): MARPAT 135:331445
GI



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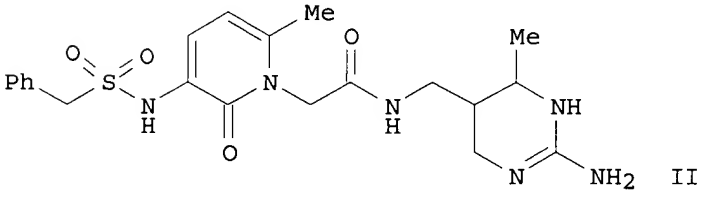


II

AB The present invention provides compds. represented by formula (I) which have a pyrazinone or pyridinone ring at P3 and which feature a six member heterocyclic ring having two ring nitrogen atoms and the remainder of the ring atoms carbon atoms at P1 [wherein X = SO2, (un)substituted NHSO2 or

NHCO, CO, O2C, direct link; R1 = (un)substituted C1-12 alkyl, C3-8 cycloalkyl-C1-6 alkyl, C3-15 cycloalkyl, C4-10 heterocycloalkyl, C4-10 heterocyclyl, C2-6 alkenyl (optionally substituted by (un)substituted C3-8 cycloalkyl), C6-14 aryl, C5-14 heteroaryl, C7-15 aralkyl, C5-14 heteroaralkyl, etc.; R2 = H, halo, C1-6 alkyl; R3 = H, C1-6 alkyl, C3-7 cycloalkyl, C1-5 alkoxy, CF3; Q = N, (un)substituted CH; J = CHR6CONHCHR7, Q1, trans-CHR6CH:CHCHR7, Q2; q = 0,1; R6 = H, C1-3 alkyl; R6a, R6b = H, F, Cl, C1-3 alkyl; R7 = H, C1-3 alkyl, COR7a, CH2OR7b (R7a = C1-6 alkyl or alkoxy, NH2, C1-6 alkylamino, C2-16 dialkylamino; R7b = H, acyl, C1-6 alkyl; Q7, Q8, Q9 = (un)substituted CH, N, S, O); E = a (un)substituted 6-membered heterocyclyl having 2 ring N atoms and carbons atoms as the remainder of the ring atoms which is substituted with (un)substituted NH2 on a ring carbon atom.] and pharmacol. acceptable salts thereof. These compds. have biol. activity as active and potent inhibitors of thrombin. Their pharmaceutically acceptable salts, pharmaceutical compns. thereof and methods of using these compds. and pharmaceutical compns. comprising these compds. as therapeutic agents for treatment of disease states in mammals which are characterized by abnormal thrombosis are also described. Thus, 2-amino-5-aminomethyl-4-methyl-3,4,5,6-tetrahydropyrimidine (prepn. given) was condensed with 3-(benzylsulfonylamino)-6-methyl-2-oxo-1,2-dihydropyridine-1-acetic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, HOBt, and N-Methylmorpholine in THF at room temp. for 16 h to give N-[(2-amino-4-methyl-3,4,5,6-tetrahydropyrimidin-5-yl)methyl]-3-(benzylsulfonylamino)-6-methyl-2-oxo-1,2-dihydropyridine-1-acetamide (II). The compds. I of the present invention exhibited the high degree of specificity for the inhibition of .alpha.-thrombin compared to other related serine proteases. For example, II in vitro showed IC50 of .ltoreq.100 nM against thrombin fIIa while it was inactive against factor Xa and trypsin.

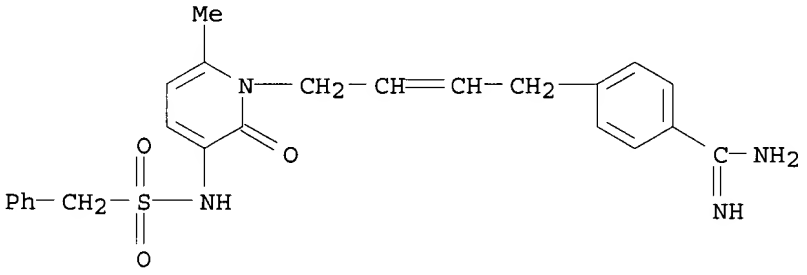
IT **369638-63-1P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pyrazinone and pyridinone derivs. as thrombin inhibitors for treatment of abnormal thrombosis)

RN 369638-63-1 CAPLUS

CN Benzenecarboximidamide, 4-[4-[6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]-2-butenyl]- (9CI) (CA INDEX NAME)



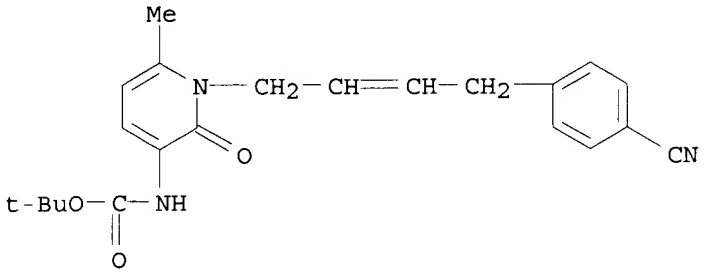
IT **369638-60-8P 369638-61-9P 369638-62-0P 369638-64-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

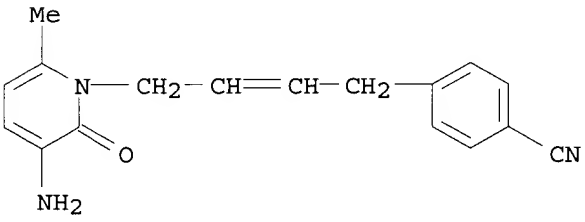
(pyrazinone and pyridinone derivs. as thrombin inhibitors for treatment of abnormal thrombosis)

RN 369638-60-8 CAPLUS

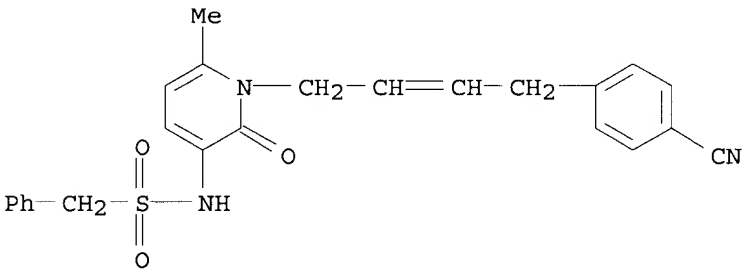
CN Carbamic acid, [1-[4-(4-cyanophenyl)-2-butenyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



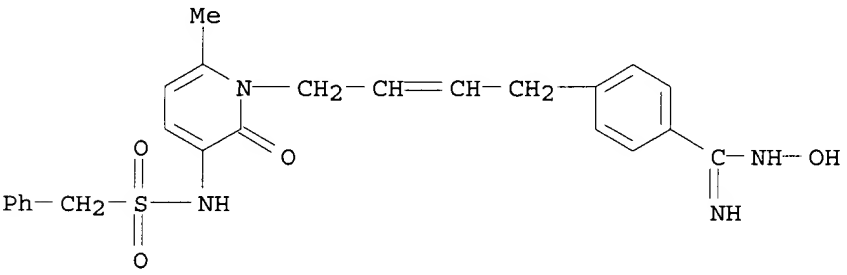
RN 369638-61-9 CAPLUS
CN Benzonitrile, 4-[4-(3-amino-6-methyl-2-oxo-1(2H)-pyridinyl)-2-butenyl]- (9CI) (CA INDEX NAME)



RN 369638-62-0 CAPLUS
CN Benzenemethanesulfonamide, N-[1-[4-(4-cyanophenyl)-2-butenyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 369638-64-2 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-4-[4-[6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]-2-butenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6
REFERENCE(S):
(1) Ardecky, R; WO 9746207 A 1997 CAPLUS
(2) Choi, S; WO 9962904 A 1999 CAPLUS
(3) Dorsey, B; WO 9911267 A 1999 CAPLUS
(4) Naylor, O; WO 9701338 A 1997 CAPLUS
(5) Naylor, O; WO 9961442 A 1999 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:780877 CAPLUS
DOCUMENT NUMBER: 135:331444
TITLE: Preparation of pyrazinone or pyridinone derivative as novel non-covalent thrombin inhibitors
INVENTOR(S): Semple, Joseph Edward; Cui, Jingjong Jean; Ho, Jonathan Zhangi; Levy, Odile Esther; Araldi, Gian Luca
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: PCT Int. Appl., 272 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079195	A2	20011025	WO 2001-US12276	20010413

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-549452 A 20000414
US 2000-550093 A 20000414
OTHER SOURCE(S): MARPAT 135:331444
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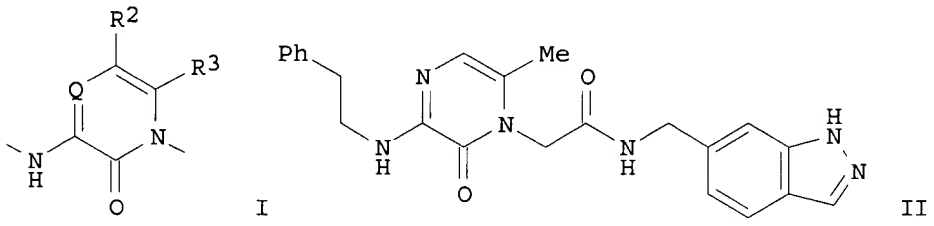


AB The title compds. R1XDJE [I; X = SO2, CO, OCO, etc.; R1 = alkyl, cycloalkyl, heterocyclyl, etc.; D = II (R2 = H, halo, alkyl; R3 = H, alkyl, cycloalkyl, etc.; Q = N, CR4; R4 = H, alkyl, OH, etc.); J = CHR6CONHCHR7, CHR6CH:CHCHR7, etc. (R6 = H, alkyl; R7 = H, alkyl, etc.); E = (un)substituted 4-amidinophenyl, 1-amidinopiperidin-4-yl, etc.] which have biol. activity as active and potent inhibitors of thrombin (biol. data given), and therefore are useful as therapeutic agents for treatment of disease states in mammals which are characterized by abnormal thrombosis, were prepd. E.g., a multi-step synthesis of the pyrazinone III was given.

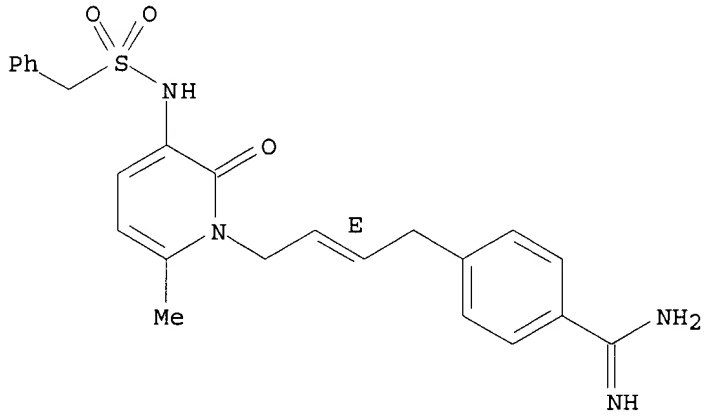
IT **369383-76-6P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazinone or pyridinone deriv. as novel non-covalent thrombin inhibitors)

RN 369383-76-6 CAPLUS

CN Benzenecarboximidamide, 4-[(2E)-4-[6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]-2-butenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



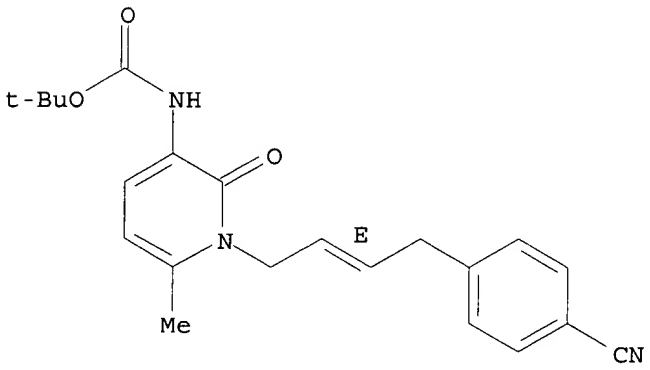
IT **369384-03-2P 369384-04-3P 369384-05-4P 369384-06-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of pyrazinone or pyridinone deriv. as novel non-covalent thrombin inhibitors)

RN 369384-03-2 CAPLUS

CN Carbamic acid, [1-[(2E)-4-(4-cyanophenyl)-2-butenyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

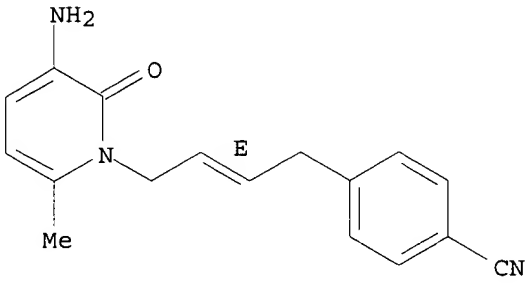
Double bond geometry as shown.



RN 369384-04-3 CAPLUS

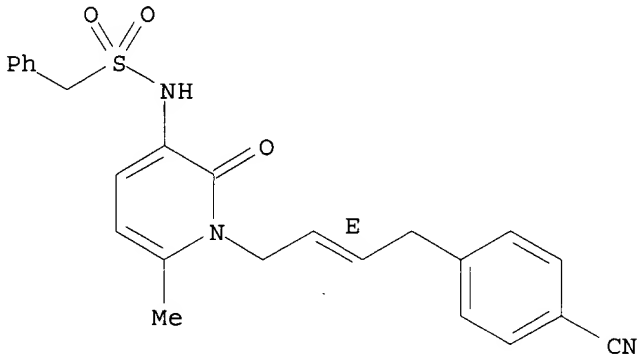
CN Benzonitrile, 4-[(2E)-4-(3-amino-6-methyl-2-oxo-1(2H)-pyridinyl)-2-butenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



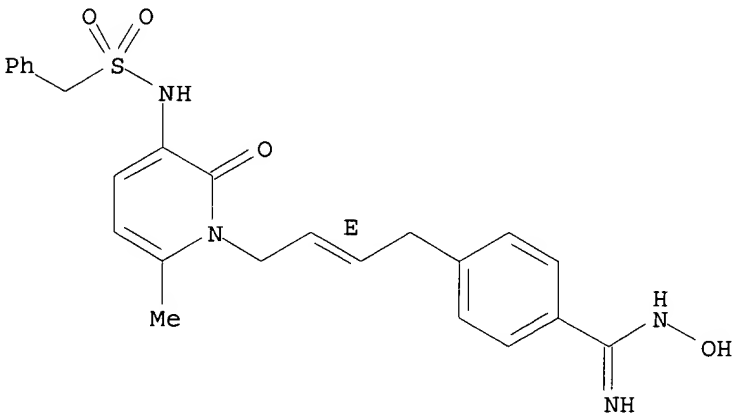
RN 369384-05-4 CAPLUS
CN Benzenemethanesulfonamide, N-[1-[(2E)-4-(4-cyanophenyl)-2-butenyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 369384-06-5 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-4-[(2E)-4-[6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]-2-butenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:416905 CAPLUS
DOCUMENT NUMBER: 135:33649
TITLE: Preparation of pyridonylacetamide peptide analogs as

09/ 574,740

antipicornaviral agents.
INVENTOR(S): Dragovich, Peter S.; Prins, Thomas J.; Zhou, Ru; Johnson, Theodore O., Jr.
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040189	A1	20010607	WO 2000-US32621	20001201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2001047006	A1	20011129	US 2000-726376	20001201

PRIORITY APPLN. INFO.: US 1999-168986 P 19991203
US 2000-192052 P 20000324

OTHER SOURCE(S): MARPAT 135:33649
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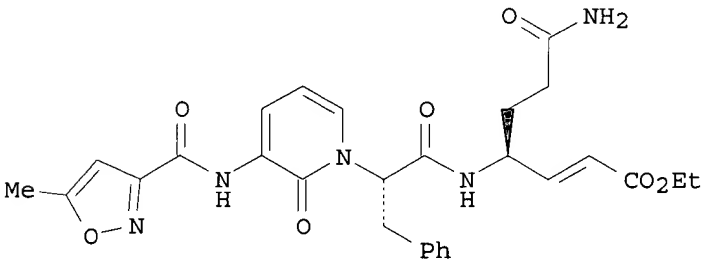


I

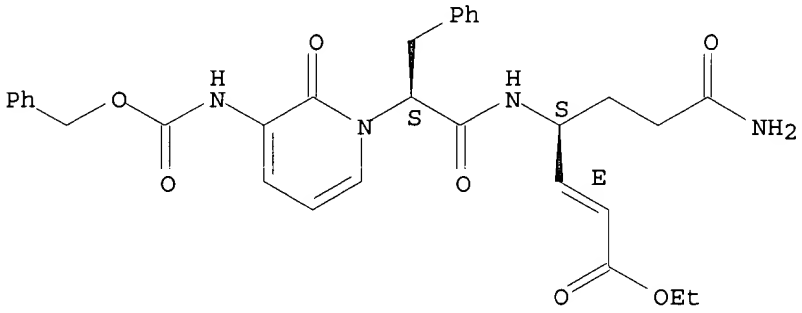
AB RaCONReCRbRcCRd:CZZ1 [Ra = (substituted) heterocycloalkyl, heterocycloalkylalkyl; Rb = specified (substituted) oxo(hetero)cyclylmethyl; Rc = H, halo, (substituted) alkyl; Rd = H, halo, OH, (substituted) alkyl, alkoxy, alkylthio; Re = H, (substituted) alkyl; Z, Z1 = H, F, (substituted) alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.; ZRd, ZZ1 = atoms to form a cycloalkyl, heterocycloalkyl group], were prepd. Thus, Et trans-(2'S,4S)-4-[2-(3-tert-butoxycarbonylamino-2-oxo-2H-pyridin-1-yl)-3-phenylpropionylamino]-6-(tritylcarbamoyl)hex-2-enoate (prepn. given) was heated to 190-200.degree. for 65 min to give a residue which in MeCN at 0.degree. was treated with 5-methylisoxazole-3-carbonyl chloride and 4-methylmorpholine followed by warming to 23.degree. to give 55% Et trans-(2'S,4S)-4-[2-[3-[(5-methylisoxazole-3-carbonyl)amino]-2-oxo-2H-pyridin-1-yl]-3-phenylpropionylamino]-6-(tritylcarbamoyl)hex-2-enoate. The latter was treated with (Me2CH)3SiH and CF3CO2H in CH2Cl2 to give 85% title compd. (I). I showed an EC50 = 0.016 .mu.M against human rhinovirus-14 in HeLa cell culture assay.

IT **343565-65-1P 343565-66-2P 343565-68-4P**
343565-71-9P 343565-72-0P 343565-73-1P

343565-74-2P 343565-75-3P 343565-77-5P
343565-78-6P 343565-79-7P 343565-81-1P
343565-83-3P 343565-84-4P 343565-86-6P
343565-88-8P 343565-89-9P 343565-90-2P
343565-91-3P 343565-92-4P 343565-93-5P
343565-94-6P 343566-02-9P 343566-06-3P
343566-07-4P 343566-08-5P 343566-09-6P
343566-12-1P 343566-21-2P 343566-22-3P
343566-23-4P 343566-24-5P 343566-29-0P
343566-98-3P 343566-99-4P 343567-00-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridonylacetamide peptide analogs as antipicornaviral agents)

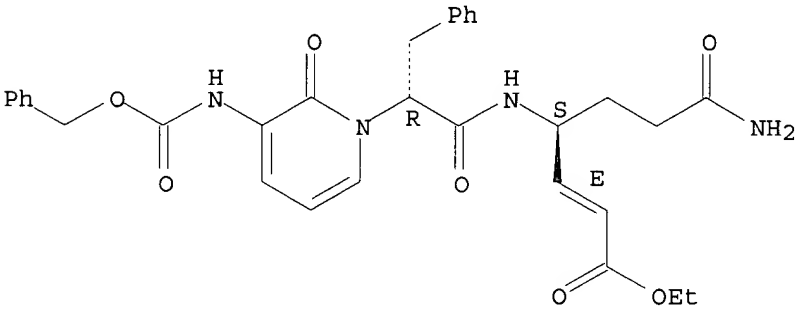
RN 343565-65-1 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[(2S)-1-oxo-2-[2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyridinyl]-3-phenylpropyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 343565-66-2 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[(2R)-1-oxo-2-[2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyridinyl]-3-phenylpropyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

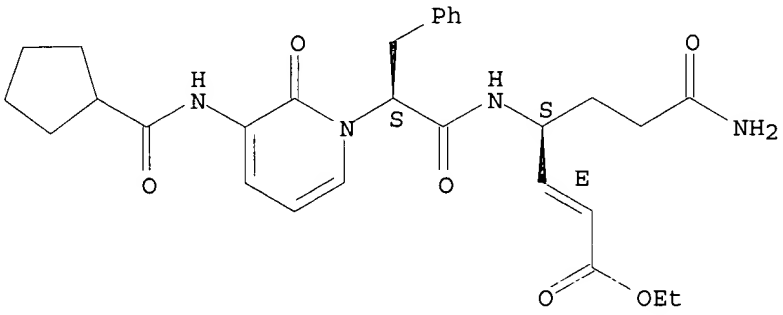
Absolute stereochemistry.
Double bond geometry as shown.



RN 343565-68-4 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[3-[(cyclopentylcarbonyl)amino]-2-oxo-1(2H)-pyridinyl]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

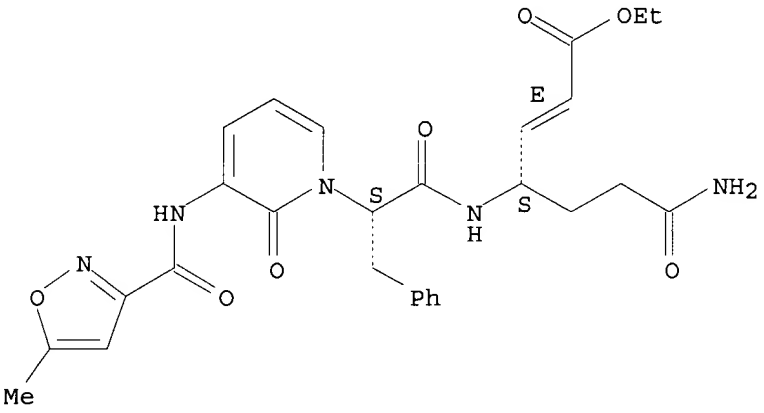
Absolute stereochemistry.

Double bond geometry as shown.



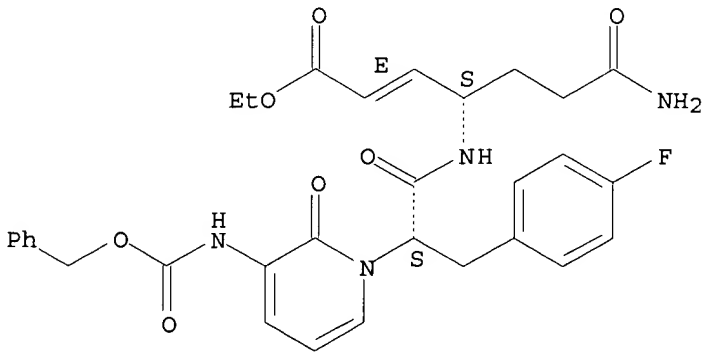
RN 343565-71-9 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



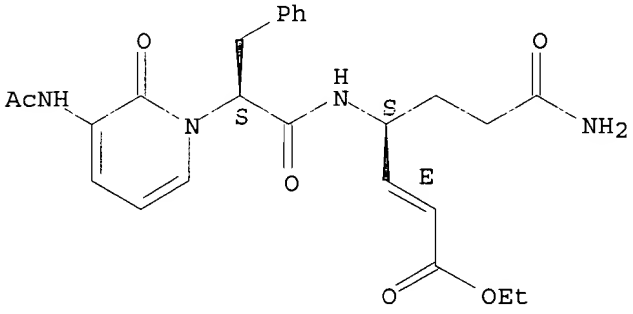
RN 343565-72-0 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-3-(4-fluorophenyl)-1-oxo-2-[2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyridinyl]propyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



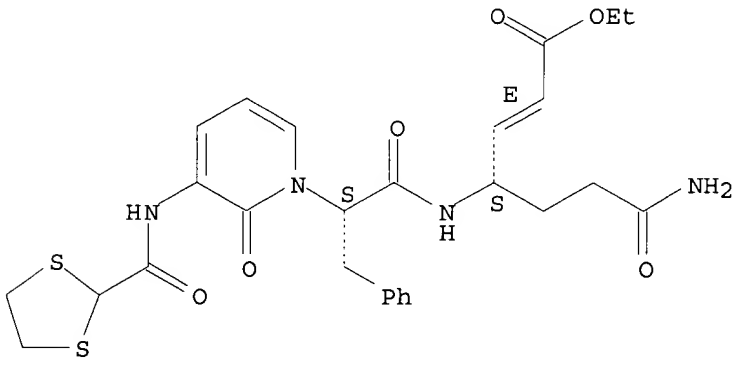
RN 343565-73-1 CAPLUS
CN 2-Heptenoic acid, 4-[[(2S)-2-[3-(acetylamino)-2-oxo-1(2H)-pyridinyl]-1-oxo-3-phenylpropyl]amino]-7-amino-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



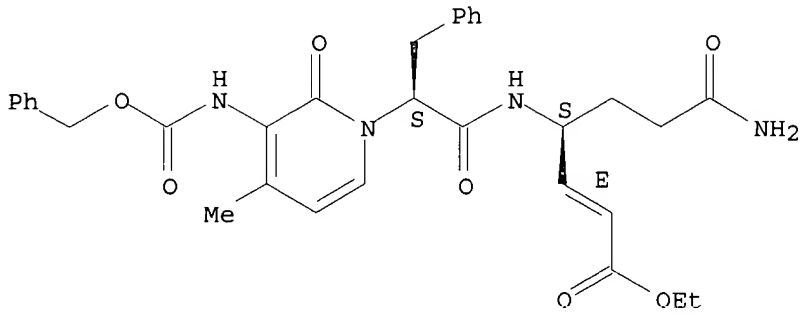
RN 343565-74-2 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[3-[(1,3-dithiolan-2-ylcarbonyl)amino]-2-oxo-1(2H)-pyridinyl]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



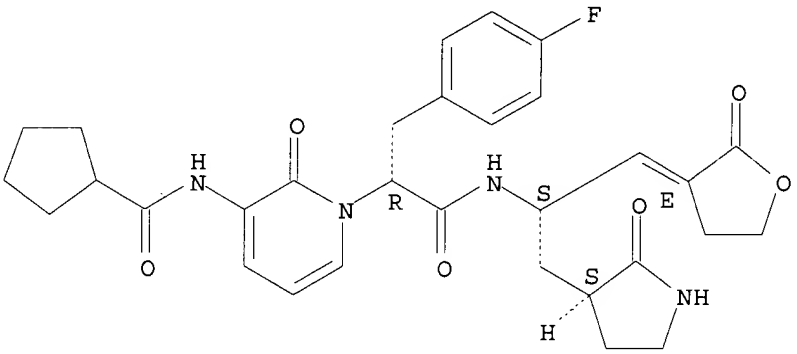
RN 343565-75-3 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[4-methyl-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyridinyl]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



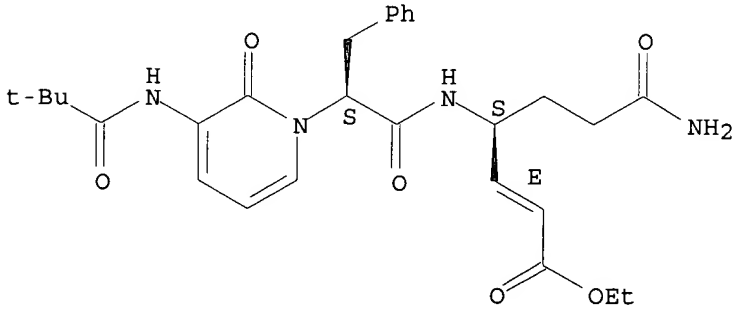
RN 343565-77-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-[(cyclopentylcarbonyl)amino]-N-[(1S)-1-[(E)-(dihydro-2-oxo-3(2H)-furanylidene)methyl]-2-[(3S)-2-oxo-3-pyrrolidinyl]ethyl]-.alpha.-[(4-fluorophenyl)methyl]-2-oxo-, (.alpha.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



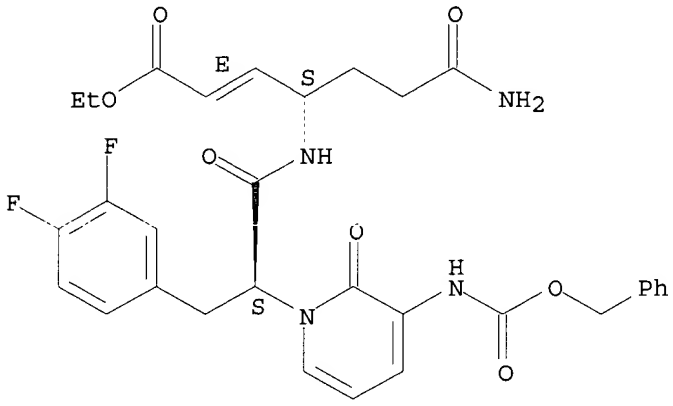
RN 343565-78-6 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[3-[(2,2-dimethyl-1-oxopropyl)amino]-2-oxo-1(2H)-pyridinyl]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



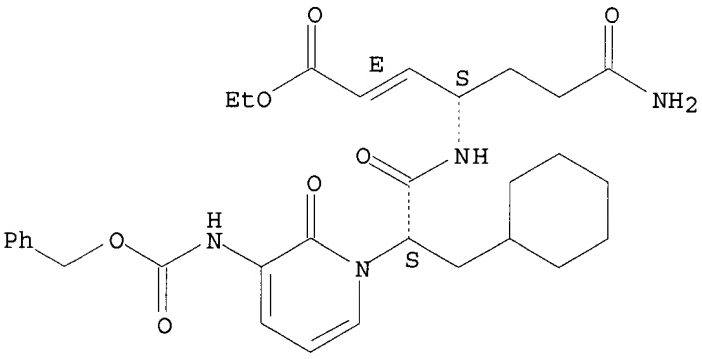
RN 343565-79-7 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-3-(3,4-difluorophenyl)-1-oxo-2-[2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyridinyl]propyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



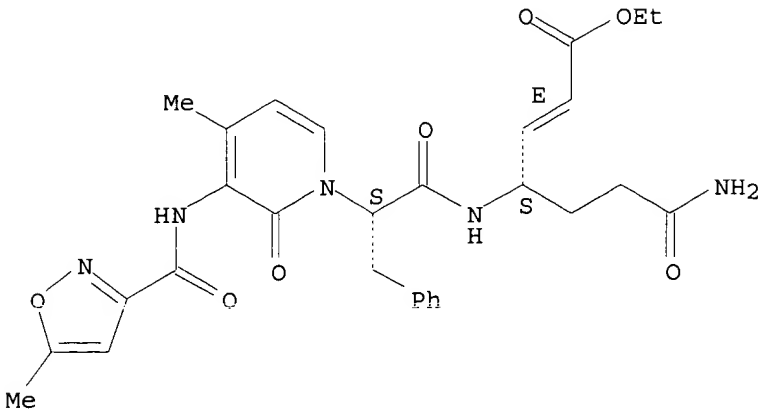
RN 343565-81-1 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-3-cyclohexyl-1-oxo-2-[2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyridinyl]propyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



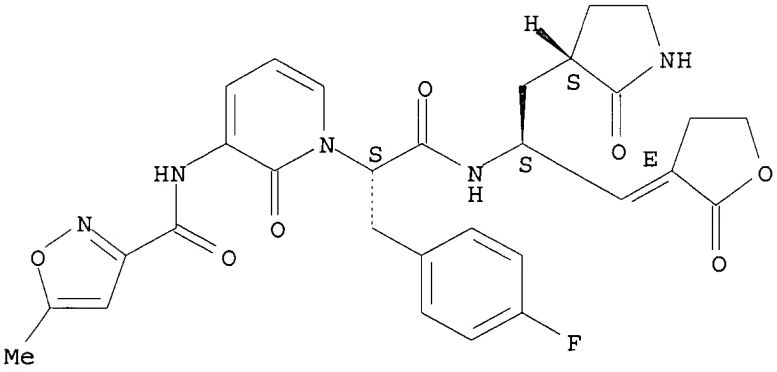
RN 343565-83-3 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[4-methyl-3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



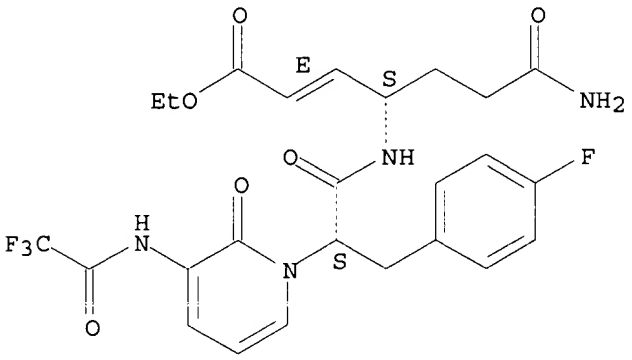
RN 343565-84-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(1S)-1-[(E)-(dihydro-2-oxo-3(2H)-furanylidene)methyl]-2-[(3S)-2-oxo-3-pyrrolidinyl]ethyl]-.alpha.-[(4-fluorophenyl)methyl]-3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



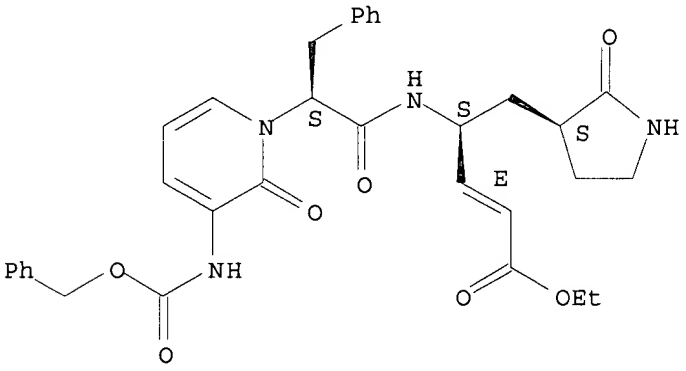
RN 343565-86-6 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-3-(4-fluorophenyl)-1-oxo-2-[2-oxo-3-[(trifluoroacetyl)amino]-1(2H)-pyridinyl]propyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



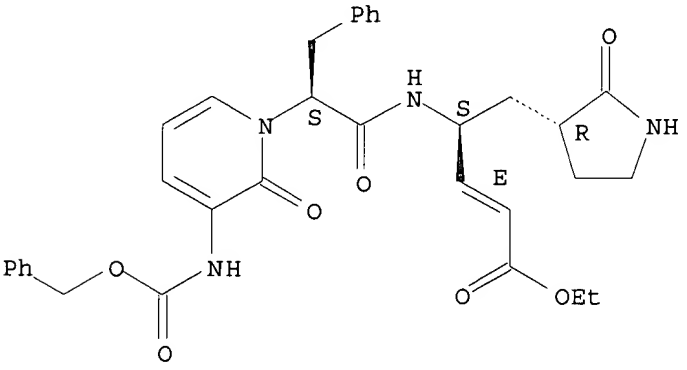
RN 343565-88-8 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-1-oxo-2-[2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyridinyl]-3-phenylpropyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 343565-89-9 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-1-oxo-2-[2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyridinyl]-3-phenylpropyl]amino]-5-[(3R)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

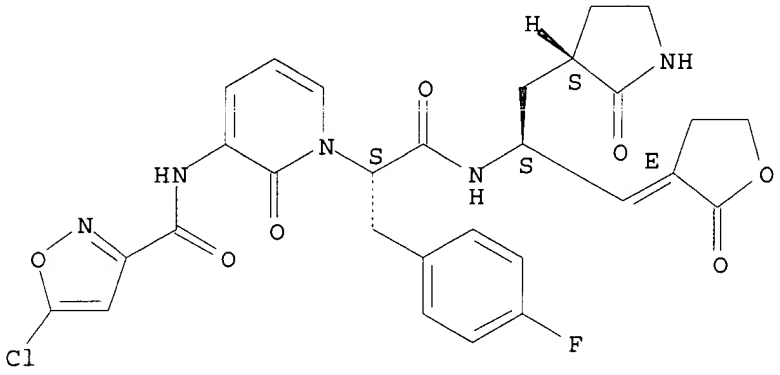
Absolute stereochemistry.
Double bond geometry as shown.



RN 343565-90-2 CAPLUS

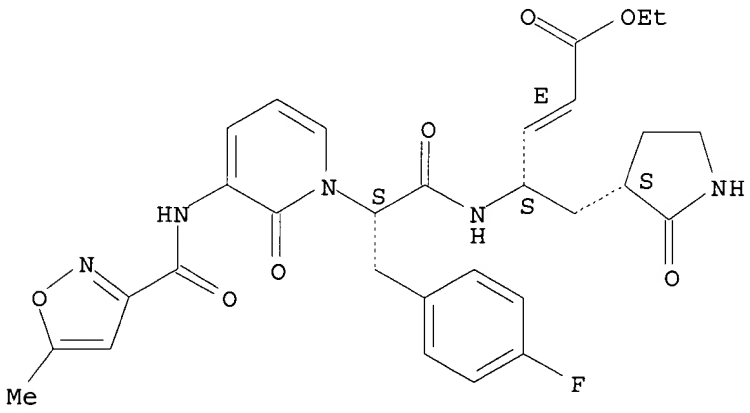
CN 1(2H)-Pyridineacetamide, 3-[[(5-chloro-3-isoxazolyl)carbonyl]amino]-N-[(1S)-1-[(E)-(dihydro-2-oxo-3(2H)-furanylidene)methyl]-2-[(3S)-2-oxo-3-pyrrolidinyl]ethyl]-.alpha.-[(4-fluorophenyl)methyl]-2-oxo-, (.alpha.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



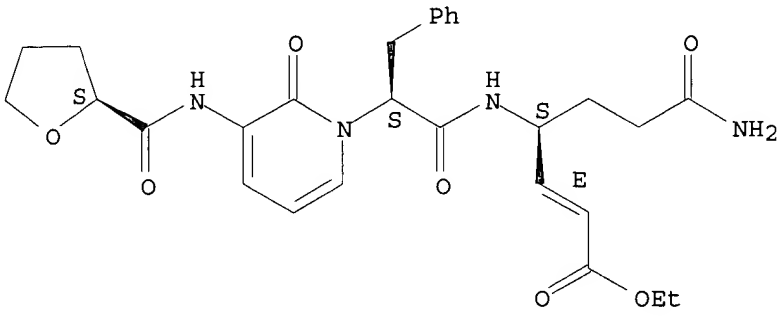
RN 343565-91-3 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-3-(4-fluorophenyl)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxopropyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



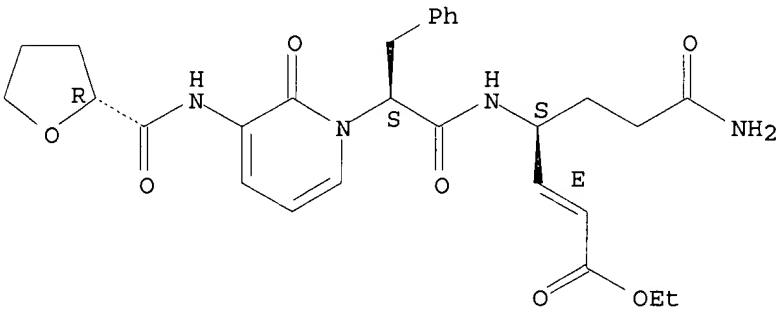
RN 343565-92-4 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[(2S)-1-oxo-2-[2-oxo-3-[[[(2S)-tetrahydro-2-furanyl]carbonyl]amino]-1(2H)-pyridinyl]-3-phenylpropyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



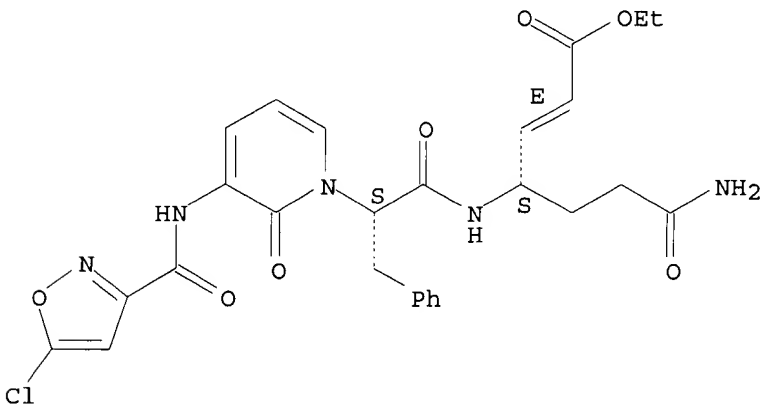
RN 343565-93-5 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[(2S)-1-oxo-2-[2-oxo-3-[[[(2R)-tetrahydro-2-furanyl]carbonyl]amino]-1(2H)-pyridinyl]-3-phenylpropyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 343565-94-6 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[3-[[(5-chloro-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

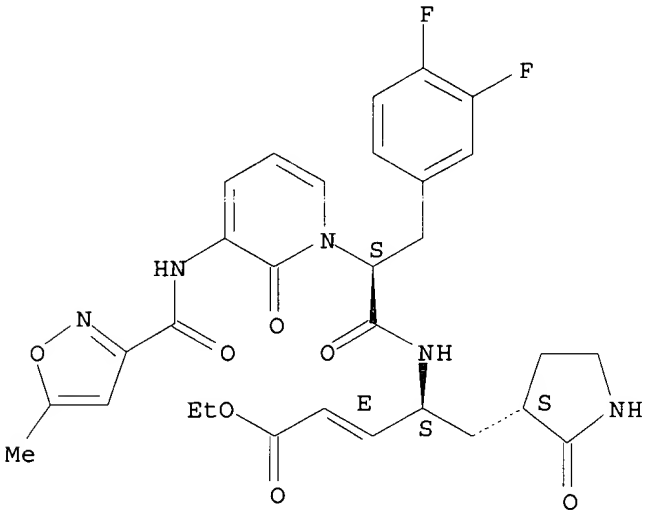
Absolute stereochemistry.
Double bond geometry as shown.



RN 343566-02-9 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-3-(3,4-difluorophenyl)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxopropyl]amino]-5-

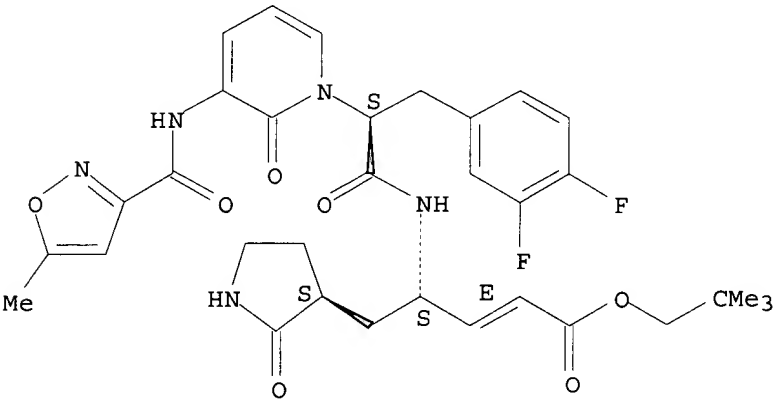
[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



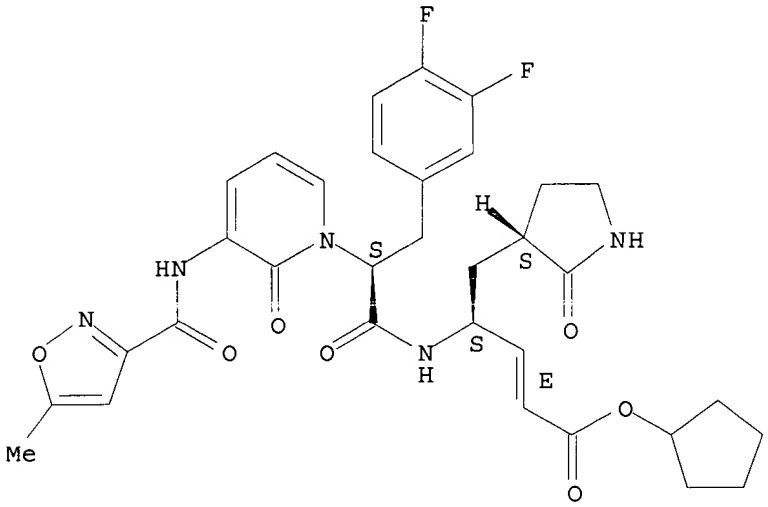
RN 343566-06-3 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-3-(3,4-difluorophenyl)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxopropyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, 2,2-dimethylpropyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



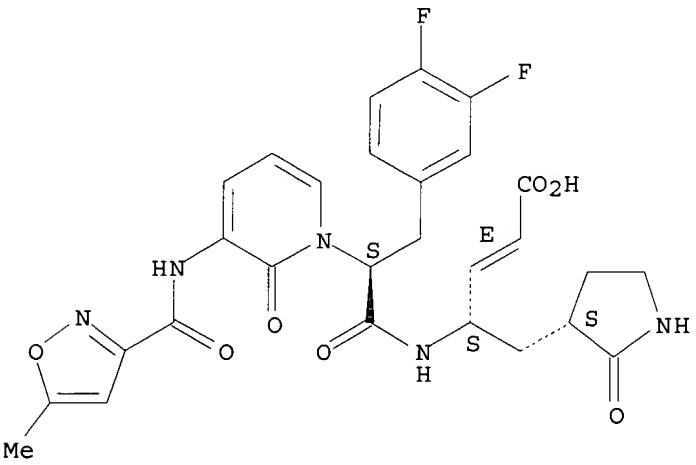
RN 343566-07-4 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-3-(3,4-difluorophenyl)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxopropyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, cyclopentyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



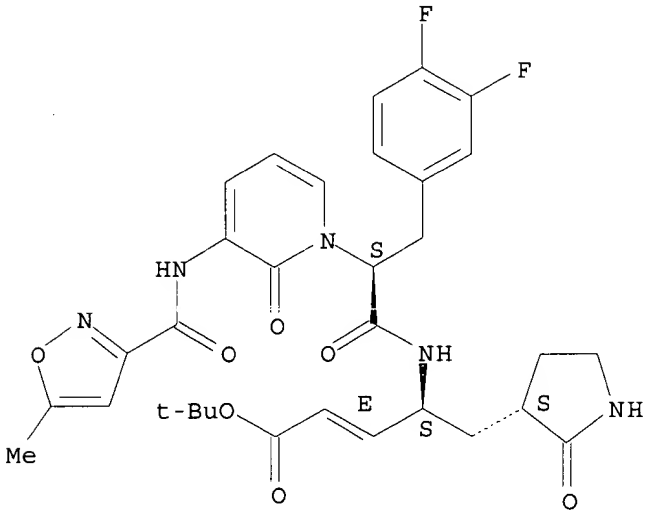
RN 343566-08-5 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-3-(3,4-difluorophenyl)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxopropyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



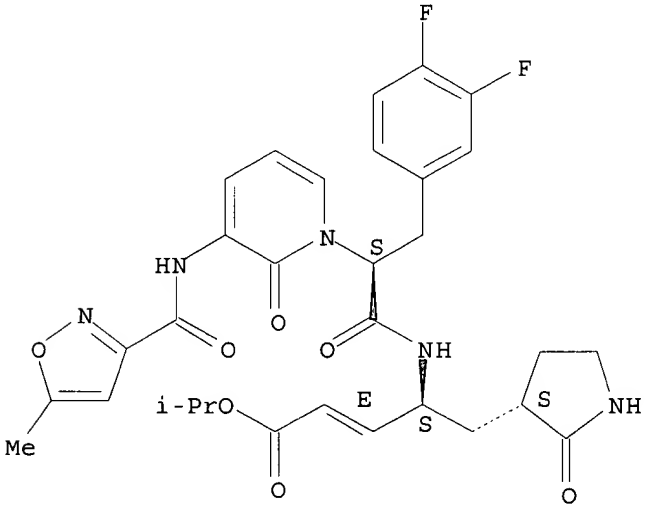
RN 343566-09-6 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-3-(3,4-difluorophenyl)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxopropyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, 1,1-dimethylethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



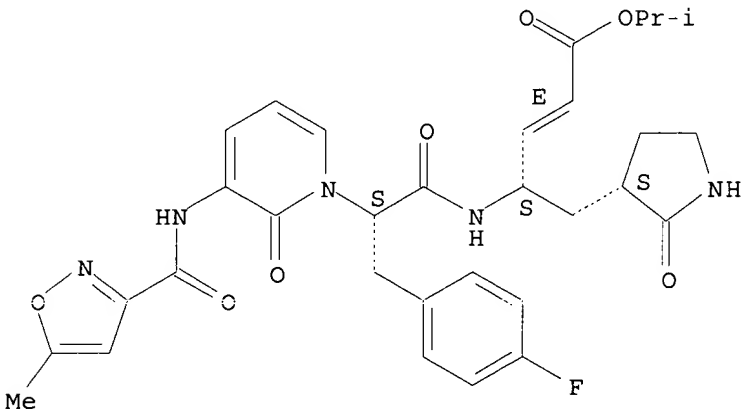
RN 343566-12-1 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-3-(3,4-difluorophenyl)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxopropyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, 1-methylethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



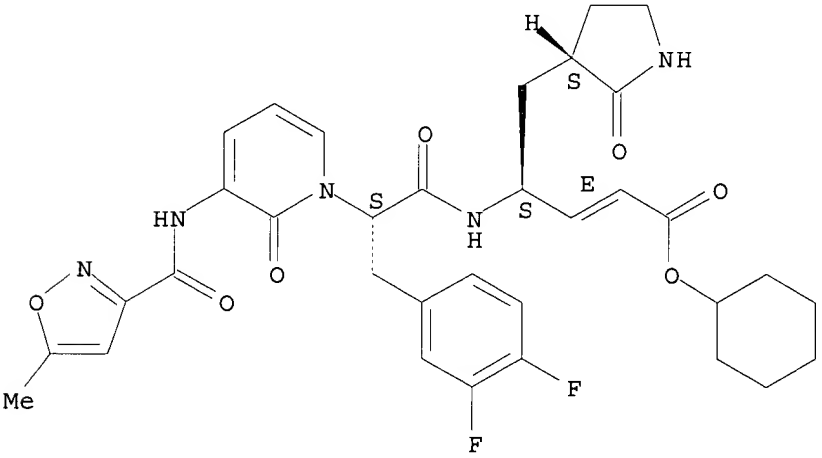
RN 343566-21-2 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-3-(4-fluorophenyl)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxopropyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, 1-methylethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



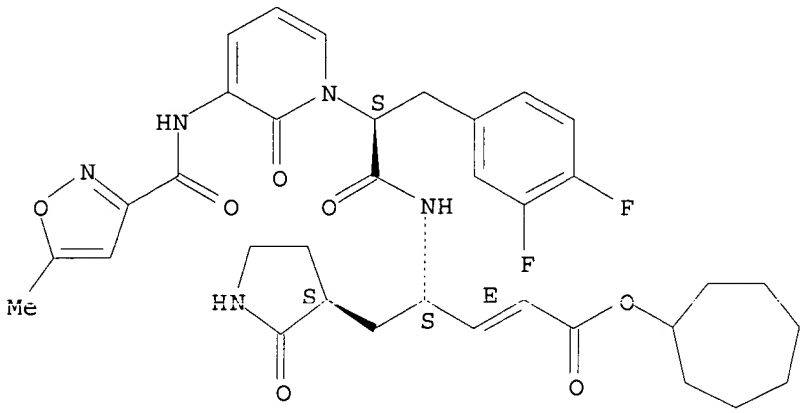
RN 343566-22-3 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-3-(3,4-difluorophenyl)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxopropyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, cyclohexyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



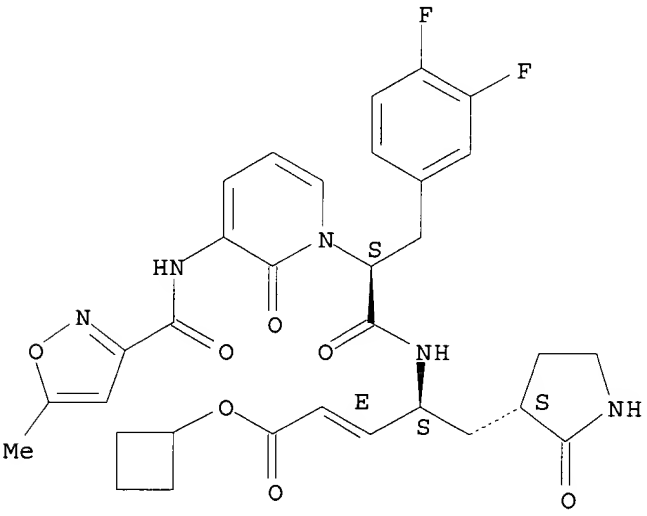
RN 343566-23-4 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-3-(3,4-difluorophenyl)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxopropyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, cycloheptyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



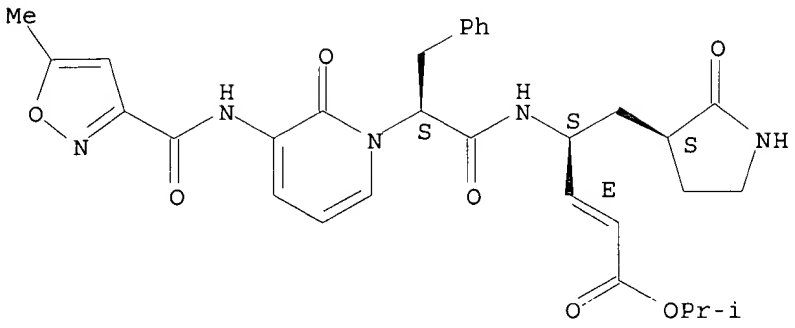
RN 343566-24-5 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-3-(3,4-difluorophenyl)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxopropyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, cyclobutyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 343566-29-0 CAPLUS
CN 2-Pentenoic acid, 4-[[(2S)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxo-3-phenylpropyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, 1-methylethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

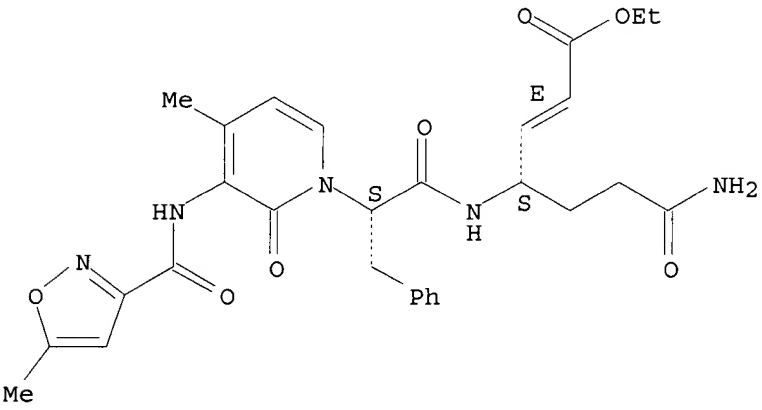


RN 343566-98-3 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(2S)-2-[4-methyl-3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxo-3-phenylpropyl]amino]-7-oxo-, ethyl ester, (2E,4S)-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

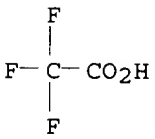
CRN 343565-83-3
CMF C29 H33 N5 O7

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



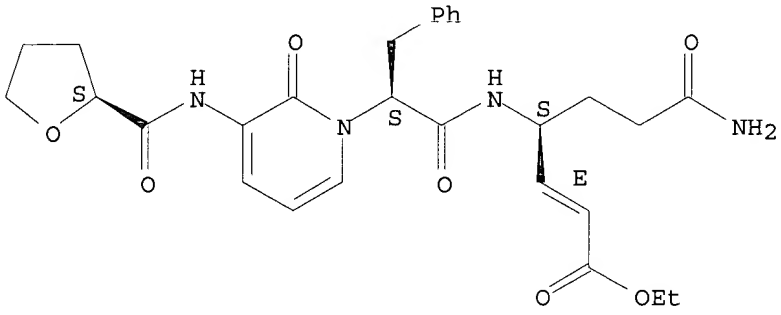
RN 343566-99-4 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[(2S)-1-oxo-2-[2-oxo-3-[[[(2S)-tetrahydro-2-furanyl]carbonyl]amino]-1(2H)-pyridinyl]-3-

phenylpropyl]amino]-, ethyl ester, (2E,4S)-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

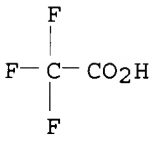
CRN 343565-92-4
CMF C28 H34 N4 O7

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

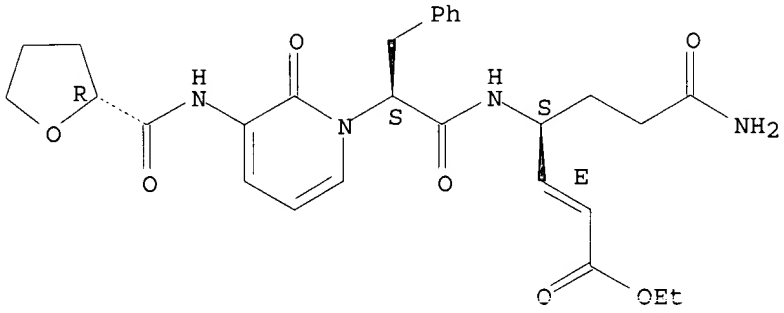


RN 343567-00-0 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[(2S)-1-oxo-2-[2-oxo-3-[[[(2R)-tetrahydro-2-furanyl]carbonyl]amino]-1(2H)-pyridinyl]-3-phenylpropyl]amino]-, ethyl ester, (2E,4S)-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

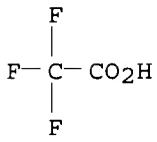
CRN 343565-93-5
CMF C28 H34 N4 O7

Absolute stereochemistry.
Double bond geometry as shown.



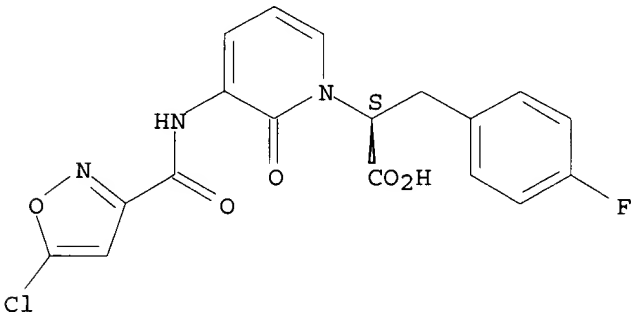
CM 2

CRN 76-05-1
CMF C2 H F3 O2



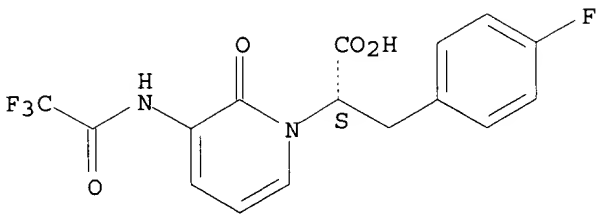
IT **343566-84-7 343566-86-9**
RL: RCT (Reactant)
(prepn. of pyridonylacetamide peptide analogs as antipicornaviral agents)
RN 343566-84-7 CAPLUS
CN 1(2H)-Pyridineacetic acid, 3-[[(5-chloro-3-isoxazolyl)carbonyl]amino]-.alpha.-[(4-fluorophenyl)methyl]-2-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 343566-86-9 CAPLUS
CN 1(2H)-Pyridineacetic acid, .alpha.-[(4-fluorophenyl)methyl]-2-oxo-3-[(trifluoroacetyl)amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

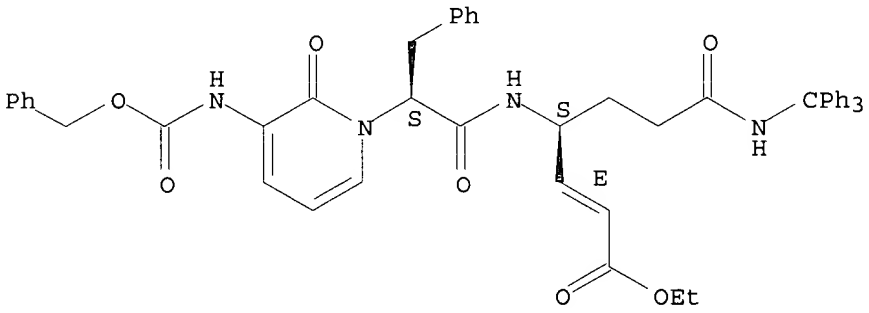


IT **343566-33-6P 343566-39-2P 343566-40-5P**
343566-41-6P 343566-42-7P 343566-52-9P
343566-53-0P 343566-54-1P 343566-59-6P
343566-61-0P 343566-62-1P 343566-67-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of pyridonylacetamide peptide analogs as antipicornaviral agents)

RN 343566-33-6 CAPLUS

CN 2-Heptenoic acid, 7-oxo-4-[[(2S)-1-oxo-2-[2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyridinyl]-3-phenylpropyl]amino]-7-[(triphenylmethyl)amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

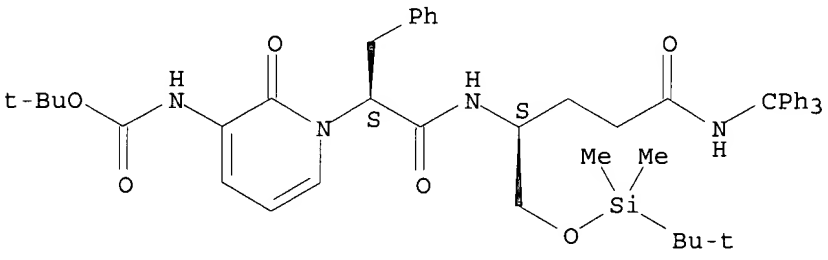
Absolute stereochemistry.
Double bond geometry as shown.



RN 343566-39-2 CAPLUS

CN Carbamic acid, [1-[(1S)-2-[[(1S)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-oxo-4-[(triphenylmethyl)amino]butyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1,2-dihydro-2-oxo-3-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

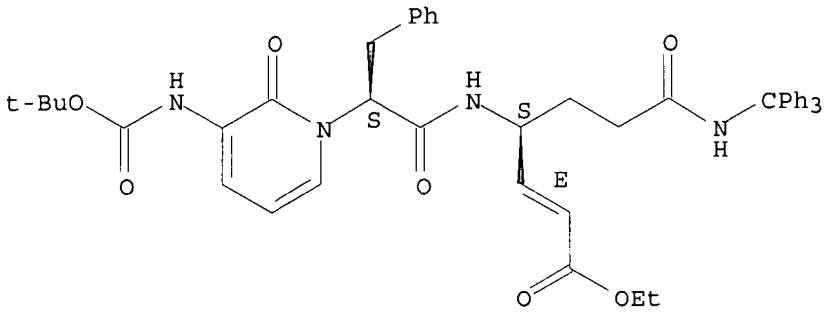
Absolute stereochemistry.



RN 343566-40-5 CAPLUS

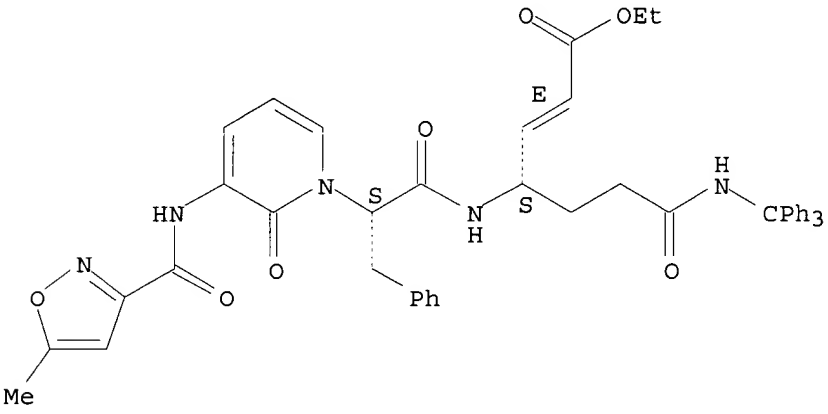
CN 2-Heptenoic acid, 4-[[(2S)-2-[3-[[(1,1-dimethylethoxy)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxo-3-phenylpropyl]amino]-7-oxo-7-[(triphenylmethyl)amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



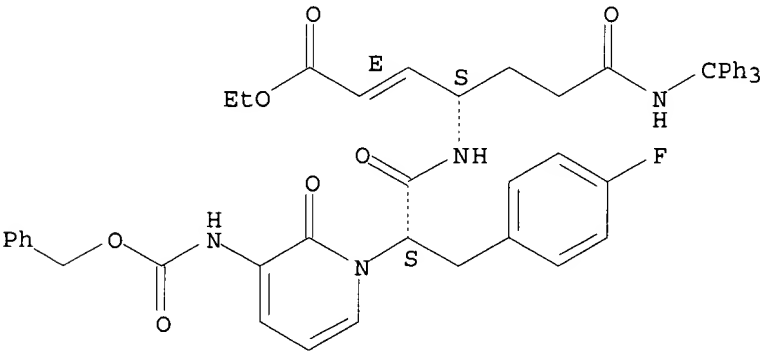
RN 343566-41-6 CAPLUS
CN 2-Heptenoic acid, 4-[[(2S)-2-[3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-1(2H)-pyridinyl]-1-oxo-3-phenylpropyl]amino]-7-oxo-7-[(triphenylmethyl)amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



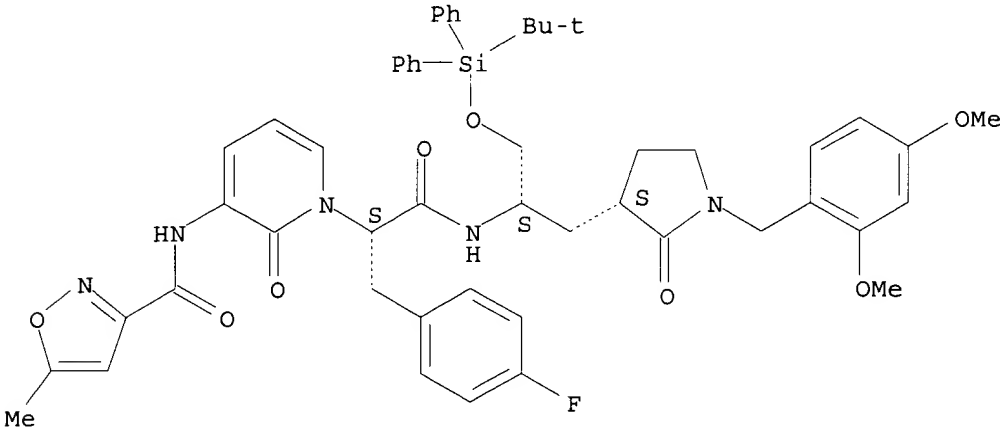
RN 343566-42-7 CAPLUS
CN 2-Heptenoic acid, 4-[[(2S)-3-(4-fluorophenyl)-1-oxo-2-[2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyridinyl]propyl]amino]-7-oxo-7-[(triphenylmethyl)amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



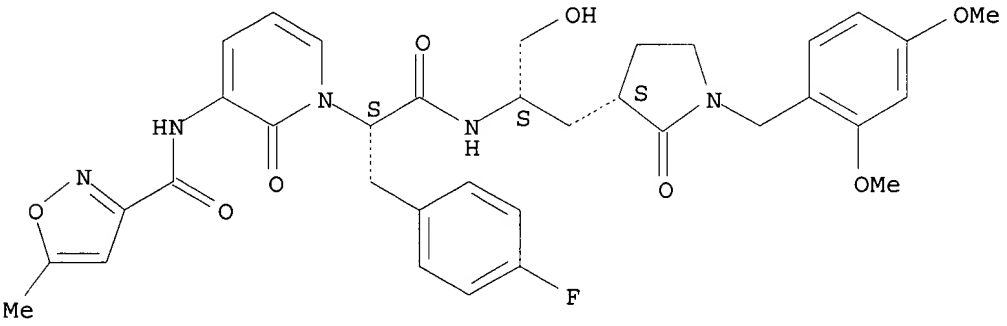
RN 343566-52-9 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(1S)-2-[(3S)-1-[(2,4-dimethoxyphenyl)methyl]-2-oxo-3-pyrrolidinyl]-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]ethyl]-.alpha.-[(4-fluorophenyl)methyl]-3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



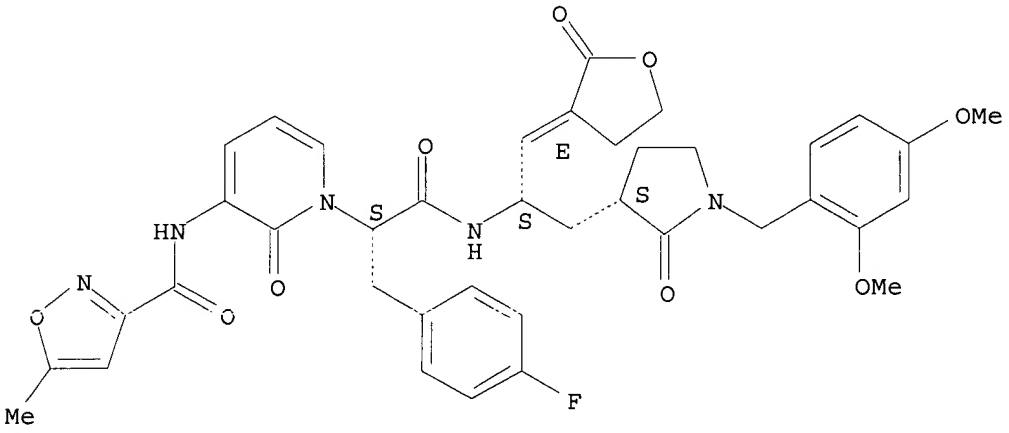
RN 343566-53-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(1S)-2-[(3S)-1-[(2,4-dimethoxyphenyl)methyl]-2-oxo-3-pyrrolidinyl]-1-(hydroxymethyl)ethyl]-.alpha.-[(4-fluorophenyl)methyl]-3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



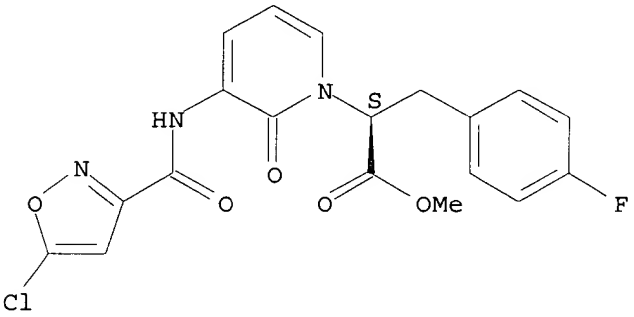
RN 343566-54-1 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(1S,2E)-2-(dihydro-2-oxo-3(2H)-furanylidene)-1-[[(3S)-1-[(2,4-dimethoxyphenyl)methyl]-2-oxo-3-pyrrolidinyl]methyl]ethyl]-.alpha.-[(4-fluorophenyl)methyl]-3-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



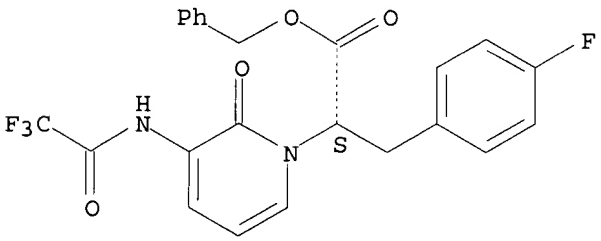
RN 343566-59-6 CAPLUS
CN 1(2H)-Pyridineacetic acid, 3-[[(5-chloro-3-isoxazolyl)carbonyl]amino]-.alpha.-[(4-fluorophenyl)methyl]-2-oxo-, methyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



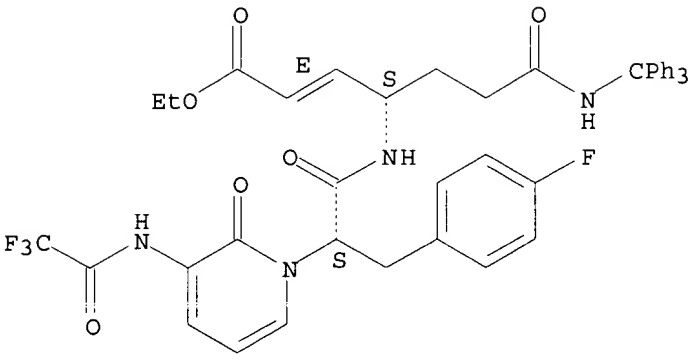
RN 343566-61-0 CAPLUS
CN 1(2H)-Pyridineacetic acid, .alpha.-[(4-fluorophenyl)methyl]-2-oxo-3-[(trifluoroacetyl)amino]-, phenylmethyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



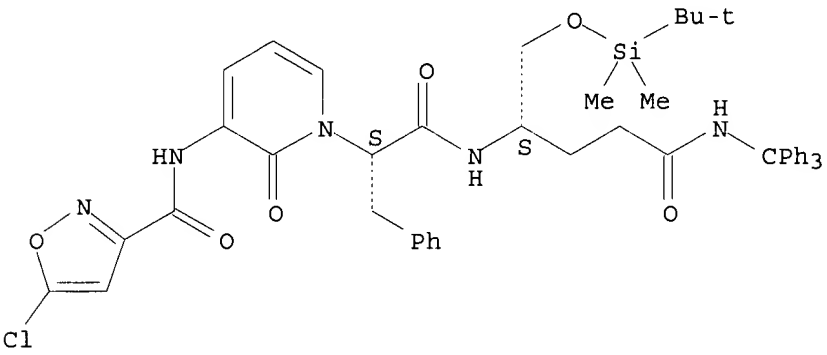
RN 343566-62-1 CAPLUS
CN 2-Heptenoic acid, 4-[[(2S)-3-(4-fluorophenyl)-1-oxo-2-[2-oxo-3-[(trifluoroacetyl)amino]-1(2H)-pyridinyl]propyl]amino]-7-oxo-7-[(triphenylmethyl)amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 343566-67-6 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-[[(5-chloro-3-isoxazolyl)carbonyl]amino]-N-[(1S)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-oxo-4-[(triphenylmethyl)amino]butyl]-2-oxo-.alpha.-(phenylmethyl)-, (.alpha.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5
REFERENCE(S):
(1) Agouron Phar Inc; WO 9743305 A 1997 CAPLUS
(2) Agouron Pharm Inc; WO 9843950 A 1998 CAPLUS
(3) Agouron Pharm Inc; WO 9957135 A 1999 CAPLUS
(4) Dragovich, P; BIOORG MED CHEMISTRY 1998, V7, P589
(5) Dragovich, P; JOURNAL OF MED CHEM 1999, V42(7), P1203 CAPLUS

L4 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:861677 CAPLUS
DOCUMENT NUMBER: 134:29437
TITLE: Novel oxazaheterocycles as protease inhibitors
INVENTOR(S): Wang, Aihua; Lu, Tianbao; Tomczuk, Bruce E.; Soll, Richard M.; Spurlino, John; Bone, Roger
PATENT ASSIGNEE(S): 3-Dimensional Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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WO 2000073302 A1 20001207 WO 2000-US14553 20000526
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US 6326492 B1 20011204 US 2000-578487 20000526
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GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention discloses proteolytic enzyme inhibitors of formula I [R1 = (un)substituted alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, aryl, arylalkyl, heterocycle or heterocycloalkyl; R7 = H, alkyl, or alkenyl; Z = SO2, OCO, CO, NR2CO, or a covalent bond; R2 = H, alkyl, arylalkyl, aryl, hydroxyalkyl, aminoalkyl, etc.; A = Q1, Q2 wherein Ra, Rb, and Rc = independently H, alkyl, OH, alkoxy, aryloxy, arylalkoxy, alkoxycarbonyloxy, CN, or ester; n, m, p = 0-4 provided that all are not zero; X = Q3, Q4, Q5 wherein R3, R4, and R5 = independently H, alkyl, cycloalkyl, alkenyl, alkynyl, halo, CF3, NO2, (un)substituted aryl, arylalkyl, etc.; R6 = H, alkyl, aryl, arylalkyl, cyanoalkyl, etc.] as well as hydrates, solvates or pharmaceutically acceptable salts thereof, and methods of prepn. Compd. II.cntdot.TFA demonstrated thrombin inhibitory activity (sic) of 0.38 nM. The compds. of the invention are potent inhibitors of proteases, esp. trypsin-like serine proteases, such as chymotrypsin, trypsin, thrombin, plasmin and factor Xa. Certain of the compds. exhibit antithrombotic activity via direct, selective inhibition of thrombin. The invention includes a compn. for inhibiting loss of blood platelets, inhibiting formation of blood platelet aggregates, inhibiting formation of fibrin, inhibiting thrombus formation, and inhibiting embolus formation in a mammal, comprising a compd. of the invention in a pharmaceutically acceptable carrier. Other uses of compds. of the invention are as anticoagulants either embedded in or phys. linked to materials used in the manuf. of devices used in blood collection, blood circulation, and blood storage, such as catheters, blood dialysis machines, blood collection syringes and tubes, blood lines and stents. Addnl., the compds. can be detectably labeled and employed for in vivo imaging of thrombi.

IT **311811-25-3P 311811-26-4P 311811-27-5P**
311811-28-6P 311811-29-7P 311811-30-0P
311811-31-1P 311811-32-2P 311811-33-3P
311811-34-4P 311811-35-5P 311811-36-6P
311811-37-7P 311811-38-8P 311811-39-9P
311811-40-2P 311811-41-3P 311811-42-4P
311811-43-5P 311811-44-6P 311811-45-7P
311811-46-8P 311811-47-9P 311811-48-0P
311811-49-1P 311811-50-4P 311811-51-5P
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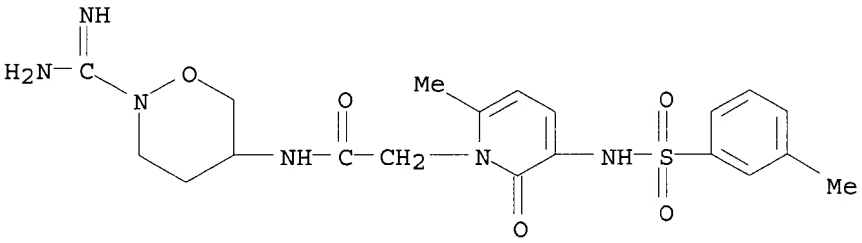
311811-64-0P 311811-65-1P 311811-66-2P
311811-67-3P 311811-69-5P 311811-71-9P
311811-74-2P 311811-75-3P 311811-76-4P
311811-77-5P 311811-78-6P 311811-79-7P

311811-80-0P 311811-81-1P 311811-82-2P
311811-83-3P 311811-84-4P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and biol. activity of oxazaheterocycles as protease inhibitors)

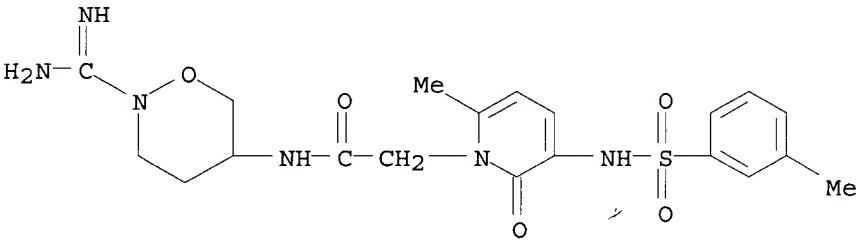
RN 311811-25-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[(3-methylphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-26-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[(3-methylphenyl)sulfonyl]amino]-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

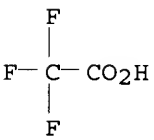
CM 1

CRN 311811-25-3
CMF C20 H26 N6 O5 S

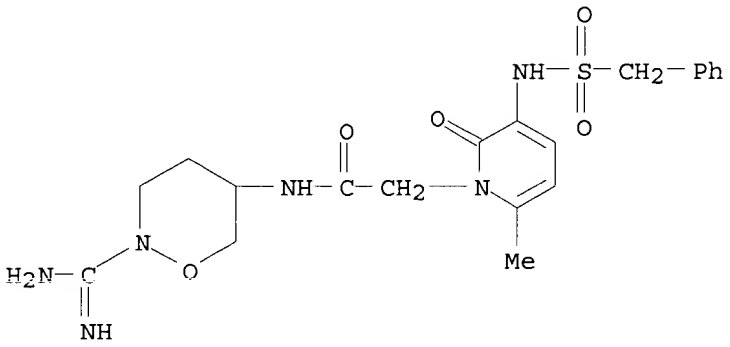


CM 2

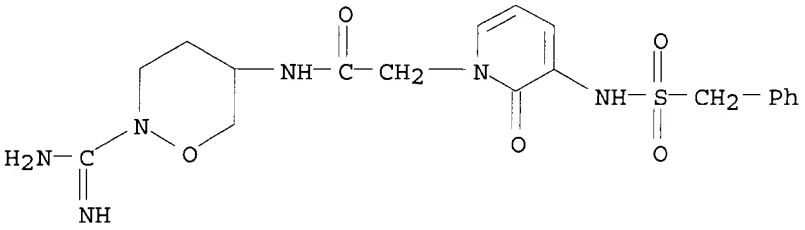
CRN 76-05-1
CMF C2 H F3 O2



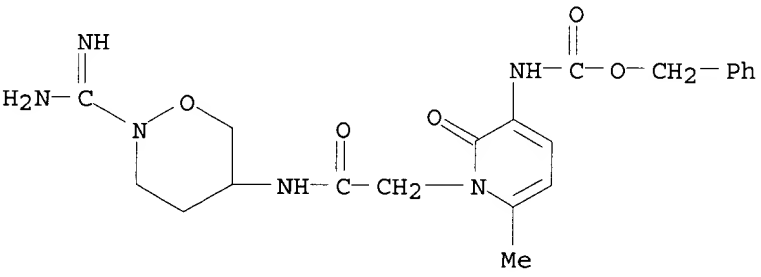
RN 311811-27-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



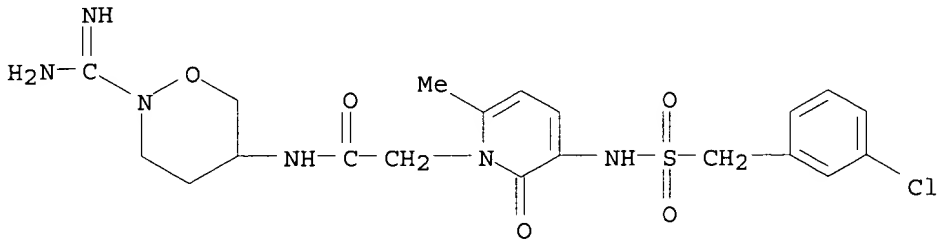
RN 311811-28-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



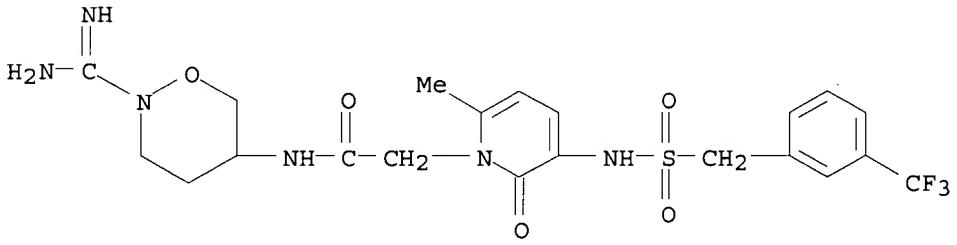
RN 311811-29-7 CAPLUS
CN Carbamic acid, [1-[2-[[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



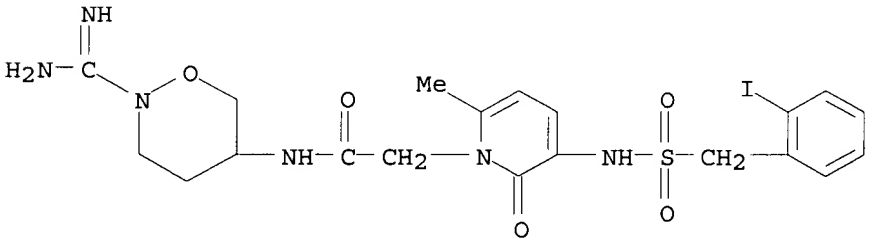
RN 311811-30-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(3-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



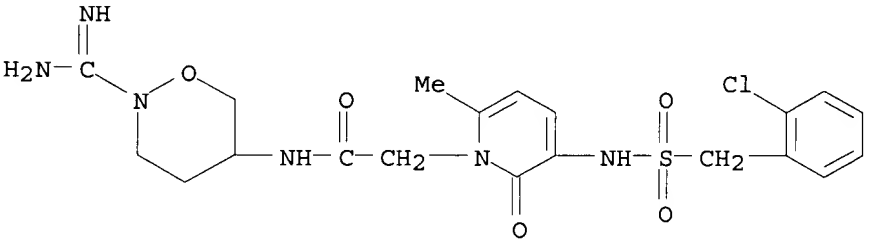
RN 311811-31-1 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



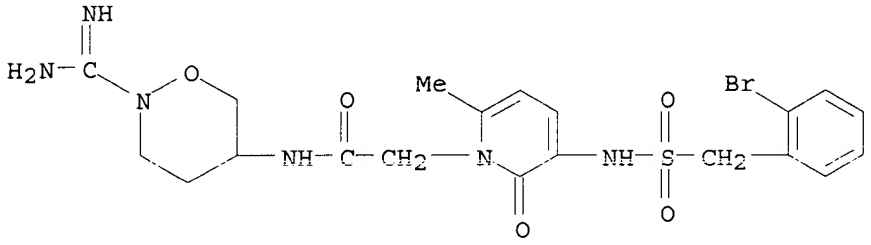
RN 311811-32-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2-iodophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



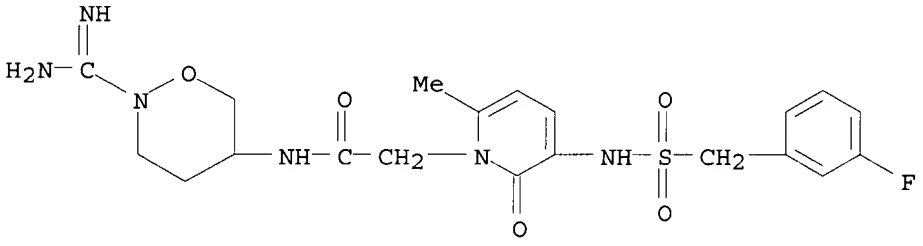
RN 311811-33-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



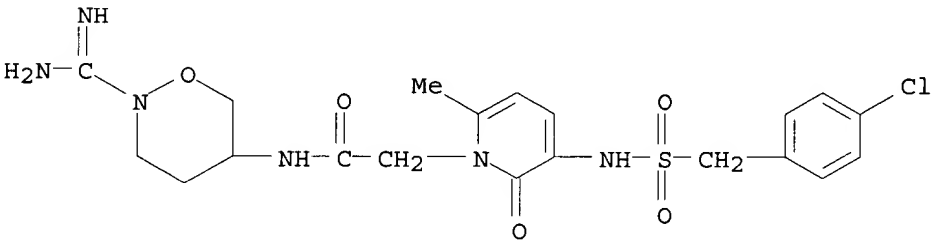
RN 311811-34-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2-bromophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



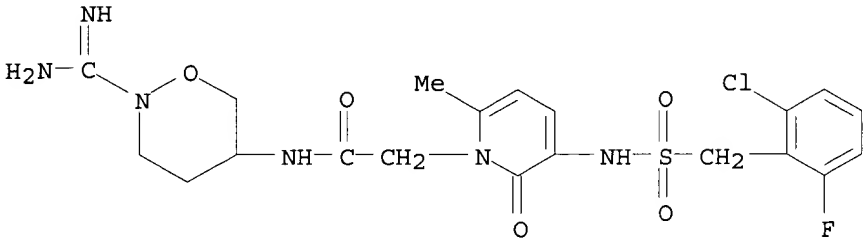
RN 311811-35-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(3-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



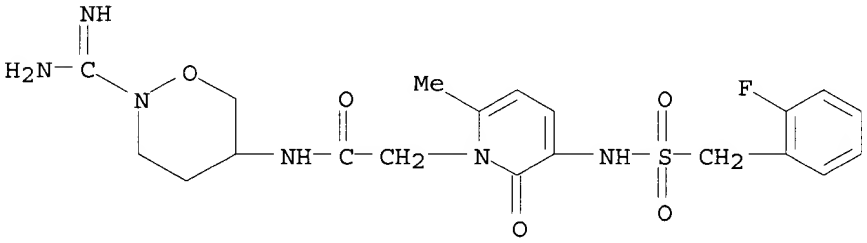
RN 311811-36-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(4-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



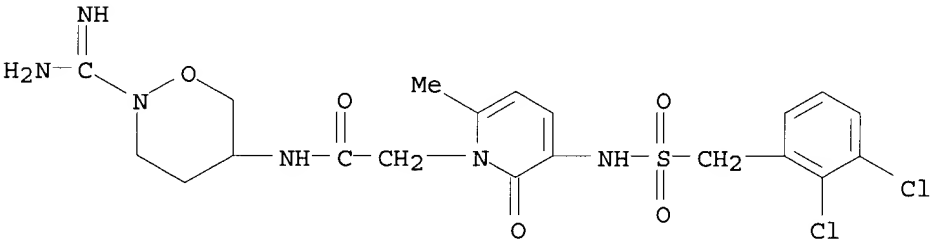
RN 311811-37-7 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2-chloro-6-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



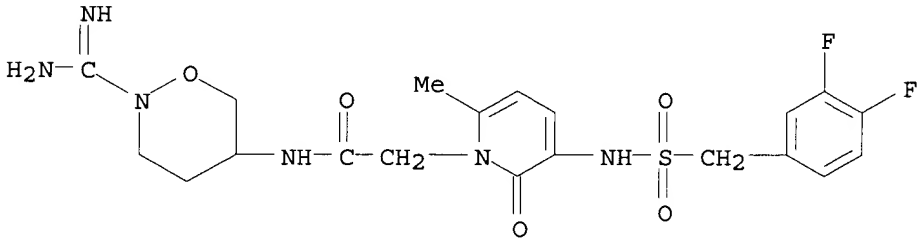
RN 311811-38-8 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



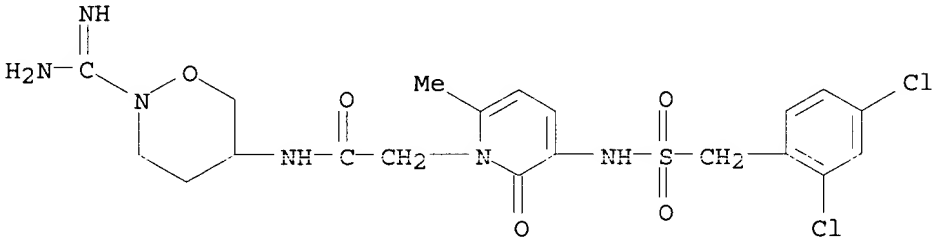
RN 311811-39-9 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2,3-dichlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



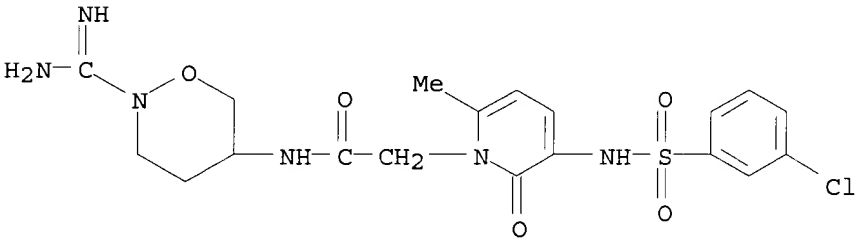
RN 311811-40-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(3,4-difluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



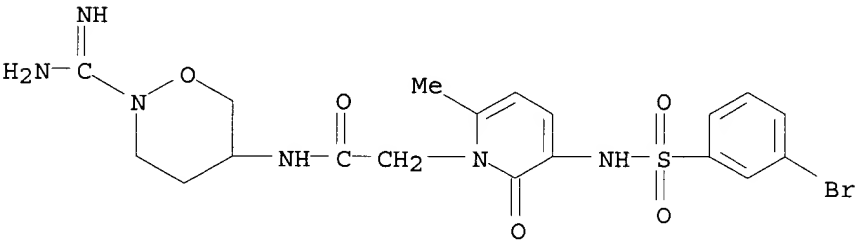
RN 311811-41-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[(2,4-dichlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



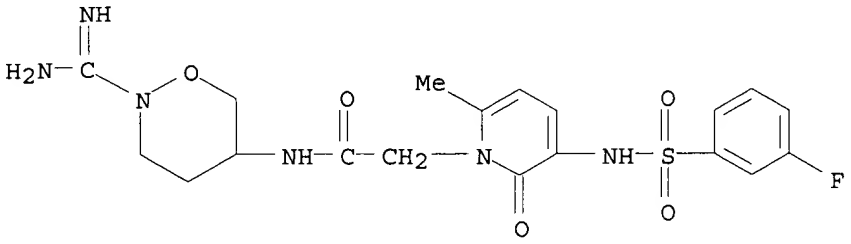
RN 311811-42-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(3-chlorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



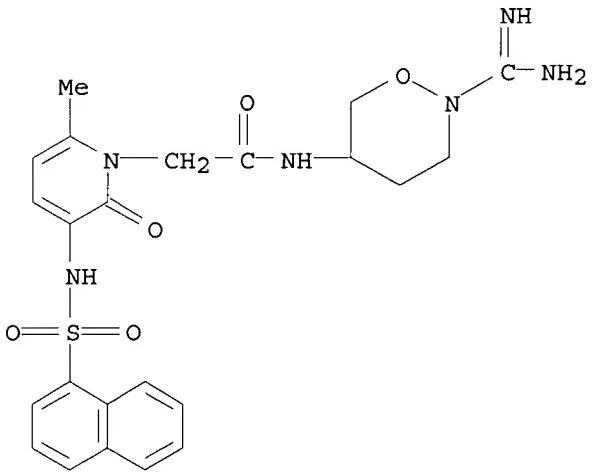
RN 311811-43-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(3-bromophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



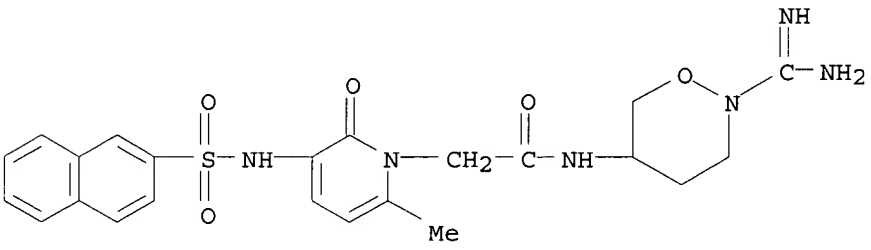
RN 311811-44-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(3-fluorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



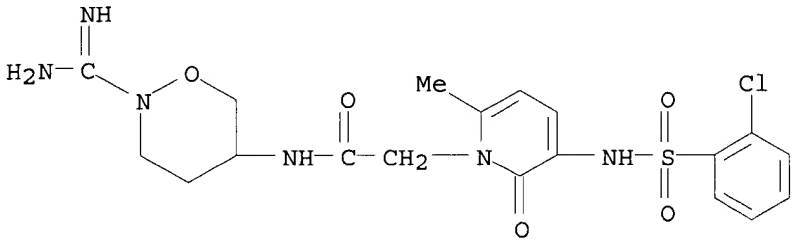
RN 311811-45-7 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[(1-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



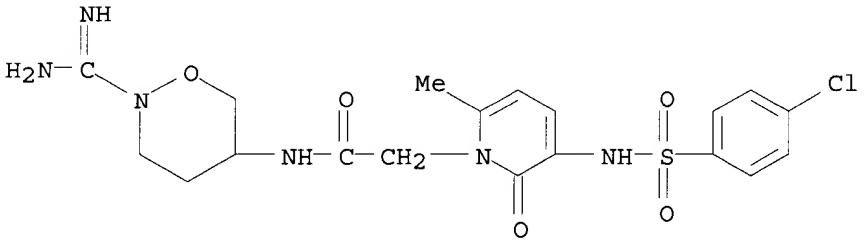
RN 311811-46-8 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[(2-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



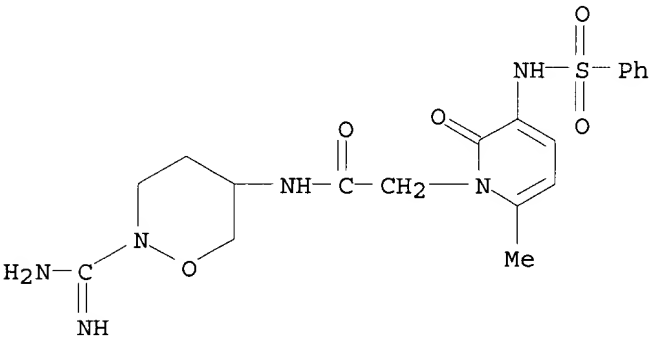
RN 311811-47-9 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(2-chlorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



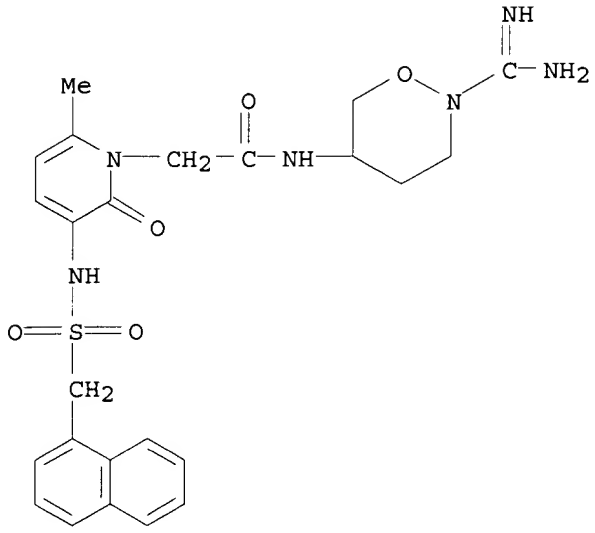
RN 311811-48-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(4-chlorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



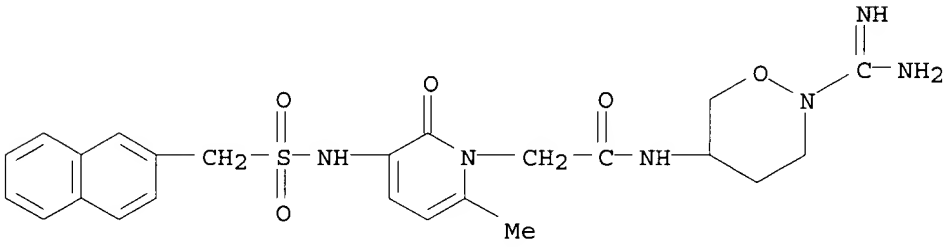
RN 311811-49-1 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



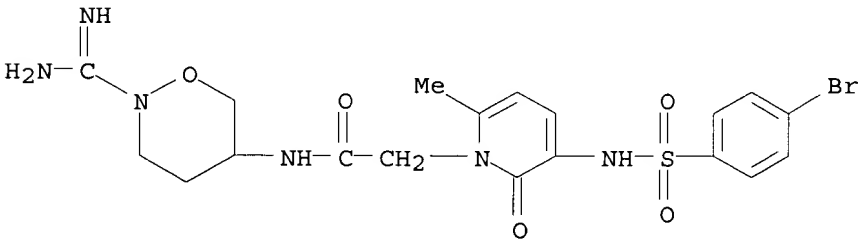
RN 311811-50-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[(1-naphthalenylmethyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



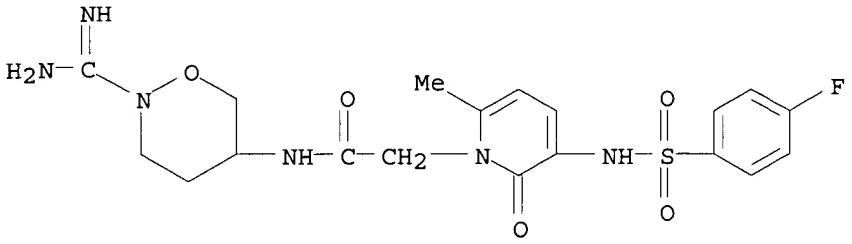
RN 311811-51-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[(2-naphthalenylmethyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



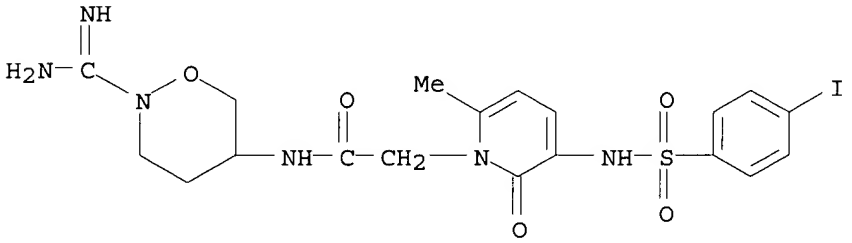
RN 311811-52-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(4-bromophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



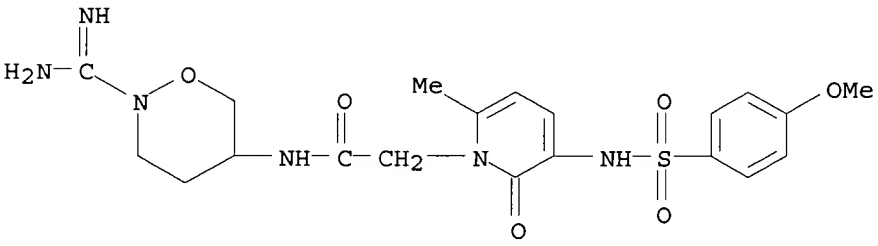
RN 311811-53-7 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(4-fluorophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



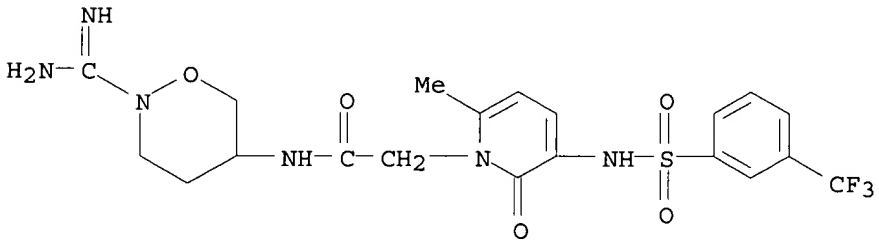
RN 311811-54-8 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(4-iodophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



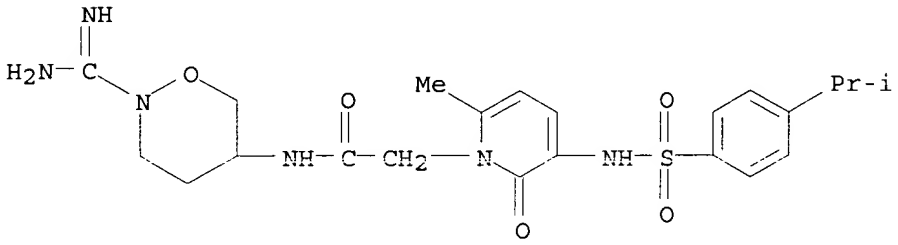
RN 311811-55-9 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(4-methoxyphenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



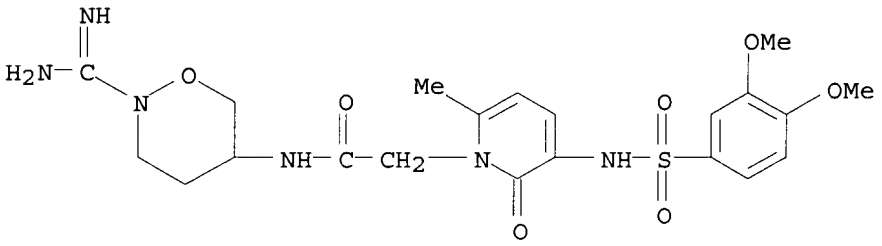
RN 311811-56-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



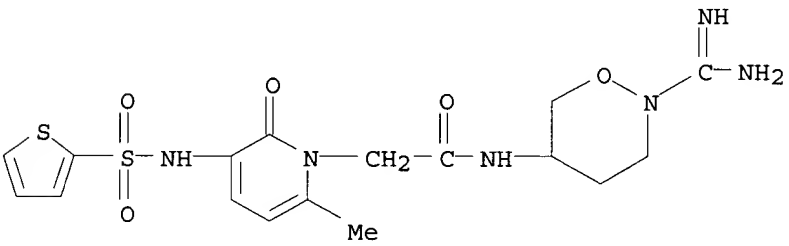
RN 311811-57-1 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



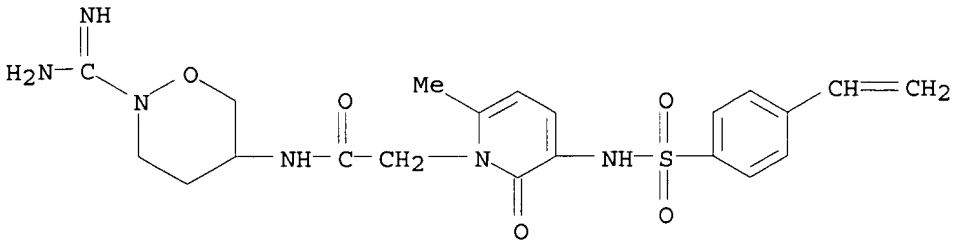
RN 311811-58-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(3,4-dimethoxyphenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



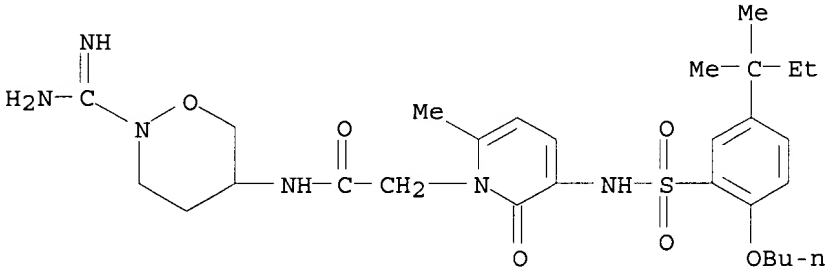
RN 311811-59-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[(2-thienylsulfonyl)amino]- (9CI) (CA INDEX NAME)



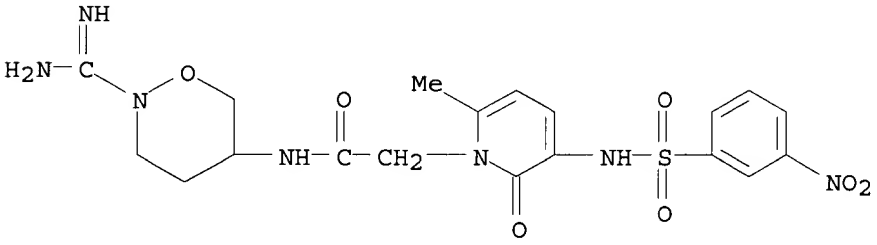
RN 311811-60-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(4-ethenylphenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



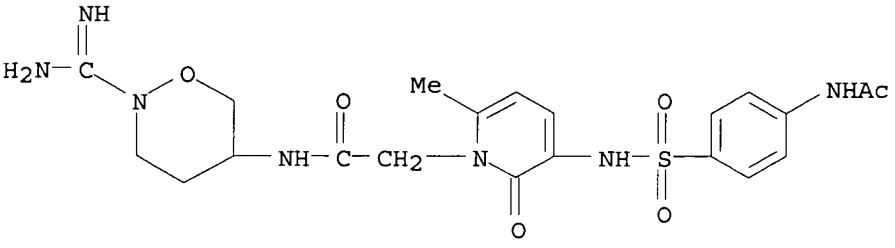
RN 311811-61-7 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[2-butoxy-5-(1,1-dimethylpropyl)phenyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



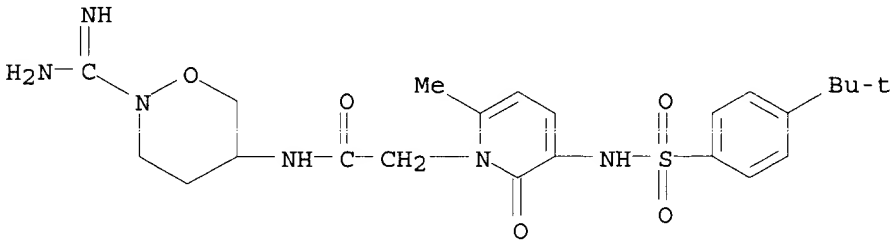
RN 311811-62-8 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[(3-nitrophenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



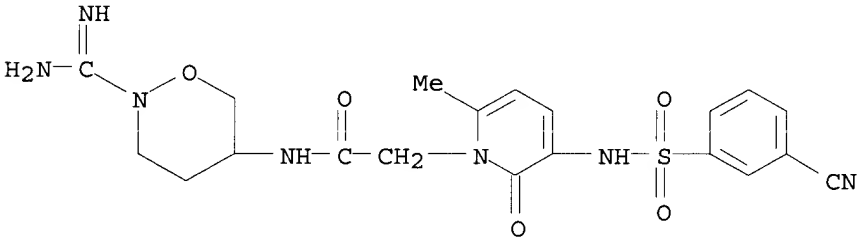
RN 311811-63-9 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-[[[4-(acetylamino)phenyl]sulfonyl]amino]-N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



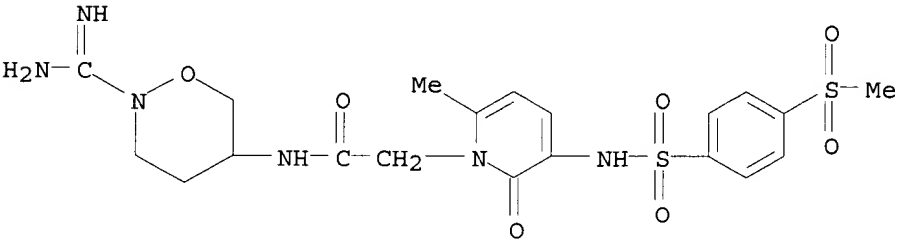
RN 311811-64-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



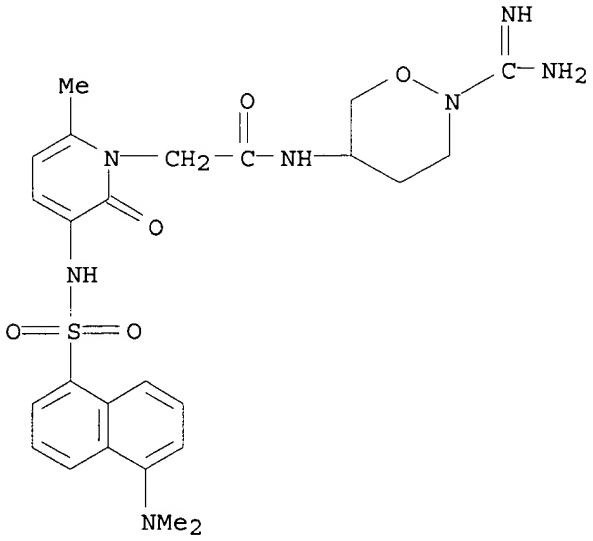
RN 311811-65-1 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(3-cyanophenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



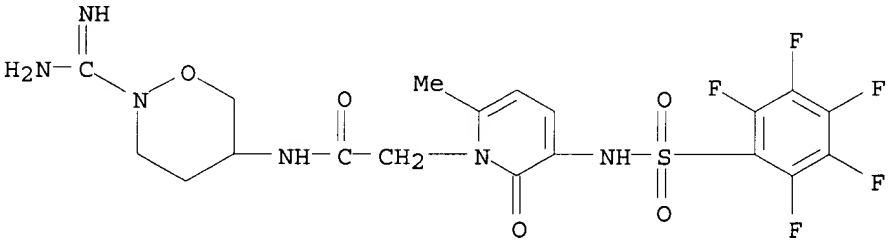
RN 311811-66-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[[4-(methylsulfonyl)phenyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



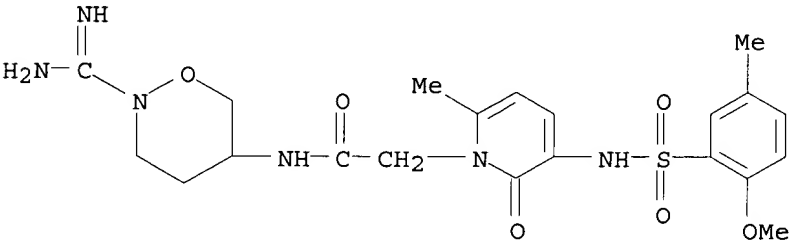
RN 311811-67-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



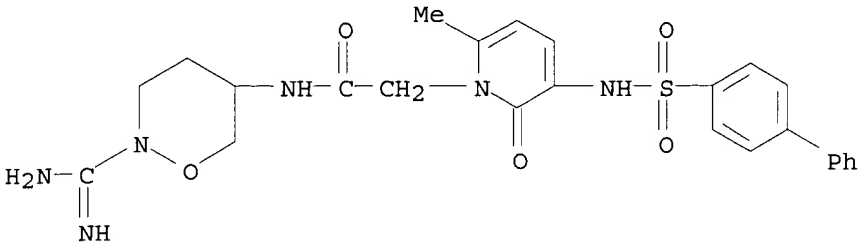
RN 311811-69-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[[(pentafluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



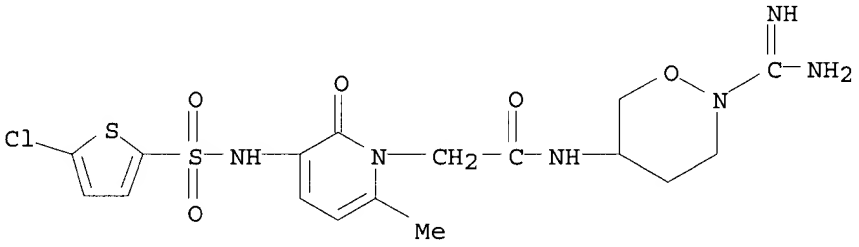
RN 311811-71-9 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(2-methoxy-5-methylphenyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



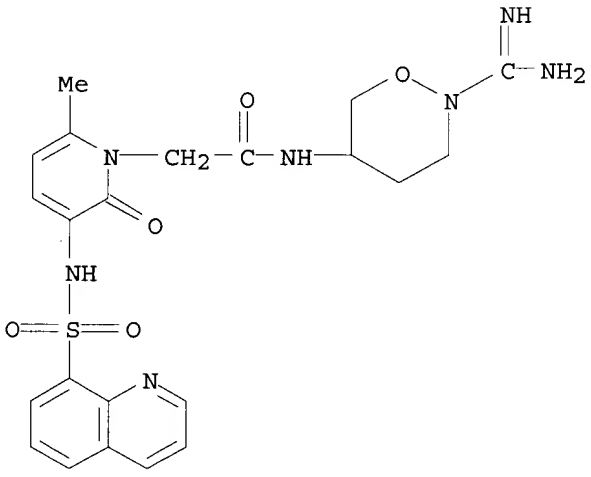
RN 311811-74-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[([1,1'-biphenyl]-4-ylsulfonyl)amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



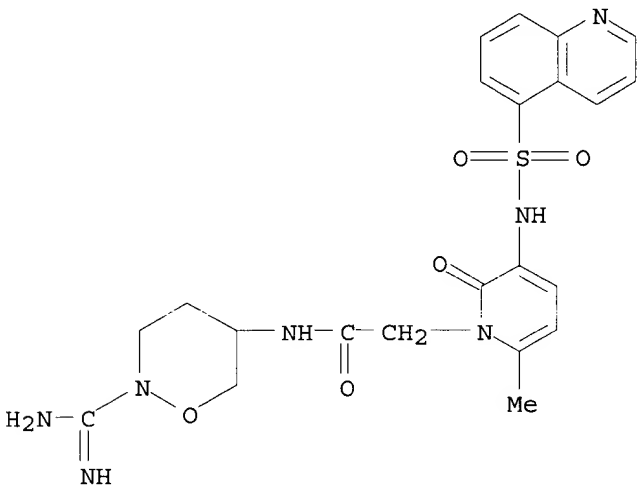
RN 311811-75-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(5-chloro-2-thienyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



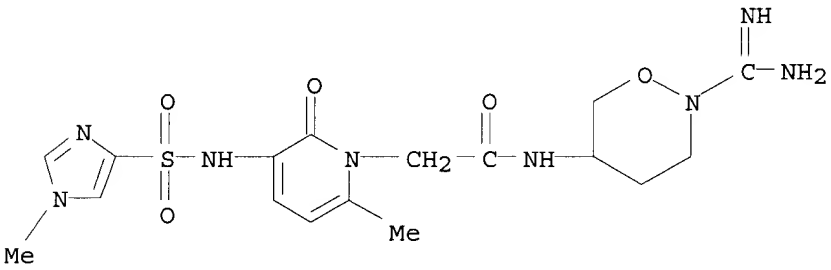
RN 311811-76-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[(8-quinolinylsulfonyl)amino]- (9CI) (CA INDEX NAME)



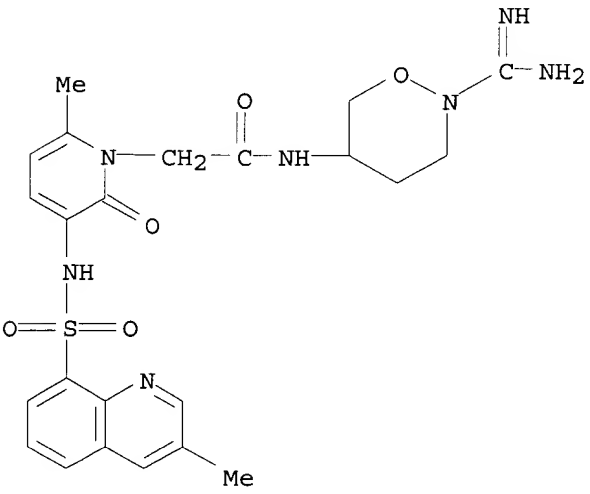
RN 311811-77-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[(5-quinolinylsulfonyl)amino]- (9CI) (CA INDEX NAME)



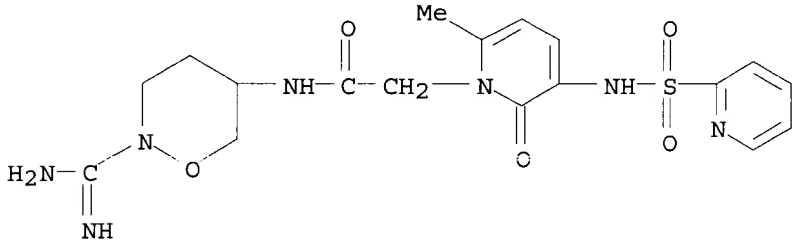
RN 311811-78-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



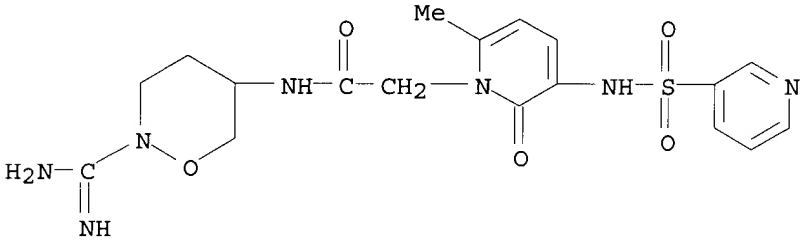
RN 311811-79-7 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-3-[[(3-methyl-8-quinolinyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



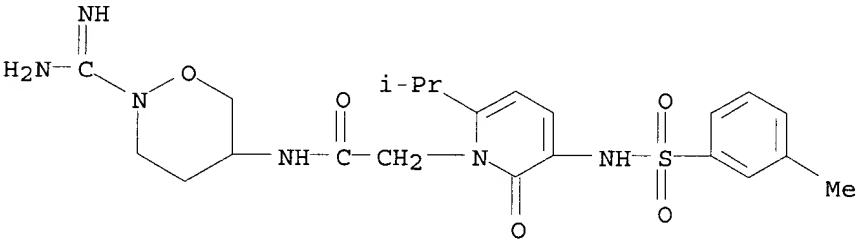
RN 311811-80-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[(2-pyridinylsulfonyl)amino]- (9CI) (CA INDEX NAME)



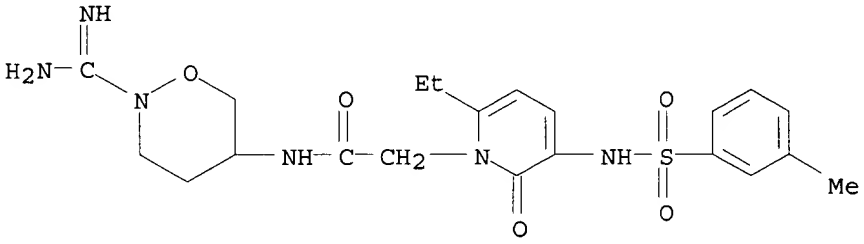
RN 311811-81-1 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[(3-pyridinylsulfonyl)amino]- (9CI) (CA INDEX NAME)



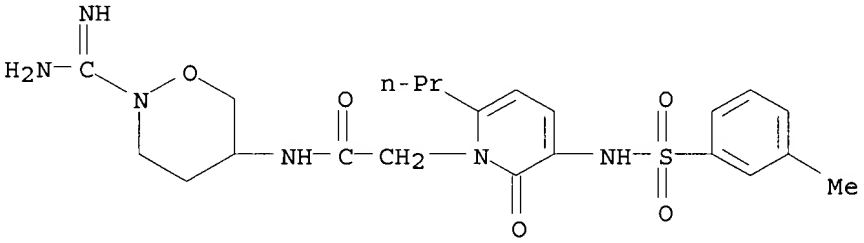
RN 311811-82-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-(1-methylethyl)-3-[[(3-methylphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



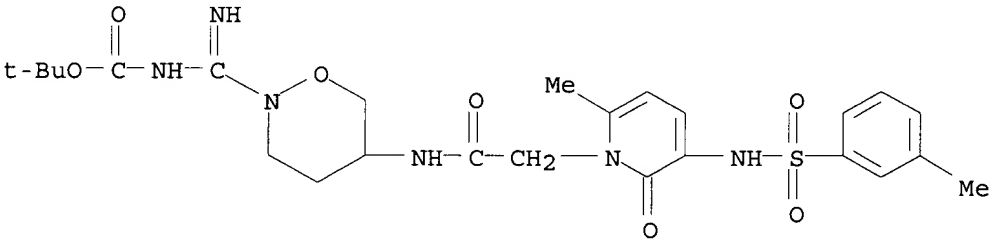
RN 311811-83-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-ethyl-3-[[(3-methylphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 311811-84-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-3-[[(3-methylphenyl)sulfonyl]amino]-2-oxo-6-propyl- (9CI) (CA INDEX NAME)



IT **311812-63-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and biol. activity of oxazaheterocycles as protease inhibitors)
RN 311812-63-2 CAPLUS
CN Carbamic acid, [imino[tetrahydro-5-[[[6-methyl-3-[[(3-methylphenyl)sulfonyl]amino]-2-oxo-1(2H)-pyridinyl]acetyl]amino]-2H-1,2-oxazin-2-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6
REFERENCE(S):
(1) Cor Therapeutics Inc; WO 9816547 A 1998 CAPLUS
(2) Corvas International Inc; WO 9618644 A 1996 CAPLUS
(3) Corvas International Inc; WO 9746207 A 1997 CAPLUS
(4) Merck & Co Inc; WO 9701338 A 1997 CAPLUS
(5) Merck & Co Inc; WO 9730708 A 1997 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:814302 CAPLUS
DOCUMENT NUMBER: 133:362963
TITLE: Preparation of .beta.-amino acid derivatives that inhibit the binding of integrins to their receptors
INVENTOR(S): Biediger, Ronald J.; Chen, Qi; Holland, George W.;

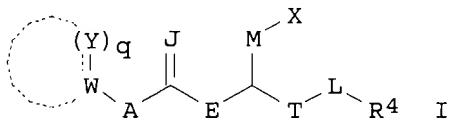
09/ 574,740

Kassir, Jamal M.; Li, Wen; Market, Robert V.; Scott, Ian L.; Wu, Chengde
PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000067746	A1	20001116	WO 2000-US12303	20000505

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-132197 P 19990507
OTHER SOURCE(S): MARPAT 133:362963
GI



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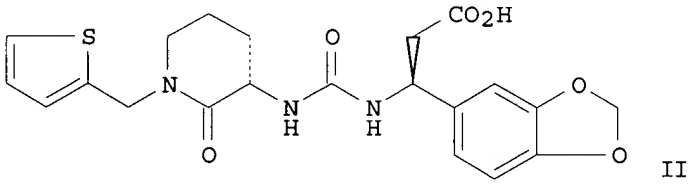


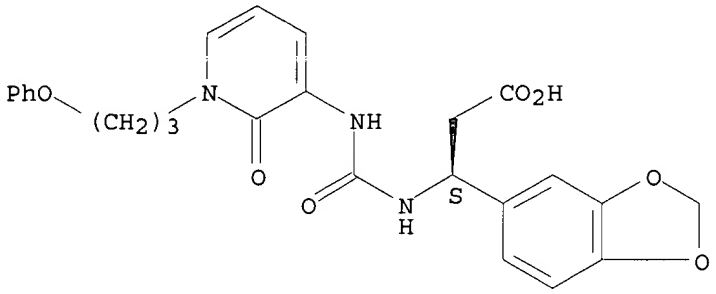
II

AB Title compds. I [Y, at each occurrence, independently = CO, N, CR1, CR2R3, NR5, CH, O, or S; q = 3-10; A = O, S, CR16R17, NR6; E = CH2, O, S, NR7; J = O, S, NR8; M = CR9R10 or (CH2)0-3; T = CO or (CH2)0-3; L = O, NR11, S, (CH2)0-1; X = CO2B, PO3H2, SO3H, SO2NH2, SO2NHCOR12, OPO3H2, CONHCOR13, CONHSO2R14, tetrazolyl, hydroxyl, H; W = C, CR15, N; B, R1-17 = H, halo, hydroxyl, alkyl, alkoxy, aliph. acyl, CF3, nitro, cycloalkyl, alkylheteroaryl, sulfonyl, carboxyl, etc.] or their pharmaceutically acceptable salts were prepd. for inhibition of the binding of .alpha.4.beta.1 integrin to its receptors. Thus, II was prepd. and assayed (IC50 = 0.2 .mu.M) for its ability to suppress binding using a 26-amino acid peptide contg. the CS-1 sequence of fibronectin with N-terminal cysteine coupled to maleimide activated ovalbumin.
IT **307522-19-6P 307522-23-2P 307522-79-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)
(prepn. of .beta.-amino acid derivs. that inhibit the binding of integrins to their receptors)

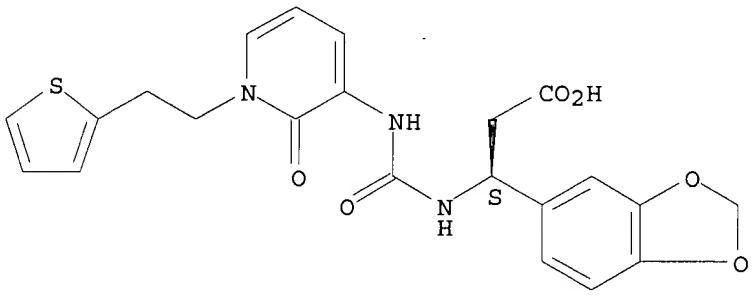
RN 307522-19-6 CAPLUS
CN 1,3-Benzodioxole-5-propanoic acid, .beta.-[[[[1,2-dihydro-2-oxo-1-(3-phenoxypropyl)-3-pyridinyl]amino]carbonyl]amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



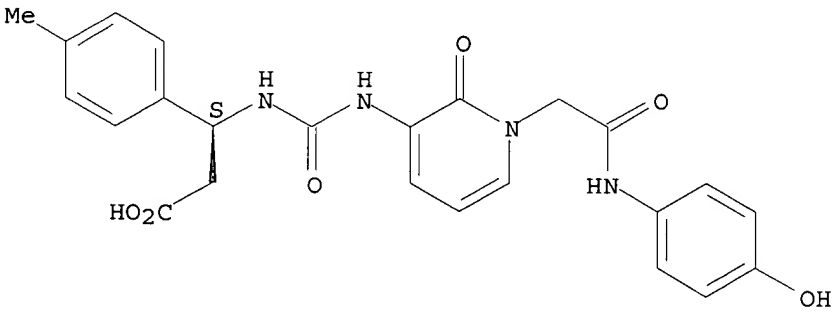
RN 307522-23-2 CAPLUS
CN 1,3-Benzodioxole-5-propanoic acid, .beta.-[[[[1,2-dihydro-2-oxo-1-[2-(2-thienyl)ethyl]-3-pyridinyl]amino]carbonyl]amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 307522-79-8 CAPLUS
CN Benzenepropanoic acid, .beta.-[[[[1,2-dihydro-1-[2-[(4-hydroxyphenyl)amino]-2-oxoethyl]-2-oxo-3-pyridinyl]amino]carbonyl]amino]-4-methyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3
REFERENCE(S): (1) Abood; US 5484946 A 1996 CAPLUS

(2) Abood; US 5721366 A 1998 CAPLUS
(3) Walters; J Med Chem 1994, V37, P2527 CAPLUS

L4 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:725485 CAPLUS
DOCUMENT NUMBER: 133:296658
TITLE: Preparation of desleucyl glycopeptide antibiotics
INVENTOR(S): Kahne, Daniel; Walker, Suzanne; Silva, Domingos J.
PATENT ASSIGNEE(S): The Trustees of Princeton University, USA; Incara Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059528	A1	20001012	WO 2000-US8559	20000331

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-127516 P 19990402

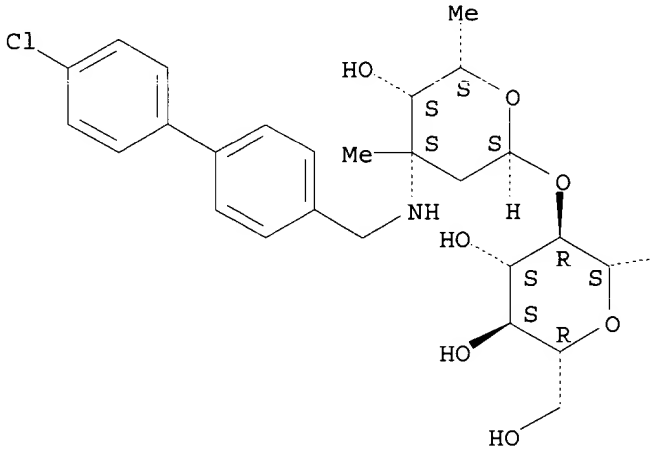
AB Compds. that are analogs of glycopeptide antibiotics are disclosed. The compds. have the formula A1-A2-A3-A4-A5-A6-A7, where each of the groups A2 to A7 is a modified or unmodified .alpha.-amino acid residue, A1 is optional and, when present, is an org. group other than N-substituted leucine, and at least one of the groups A1 to A7 is linked via a glycosidic bond to one or more glycosidic groups each having one or more sugar residues, where at least one of said sugar residues is modified to bear at least one hydrophobic substituent. Methods of making these compds., compns. including these compds., and methods of using the compds. to treat infections in a host are also disclosed. Antibacterial test data are tabulated for > 350 compds. of the invention.

IT **300579-71-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of desleucyl glycopeptide antibiotics)

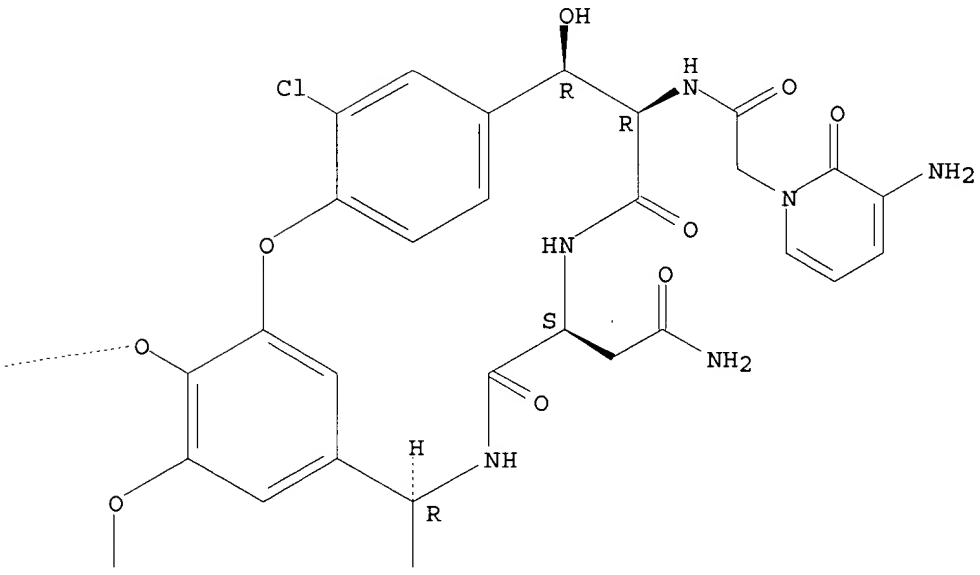
RN 300579-71-9 CAPLUS
CN Vancomycin, 49-[(3-amino-2-oxo-1(2H)-pyridinyl)acetyl]-N3''-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-49-de[4-methyl-2-(methylamino)-1-oxopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

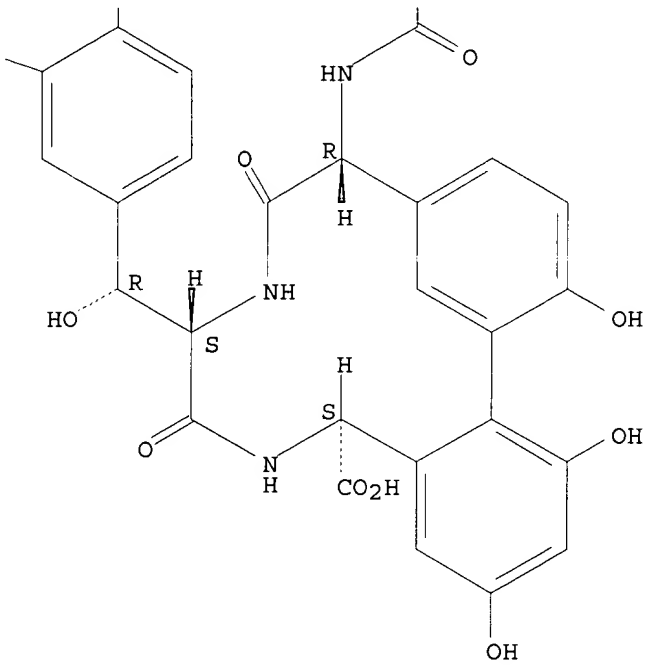


PAGE 1-B



PAGE 2-A

Cl



REFERENCE COUNT: 5
REFERENCE(S):
(1) Eli Lilly And Company; WO 9956760 A1 1999 CAPLUS
(2) Gruppo Lepetit S P A; WO 9702288 A1 1997 CAPLUS
(3) Kramer; US 4791100 A 1988 CAPLUS
(4) Nagarajan; US 4643987 A 1987 CAPLUS
(5) Thompson; US 5977063 A 1999 CAPLUS

L4 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:591006 CAPLUS
DOCUMENT NUMBER: 133:328956
TITLE: Determination of enantiomers in a synthetic argininal peptide using capillary zone electrophoresis and high-performance liquid chromatography
AUTHOR(S): Dan, N.; Ganesan, R.; Flood, K. G.; Tsai, D.; Reif, V. D.
CORPORATE SOURCE: Department of Chemical Development, Department of Analytical Development, Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: J. Chromatogr., A (2000), 891(1), 115-127
CODEN: JCRAEY; ISSN: 0021-9673
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

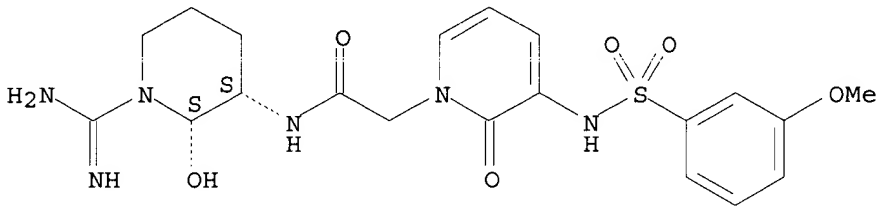
AB SCH 201781 is a synthetic argininal peptide contg. two chiral centers and an arom. sulfonamide group. It can exist as four reversible forms, the aldehyde, the hydrate, and two diastereomeric aminals. Capillary zone electrophoresis (CZE) and reversed-phase HPLC methods were developed to sep. and quantitate the enantiomers in SCH 201781. Comparable results were obtained using both methods. The CZE method uses direct injection, while the HPLC method requires a precolumn derivatization and is more time consuming. The CZE method provides superior sensitivity to the HPLC method. Both methods are precise and reproducible.

IT **303114-41-2 303114-42-3 303114-43-4 303114-44-5**
RL: ANT (Analyte); PEP (Physical, engineering or chemical process); ANST

(Analytical study); PROC (Process)
(detn. of enantiomers in a synthetic argininal peptide using capillary zone electrophoresis and high-performance liq. chromatog.)

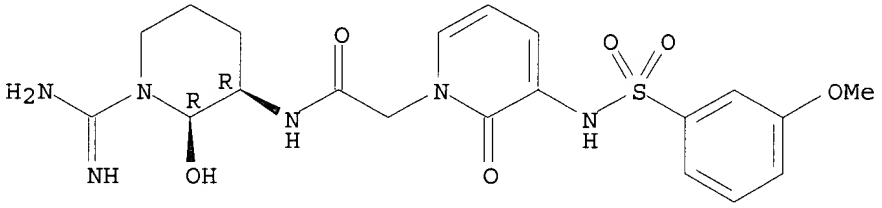
RN 303114-41-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(2S,3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[(3-methoxyphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



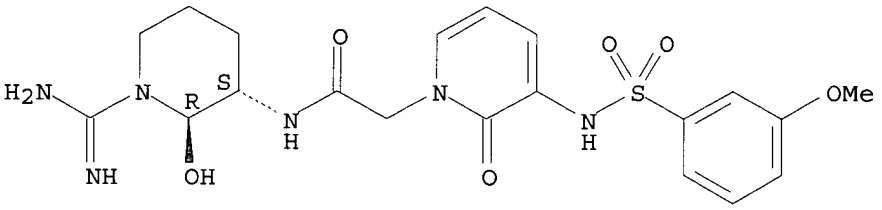
RN 303114-42-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(2R,3R)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[(3-methoxyphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



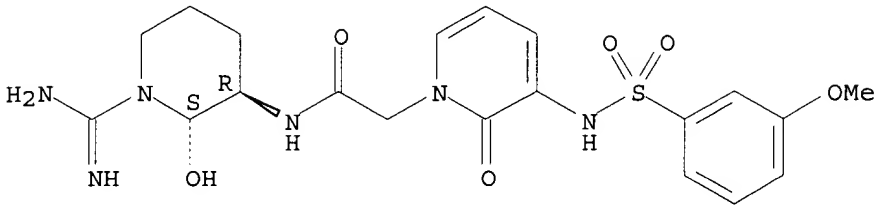
RN 303114-43-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(2R,3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[(3-methoxyphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



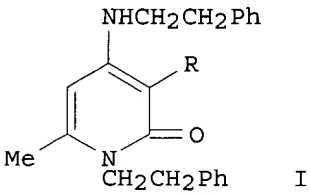
RN 303114-44-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(2S,3R)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[(3-methoxyphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



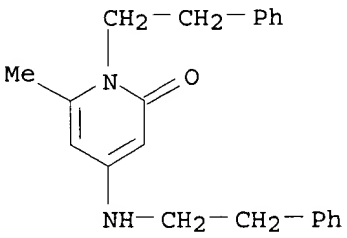
REFERENCE COUNT: 24
REFERENCE(S):
(2) Chankvetadze, B; Electrophoresis 1994, V15, P804 CAPLUS
(3) Chankvetadze, B; J Chromatogr A 1995, V704, P234 CAPLUS
(4) Chankvetadze, B; J Chromatogr A 1995, V717, P245 CAPLUS
(5) Chankvetadze, B; J Chromatogr A 1996, V732, P183 CAPLUS
(6) Chankvetadze, B; J Chromatogr A 1997, V792, P269 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:47771 CAPLUS
DOCUMENT NUMBER: 132:265067
TITLE: 6-Methyl-3-nitroso-1-phenethyl-4-(phenethylamino)-2(1H)-pyridinone
AUTHOR(S): Stoyanov, Edmont V.; Ivanov, Ivo C.
CORPORATE SOURCE: Faculty of Pharmacy, Medical University of Sofia, Sofia, Bulg.
SOURCE: Molecules (1999), 4(12), M125
CODEN: MOLEFW; ISSN: 1420-3049
URL: http://www.mdpi.org/molbank/m0125.htm
PUBLISHER: Molecular Diversity Preservation International
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:265067
GI

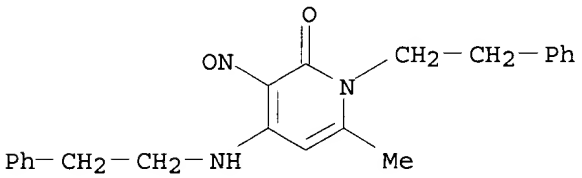


I

AB The title compd. (I, R = NO) was prepd. by nitrosation of I (R = H).
IT **84259-94-9**
RL: RCT (Reactant)
(nitrosation of)
RN 84259-94-9 CAPLUS
CN 2(1H)-Pyridinone, 6-methyl-1-(2-phenylethyl)-4-[(2-phenylethyl)amino]-(9CI) (CA INDEX NAME)



IT **263383-50-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 263383-50-2 CAPLUS
CN 2(1H)-Pyridinone, 6-methyl-3-nitroso-1-(2-phenylethyl)-4-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5
REFERENCE(S):
(1) Castillo, S; Bull Soc Chim Fr 1982, PII-257
(2) Dornow, A; Chem Ber 1966, V99, P254 CAPLUS
(3) Ivanov, I; Farmatsiya (Sofia) 1997, V44(2), P3 CAPLUS
(4) Ivanov, I; Liebigs Ann/Recueil 1997, P1777 CAPLUS
(5) Stoyanov, E; Synth Commun 1998, V28(10), P1755 CAPLUS

L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:10664 CAPLUS
DOCUMENT NUMBER: 132:64536
TITLE: Preparation of aromatic heterocyclic derivatives as enzyme inhibitors
INVENTOR(S): Tamura, Susan Yoshiko; Semple, Joseph Edward; Ripka, William Charles; Ardecky, Robert John; Ge, Yu; Carpenter, Stephen H.; Brunck, Terence K.; Lim-Wilby, Marguerita S. L.; Nutt, Ruth F.; Abelman, Matthew M.
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: U.S., 86 pp., Cont.-in-part of U.S. Ser. No. 573,775.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6011158	A	20000104	US 1996-659983	19960607
US 5656645	A	19970812	US 1995-484506	19950607
US 5658930	A	19970819	US 1995-481660	19950607
US 6008351	A	19991228	US 1995-573775	19951218
WO 9746207	A2	19971211	WO 1997-US9818	19970609
WO 9746207	A3	19980423		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,

LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
ML, MR, NE, SN, TD, TG

AU 9733790 A1 19980105 AU 1997-33790 19970609
PRIORITY APPLN. INFO.: US 1994-356833 19941213
US 1995-481660 19950607
US 1995-484506 19950607
US 1995-573775 19951218
US 1996-659983 19960607
WO 1997-US9818 19970609

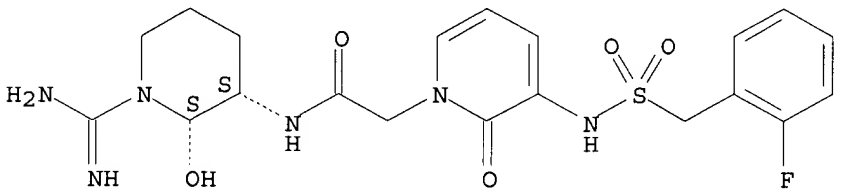
OTHER SOURCE(S): MARPAT 132:64536

AB Peptide aldehydes R1-X-NH-Het-CHR2CONHCH(CH2R3)CHO-(S) [X = SO2, NR'SO2 (R' = H, alkyl, aryl, aralkyl), CO, O2C, NHCO, P(O)R''(R'' = NR', OR', R', SR') or a direct link; R1 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.; R2 = H, alkyl, alkenyl; R3 = 2-guanidinoethyl, 3-amidinocyclohexyl or -Ph, or 1-amidino-3-piperidinyl; Het = substituted 2-oxo-1,3-pyridinediyl] were prepd. as thrombin inhibitors. Thus, N-[3-[(benzylsulfonyl)amino]-2-oxo-1,2-dihydropyridyl]acetyl-L-argininal, prepd. by a multistep procedure which starts with conversion of N.alpha.-tert-butoxycarbonyl-N.gamma.-nitroarginine to the lactam, showed Ki = 289 .+-. 32 pM for inhibition of human .alpha.-thrombin amidolytic activity.

IT **199873-32-0P 199873-70-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arom. heterocyclic derivs. as enzyme inhibitors)

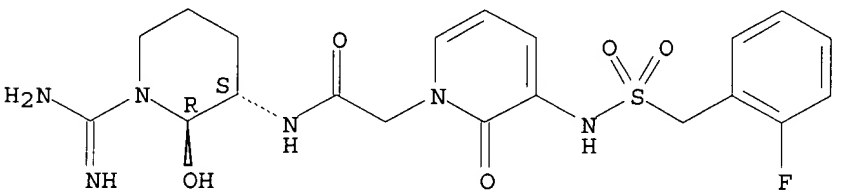
RN 199873-32-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(2S,3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 199873-70-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(2R,3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

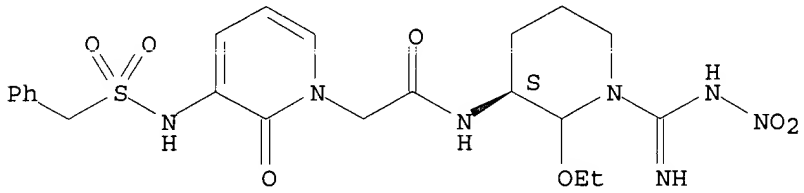


IT **193278-20-5P 195204-07-0P 199873-27-3P**

252860-83-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of arom. heterocyclic derivs. as enzyme inhibitors)

RN 193278-20-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

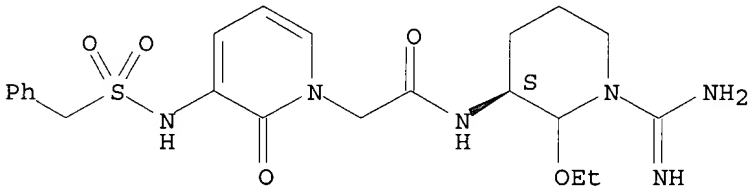


RN 195204-07-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

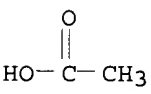
CRN 195204-06-9
CMF C22 H30 N6 O5 S

Absolute stereochemistry.



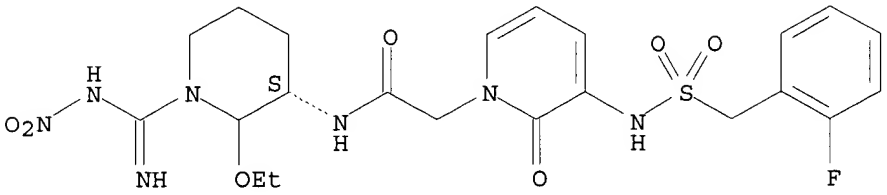
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 199873-27-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

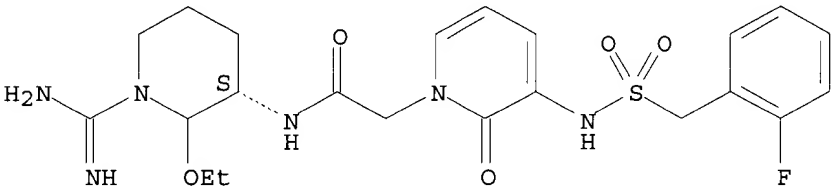


RN 252860-83-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

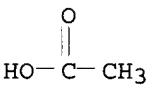
CRN 199873-29-5
CMF C22 H29 F N6 O5 S

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2



REFERENCE COUNT: 10
REFERENCE(S): (1) Anon; WO 94/17817 1994 CAPLUS
(2) Anon; WO 9526958 1995 CAPLUS
(3) Bernstein; J Med Chem 1994, V37(20), P3313 CAPLUS
(7) Tamura; US 5656646 1997 CAPLUS
(8) Tamura; US 5658930 1997 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:818256 CAPLUS
DOCUMENT NUMBER: 132:50256
TITLE: Preparation of aromatic heterocyclic derivatives as enzyme inhibitors
INVENTOR(S): Tamura, Susan Yoshiko; Semple, Joseph Edward; Ripka, William Charles; Ardecky, Robert John; Ge, Yu; Carpenter, Stephen H.; Brunck, Terence K.; Lim-Wilby, Marguerita S. L.; Nutt, Ruth F.; Abelman, Matthew M.
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: U.S., 80 pp., Cont.-in-part of U.S. 5,658,930.
CODEN: USXXAM

09/ 574,740

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6008351	A	19991228	US 1995-573775	19951218
US 5656645	A	19970812	US 1995-484506	19950607
US 5658930	A	19970819	US 1995-481660	19950607
US 6011158	A	20000104	US 1996-659983	19960607

PRIORITY APPLN. INFO.: US 1994-356833 19941213
US 1995-481660 19950607
US 1995-484506 19950607
US 1995-573775 19951218

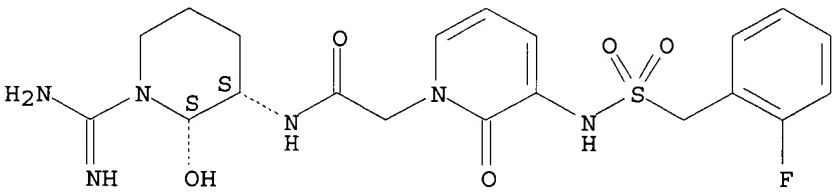
OTHER SOURCE(S): MARPAT 132:50256

AB Peptide aldehydes R1-X-NH-Het-CHR2CONHCH(CH2R3)CHO-(S) [X = SO2, NR'SO2 (R' = H, alkyl, aryl, aralkyl), CO, O2C, NHCO, P(O)R'', or a direct link; R1 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.; R2 = H, alkyl, alkenyl; R3 = 2-guanidinoethyl, 3-amidinocyclohexyl or -Ph, or 1-amidino-3-piperidinyl; Het is a heterocyclic group, e. g., (un)substituted 4-oxo- or 2,4-dioxo-3,5-pyrimidinediyl] were prepd. as thrombin inhibitors. Thus, N-[3-[(benzylsulfonyl)amino]-2-oxo-1,2-dihydropyridyl]acetyl-L-argininal was prepd., prepd. by a multistep procedure which starts with conversion of N.alpha.-tert-butoxycarbonyl-N.gamma.-nitroarginine to the lactam, showed Ki = 289 .+-. 32 pM for inhibition of human .alpha.-thrombin amidolytic activity.

IT **199873-32-0P 199873-70-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arom. heterocyclic derivs. as enzyme inhibitors)

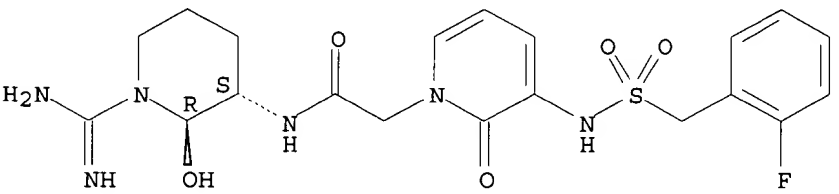
RN 199873-32-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(2S,3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 199873-70-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(2R,3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

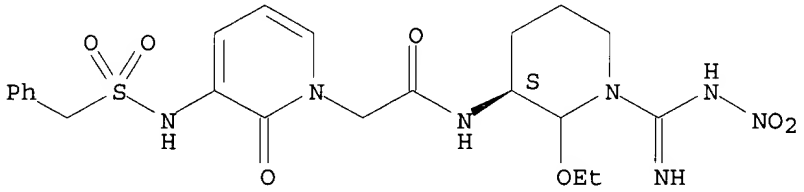
Absolute stereochemistry.



IT **193278-20-5P 195204-07-0P 199873-27-3P 252860-83-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of arom. heterocyclic derivs. as enzyme inhibitors)

RN 193278-20-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

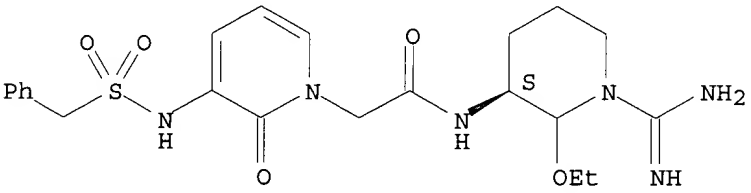


RN 195204-07-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

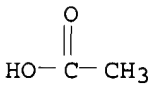
CRN 195204-06-9
CMF C22 H30 N6 O5 S

Absolute stereochemistry.



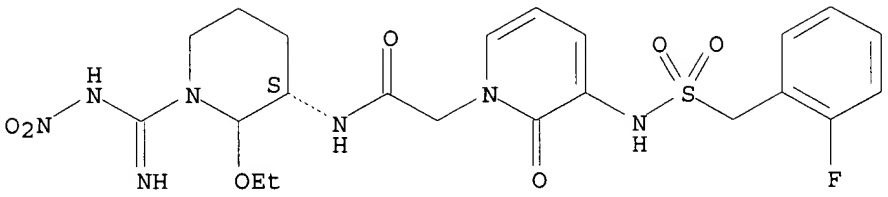
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 199873-27-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

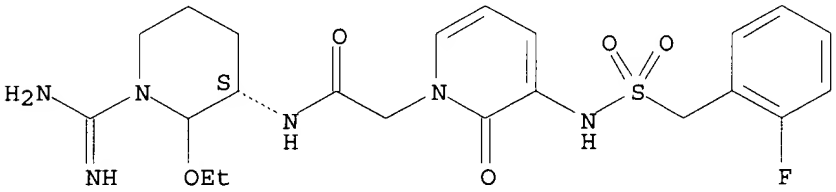


RN 252860-83-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

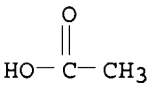
CRN 199873-29-5
CMF C22 H29 F N6 O5 S

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2



REFERENCE COUNT: 11
REFERENCE(S):
(1) Anon; WO 94/17817 1994 CAPLUS
(2) Anon; WO 95/26958 1995 CAPLUS
(4) Damewood; J Med Chem 1994, V37, P3303 CAPLUS
(6) Skiles; Bioorg Med Chem Lett 1993, V3(4), P773 CAPLUS
(7) Tamura; US 5656645 1997 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:726400 CAPLUS
DOCUMENT NUMBER: 131:351211
TITLE: The microwave-assisted nucleophilic substitution of 4-hydroxy-6-methyl-2(1H)-pyridones
AUTHOR(S): Heber, Dieter; Stoyanov, Edmont V.
CORPORATE SOURCE: Dep. Pharmaceutical Chemistry, Univ. Kiel, Kiel, D-24118, Germany
SOURCE: Synlett (1999), (11), 1747-1748
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag

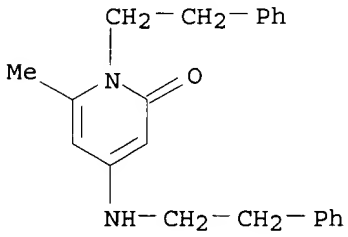
09/ 574,740

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:351211

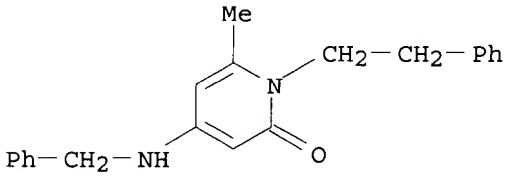
AB The condensation of 4-hydroxy-6-methyl-2(1H)-pyridones with araliph. amino compds. gives rise to 4-alkylamino-6-methyl-2(1H)-pyridones. Irradn. using an ordinary domestic microwave oven provides a fast and simple method for their prepn. However, under the conditions used, aliph. and arom. amines gave no reaction.

IT **84259-94-9P 195005-29-9P 250278-81-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(microwave-assisted nucleophilic substitution of hydroxypyridones with amines)

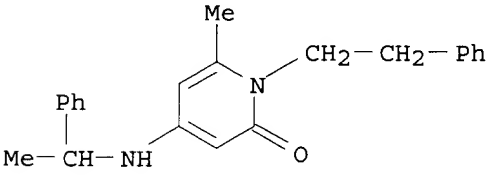
RN 84259-94-9 CAPLUS
CN 2(1H)-Pyridinone, 6-methyl-1-(2-phenylethyl)-4-[(2-phenylethyl)amino]-(9CI) (CA INDEX NAME)



RN 195005-29-9 CAPLUS
CN 2(1H)-Pyridinone, 6-methyl-1-(2-phenylethyl)-4-[(phenylmethyl)amino]-(9CI) (CA INDEX NAME)



RN 250278-81-0 CAPLUS
CN 2(1H)-Pyridinone, 6-methyl-1-(2-phenylethyl)-4-[(1-phenylethyl)amino]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 8
REFERENCE(S):

(1) Caddick, S; Tetrahedron 1995, V51, P10403 CAPLUS
(3) Giguere, R; Organic Synthesis: Theory and Application 1989, V1 CAPLUS
(5) Ivanov, I; Farmatsyia (Sofia) 1997, V44(2), P3 CAPLUS
(6) Ivanov, I; Liebigs Ann/Recueil 1997, P1777 CAPLUS
(7) Loupy, A; Synthesis 1998, P1213 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:404935 CAPLUS
DOCUMENT NUMBER: 131:59136
TITLE: Pyridones as Src family SH2 domain inhibitors
INVENTOR(S): Betageri, Rajashekhar; Beaulieu, Pierre L.; Llinas-Brunet, Montse; Ferland, Jean-Marie; Cardozo, Mario; Moss, Neil; Patel, Usha; Proudfoot, John R.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931066	A1	19990624	WO 1998-US26123	19981209
W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SK, TR, UA, UZ, VN				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9917194	A1	19990705	AU 1999-17194	19981209
US 6054470	A	20000425	US 1998-208113	19981209
EP 1045836	A1	20001025	EP 1998-962022	19981209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, RO				
US 6268365	B1	20010731	US 1999-438629	19991112
US 6284768	B1	20010904	US 1999-438647	19991112
US 6156784	A	20001205	US 1999-455633	19991207

PRIORITY APPLN. INFO.:
US 1997-69971 P 19971218
US 1998-208113 A3 19981209
WO 1998-US26123 W 19981209
US 1999-129414 P 19990415

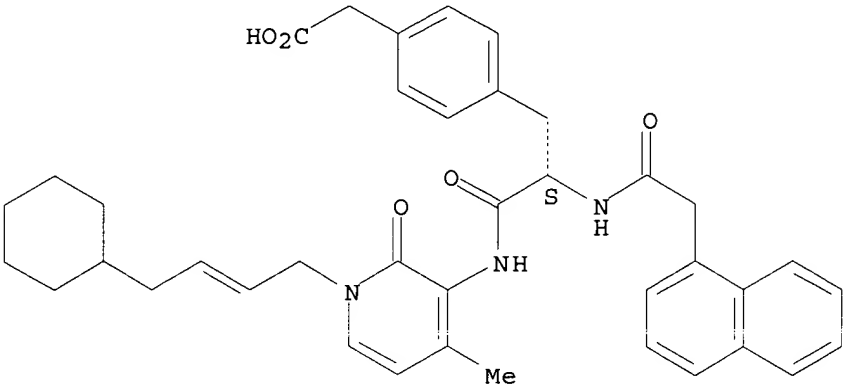
OTHER SOURCE(S): MARPAT 131:59136

AB Compds. A-Q-NB-CH(D-NH-E)-CH2-a-R-C (ring a is selected from cycloalkyl, aryl, heterocyclyl; A = alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkenyl, heterocyclyl, aryl; Q = CO, SO2, C:S; B = H, alkyl, a nitrogen-protecting group; R = bond, alkyl, aryl, heterocyclyl, cycloalkyl linker; C is an acidic functionality that carries one or two neg. charges at physiol. pH; D = CH2, CO, C:S; E are certain six-membered unsatd. heterocycles) were prepd. These compds. possess the ability to disrupt the interaction between regulatory proteins possessing one or more SH2 domains and their native ligands. Thus, 3-[2'(S)-(1''''-naphthylacetyl)amino-3'-[4''-(1'''-carboxy-1'''-methylethyl)benzene]propanoylamino]-1-(4-methoxybenzyl)-4-methyl-2-pyridone was prepd. and showed IC50 = 96 .mu.M for blocking IL-2 prodn. in human blood CD4 pos. T-lymphocytes after T cell receptor and CD28 crosslinking.

IT **228407-80-5P 228407-89-4P 228409-47-0P 228409-63-0P 228409-64-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyridones as Src family SH2 domain inhibitors)

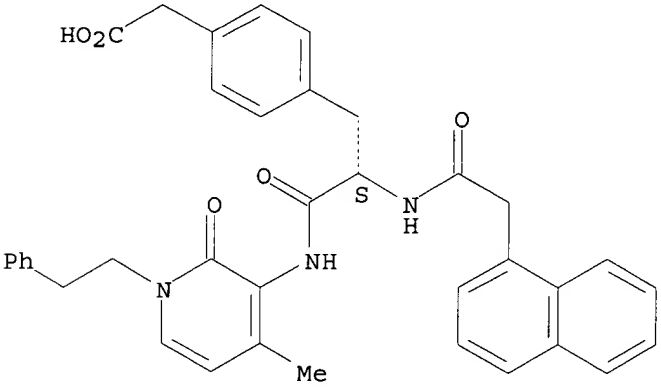
RN 228407-80-5 CAPLUS
CN Benzeneacetic acid, 4-[(2S)-3-[[1-(4-cyclohexyl-2-butenyl)-1,2-dihydro-4-methyl-2-oxo-3-pyridinyl]amino]-2-[(1-naphthalenylacetyl)amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

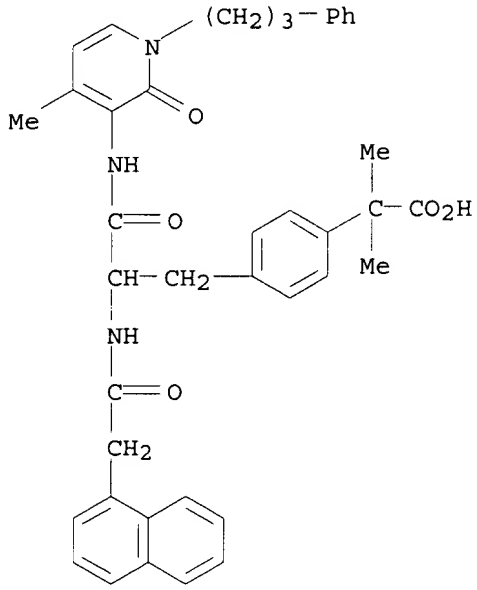


RN 228407-89-4 CAPLUS
CN Benzeneacetic acid, 4-[(2S)-3-[[1,2-dihydro-4-methyl-2-oxo-1-(2-phenylethyl)-3-pyridinyl]amino]-2-[(1-naphthalenylacetyl)amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)

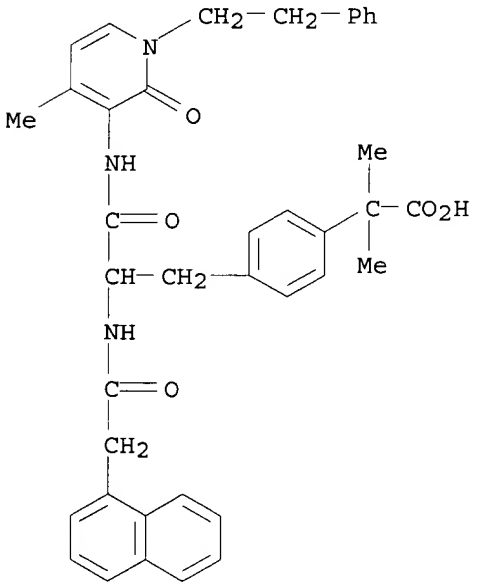
Absolute stereochemistry.



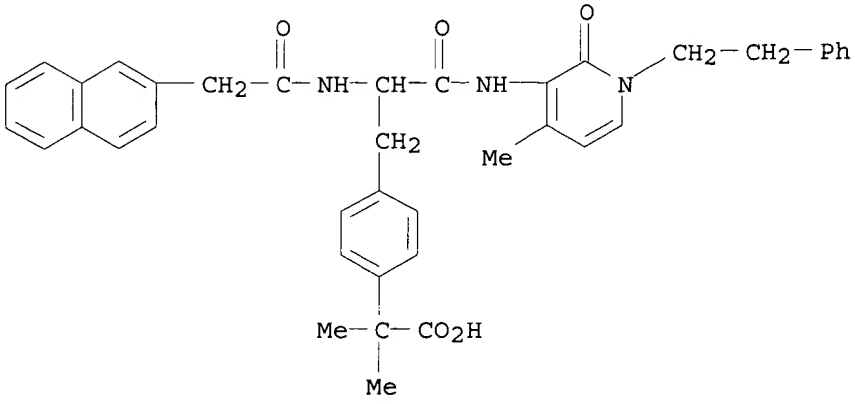
RN 228409-47-0 CAPLUS
CN Benzeneacetic acid, 4-[3-[[1,2-dihydro-4-methyl-2-oxo-1-(3-phenylpropyl)-3-pyridinyl]amino]-2-[(1-naphthalenylacetyl)amino]-3-oxopropyl]-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



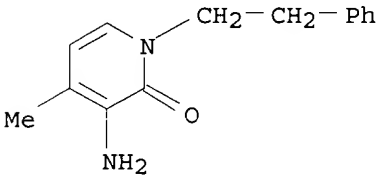
RN 228409-63-0 CAPLUS
CN Benzeneacetic acid, 4-[3-[[1,2-dihydro-4-methyl-2-oxo-1-(2-phenylethyl)-3-pyridinyl]amino]-2-[(1-naphthalenylacetyl)amino]-3-oxopropyl]-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



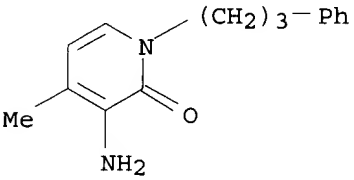
RN 228409-64-1 CAPLUS
CN Benzeneacetic acid, 4-[3-[[1,2-dihydro-4-methyl-2-oxo-1-(2-phenylethyl)-3-pyridinyl]amino]-2-[(2-naphthalenylacetyl)amino]-3-oxopropyl]-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



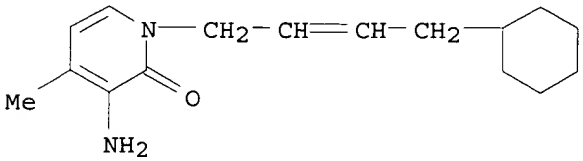
IT **228410-72-8 228410-73-9 228410-76-2**
RL: RCT (Reactant)
(pyridones as Src family SH2 domain inhibitors)
RN 228410-72-8 CAPLUS
CN 2(1H)-Pyridinone, 3-amino-4-methyl-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 228410-73-9 CAPLUS
CN 2(1H)-Pyridinone, 3-amino-4-methyl-1-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



RN 228410-76-2 CAPLUS
CN 2(1H)-Pyridinone, 3-amino-1-(4-cyclohexyl-2-butenyl)-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4
REFERENCE(S):
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(2) Bachovchin, W; US 5580979 A 1996 CAPLUS

(3) Fu, J; Bioorg Med Chem Lett 1998, V8(19), P2813 CAPLUS
(4) Warner-Lambert Co; WO 9712903 A 1997 CAPLUS

L4 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:354483 CAPLUS
DOCUMENT NUMBER: 131:18931
TITLE: Preparation of N-[(oxopyridinylacetamido)alkoxy]guanidines and analogs as protease inhibitors
INVENTOR(S): Lu, Tianbao; Tomczuk, Bruce E.; Markotan, Thomas P.; Siedem, Colleen
PATENT ASSIGNEE(S): 3-Dimensional Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9926926	A1	19990603	WO 1998-US25185	19981125
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9917991	A1	19990615	AU 1999-17991	19981125
US 6037356	A	20000314	US 1998-199167	19981125
EP 1036063	A1	20000920	EP 1998-962838	19981125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001524467	T2	20011204	JP 2000-522084	19981125
US 6245763	B1	20010612	US 2000-482540	20000114

PRIORITY APPLN. INFO.:
US 1997-66475 P 19971126
US 1997-67324 P 19971205
US 1998-79107 P 19980323
US 1998-199167 A3 19981125
WO 1998-US25185 W 19981125

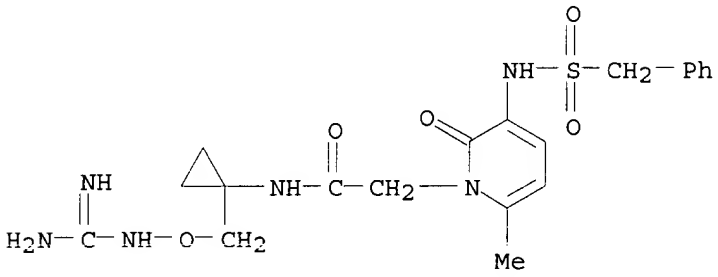
OTHER SOURCE(S): MARPAT 131:18931

AB R1Z1NHZCONHCR12R13(CH2)nCR14R15(CH2)mZ2NR8C(:NRa)NRbRc [I; Ra,Rb,Rc = H, OH, alkoxy(carbonyl), etc.; R1 = (cyclo)alkyl, aryl(alkyl), heterocyclyl, etc.; R7 = H or alk(en)yl; R8 = H, alk(en)yl, aryl, etc.; R12-R15 = H, (un)substituted alkyl, aryl(alkyl), etc.; R12R13,R14R15 = alkylene; R12R14 = bond or alkylene; Z = (un)substituted 1,2-dihydro-2-oxopyridine-3,1-diyl, (un)substituted 3,4-dihydro-4-oxopyrimidine-5,3-diyl, etc.; Z1 = bond, SO2, O2C, etc.; Z2 = O, (alkyl)imino, etc.; m = 0-6; n = 0-8] were prepd. Thus, PhCH2SO2NHZCH2CO2H was amidated by protected H2N(CH2)3ONHC(:NH)NH2 (prepn. each given) to give, after deprotection, PhCH2SO2NHZCH2CONH(CH2)3ONHC(:NH)NH2. Data for biol. activity of I were given.

IT **226566-48-9P 226566-49-0P 226566-51-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-[(oxopyridinylacetamido)alkoxy]guanidines and analogs as protease inhibitors)

RN 226566-48-9 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-[[[(aminoiminomethyl)amino]oxy]methyl]cyclopropyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX

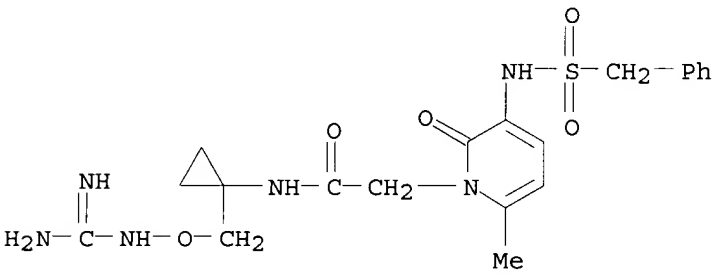
NAME)



RN 226566-49-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-[[[(aminoiminomethyl)amino]oxy]methyl]cyclopropyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

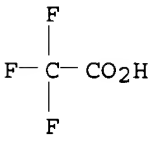
CM 1

CRN 226566-48-9
CMF C20 H26 N6 O5 S



CM 2

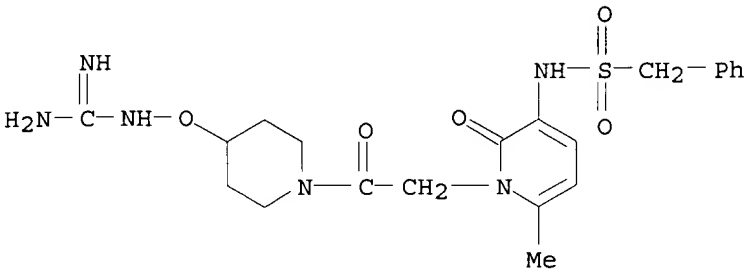
CRN 76-05-1
CMF C2 H F3 O2



RN 226566-51-4 CAPLUS
CN Piperidine, 4-[[(aminoiminomethyl)amino]oxy]-1-[[6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

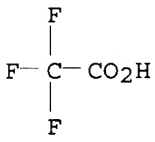
CM 1

CRN 226566-50-3
CMF C21 H28 N6 O5 S

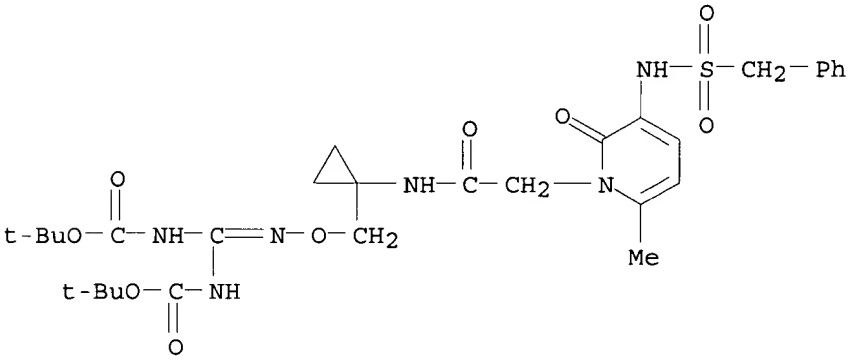


CM 2

CRN 76-05-1
CMF C2 H F3 O2



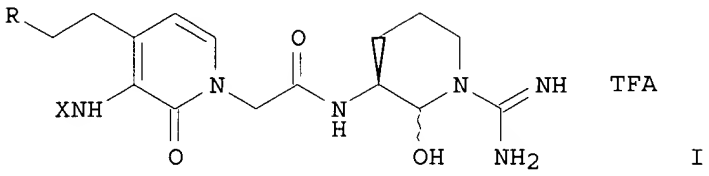
IT **226569-41-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N-[(oxopyridinylacetamido)alkoxy]guanidines and analogs as protease inhibitors)
RN 226569-41-1 CAPLUS
CN Carbamic acid, [[[1-[[[6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]acetyl]amino]cyclopropyl]methoxy]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3
REFERENCE(S): (1) Naylor, O; WO 9701338 A 1997 CAPLUS
(2) Sanderson, P; WO 9730708 A 1997 CAPLUS
(3) Tamura, S; WO 9618644 A 1996 CAPLUS

L4 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:275295 CAPLUS
DOCUMENT NUMBER: 131:19283
TITLE: Investigation of the S3 site of thrombin: design, synthesis and biological activity of 4-substituted 3-amino-2-pyridones incorporating P1-argininals
AUTHOR(S): Reiner, John E.; Lim-Wilby, Margeurita S.; Brunck,

Terence K.; Ha-Uong, Theresa; Goldman, Erick A.; Abelman, Matthew A.; Nutt, Ruth F.; Semple, J. Edward; Tamura, Susan Y.
CORPORATE SOURCE: Department of Medicinal Chemistry, Corvas International, Inc., San Diego, CA, 92121, USA
SOURCE: Bioorg. Med. Chem. Lett. (1999), 9(6), 895-900
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

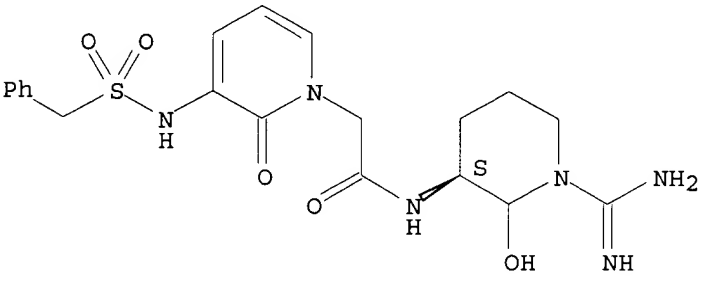
AB The novel scaffold for P4-P2 dipeptide mimics with a rigid pyridone spacer was designed based on a virtual library strategy. Contg. a P1-argininal sequence, several selected nonpeptidic 4-aralkyl or 4-alkylpyridones I (X = SO2Me, SO2Et, SO2Ph, SO2NHMe, COMe; R = Ph, CH2Ph, cyclohexyl) were prepd. The modeling studies, synthesis and biol. activities of these unique pyridone derivs. are reported herein.

IT **226710-96-9**, CVS 1801
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(synthesis and biol. activity of pyridone-contg. peptidomimetic thrombin inhibitors, designed after thrombin S3 site)

RN 226710-96-9 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **226569-93-3P 226569-95-5P 226569-97-7P 226569-99-9P 226570-01-0P 226570-03-2P 226570-05-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and biol. activity of pyridone-contg. peptidomimetic thrombin inhibitors, designed after thrombin S3 site)

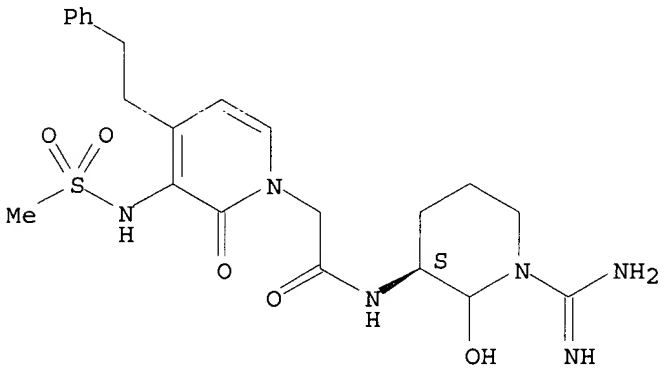
RN 226569-93-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[(methylsulfonyl)amino]-2-oxo-4-(2-phenylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

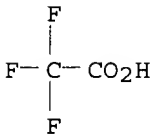
CRN 226569-92-2
CMF C22 H30 N6 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

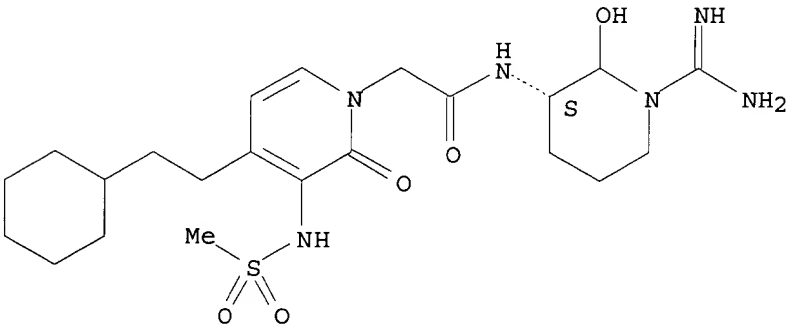


RN 226569-95-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-4-(2-cyclohexylethyl)-3-[(methylsulfonyl)amino]-2-oxo-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

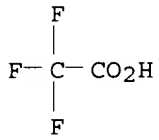
CRN 226569-94-4
CMF C22 H36 N6 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

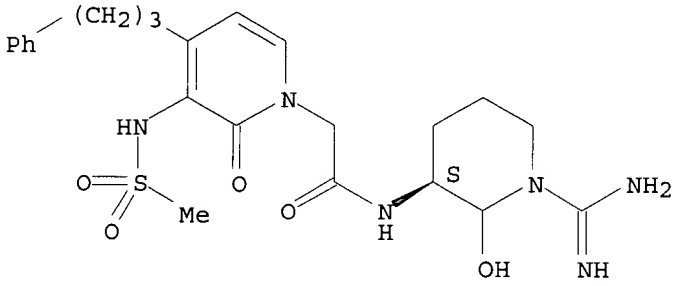


RN 226569-97-7 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[(methylsulfonyl)amino]-2-oxo-4-(3-phenylpropyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

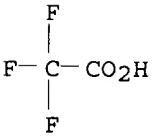
CRN 226569-96-6
CMF C23 H32 N6 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

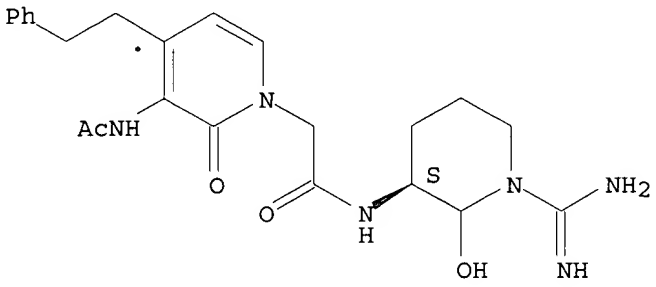


RN 226569-99-9 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-(acetylamino)-N-[(3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-2-oxo-4-(2-phenylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

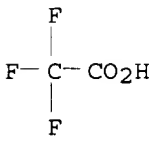
CRN 226569-98-8
CMF C23 H30 N6 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

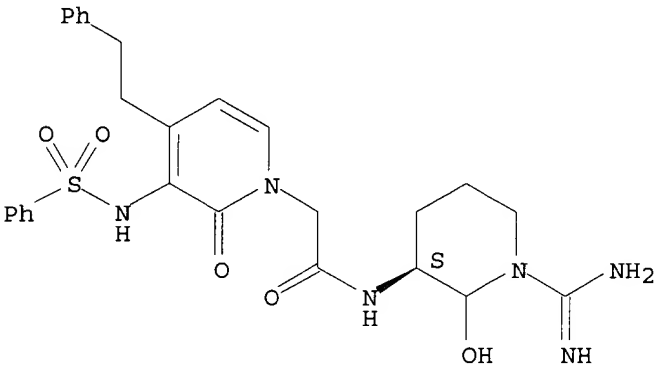


RN 226570-01-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-2-oxo-4-(2-phenylethyl)-3-[(phenylsulfonyl)amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

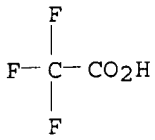
CRN 226570-00-9
CMF C27 H32 N6 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

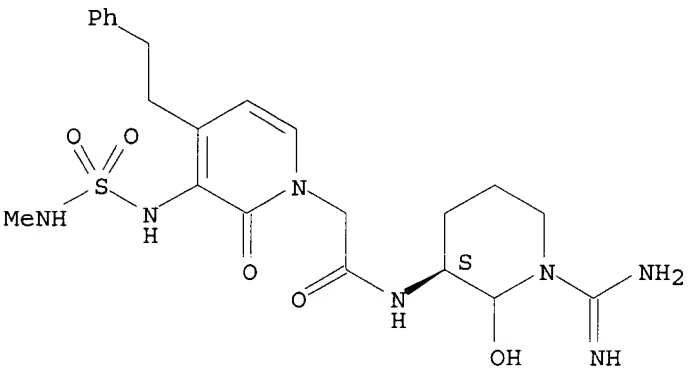


RN 226570-03-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[(methylamino)sulfonyl]amino]-2-oxo-4-(2-phenylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

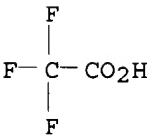
CRN 226570-02-1
CMF C22 H31 N7 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

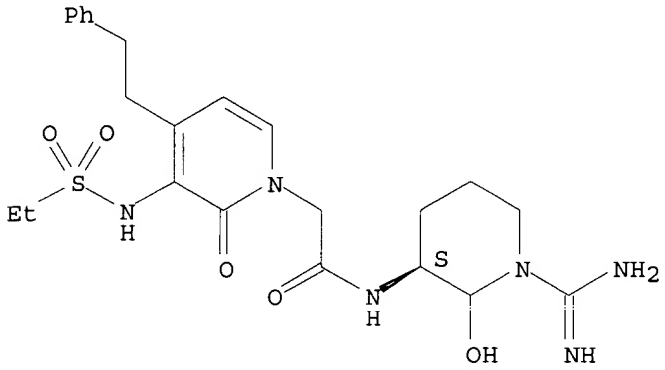


RN 226570-05-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[(ethylsulfonyl)amino]-2-oxo-4-(2-phenylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

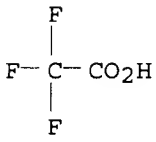
CRN 226570-04-3
CMF C23 H32 N6 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



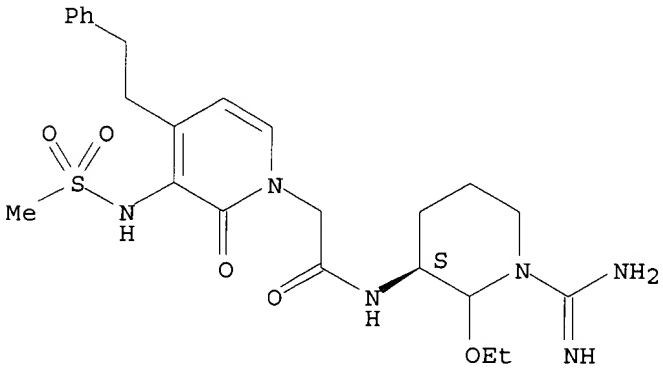
IT **226570-11-2P 226570-13-4P 226570-15-6P**
226570-17-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and biol. activity of pyridone-contg. peptidomimetic thrombin inhibitors, designed after thrombin S3 site)

RN 226570-11-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-3-[(methylsulfonyl)amino]-2-oxo-4-(2-phenylethyl)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

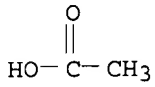
CRN 226570-10-1
CMF C24 H34 N6 O5 S

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

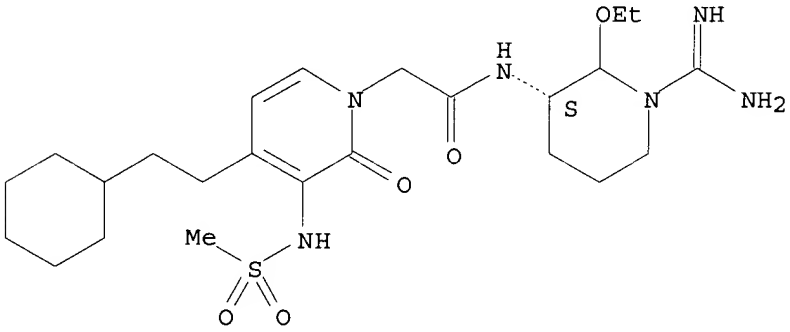


RN 226570-13-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-4-(2-cyclohexylethyl)-3-[(methylsulfonyl)amino]-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

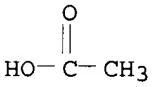
CRN 226570-12-3
CMF C24 H40 N6 O5 S

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

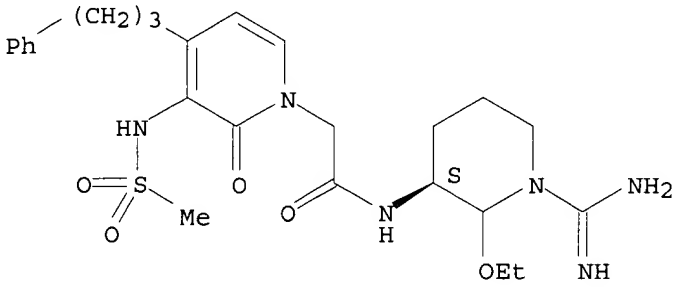


RN 226570-15-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-3-[(methylsulfonyl)amino]-2-oxo-4-(3-phenylpropyl)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

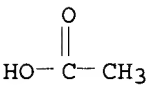
CRN 226570-14-5
CMF C25 H36 N6 O5 S

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

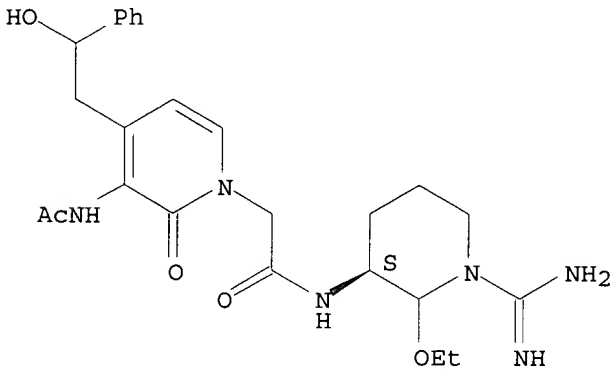


RN 226570-17-8 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-(acetylamino)-N-[(3S)-1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-4-(2-hydroxy-2-phenylethyl)-2-oxo-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

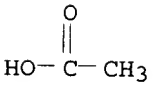
CRN 226570-16-7
CMF C25 H34 N6 O5

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2



REFERENCE COUNT: 21
REFERENCE(S):
(1) Anon; 1993 CAPLUS
(2) Bernstein, P; EP 0509762 A2 1992 CAPLUS
(3) Bernstein, P; J Med Chem 1994, V37, P3313 CAPLUS
(4) Brown, F; J Med Chem 1994, V37, P1259 CAPLUS
(6) Damewood, J; J Med Chem 1994, V37, P3303 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:788773 CAPLUS
DOCUMENT NUMBER: 130:66805
TITLE: Preparation of peptide inhibitors of interleukin-1.beta. converting enzyme
INVENTOR(S): Bemis, Guy W.; Golec, Julian M. C.; Lauffer, David J.; Mullican, Michael D.; Murcko, Mark A.; Livingston, David J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA
SOURCE: U.S., 106 pp., Cont.-in-part of U.S. 5,656,627.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5847135	A	19981208	US 1995-440898	19950525
US 5756466	A	19980526	US 1994-261452	19940617
US 5656627	A	19970812	US 1995-405581	19950317
US 5716929	A	19980210	US 1995-464964	19950605
US 6103711	A	20000815	US 1995-465216	19950605
CA 2192089	AA	19951228	CA 1995-2192089	19950616
WO 9535308	A1	19951228	WO 1995-US7617	19950616
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9529446	A1	19960115	AU 1995-29446	19950616
AU 709114	B2	19990819		
EP 784628	A1	19970723	EP 1995-925257	19950616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1159196	A	19970910	CN 1995-194381	19950616
BR 9508051	A	19971021	BR 1995-8051	19950616
HU 76622	A2	19971028	HU 1996-3475	19950616
JP 10504285	T2	19980428	JP 1995-502478	19950616
NO 9605365	A	19970217	NO 1996-5365	19961213
FI 9605036	A	19970214	FI 1996-5036	19961216
US 5973111	A	19991026	US 1997-828941	19970328

PRIORITY APPLN. INFO.:
US 1994-261452 A2 19940617
US 1995-405581 A2 19950317
US 1995-440898 A3 19950525
WO 1995-US7617 W 19950616

OTHER SOURCE(S): MARPAT 130:66805

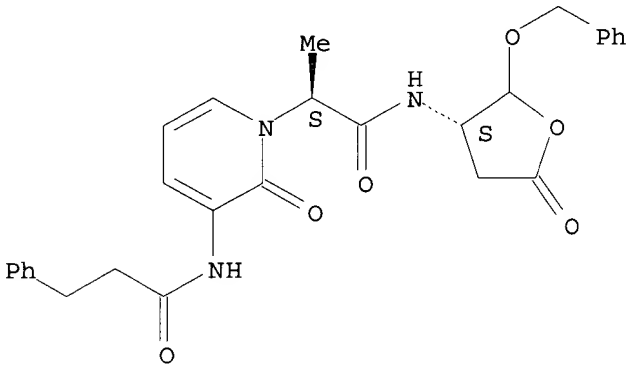
AB Interleukin-1.beta. converting enzyme inhibitors R1NHX1[(CH2)mT](CH2)gR3 (X1 = CH, N; g = 0, 1; m = 0-2; T = a cyclic group, OH, CF3, COCO2H, CO2H; R1 = R4ZNR5CR6R7CO or substituted derivs., where R4 represents certain ring systems; R5 = H, a cyclic group, alkyl, arylcarbonyl, arylsulfonyl, etc.; CR6R7 form a satd. carbocyclic or heterocyclic ring; R3 = CN, 1-alkenyl, alkoxyiminomethyl) were prepd. Thus, N-(N-

acetyltyrosinylvalinylpipecolyl)-3-amino-4-oxobutanoic acid was prepd. and showed IC50 = 6-11 .mu.M for inhibition of interleukin-1.beta. converting enzyme.

IT **195071-89-7P 195071-90-0P 195071-91-1P**
195071-92-2P 195071-93-3P 195071-94-4P
195071-95-5P 195071-96-6P 195071-97-7P
195071-98-8P 195071-99-9P 195072-00-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide inhibitors of interleukin-1.beta. converting enzyme)

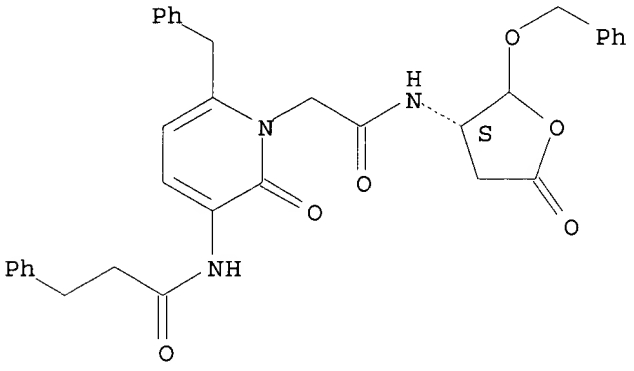
RN 195071-89-7 CAPLUS
CN 1(2H)-Pyridineacetamide, .alpha.-methyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



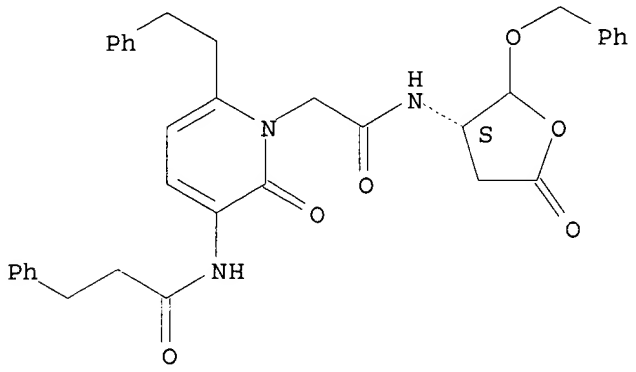
RN 195071-90-0 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-(phenylmethyl)-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



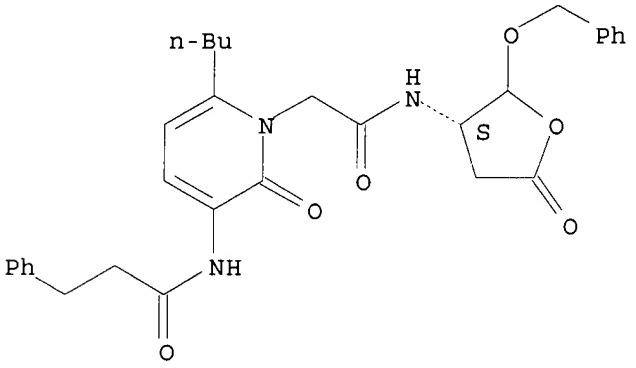
RN 195071-91-1 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-(2-phenylethyl)-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



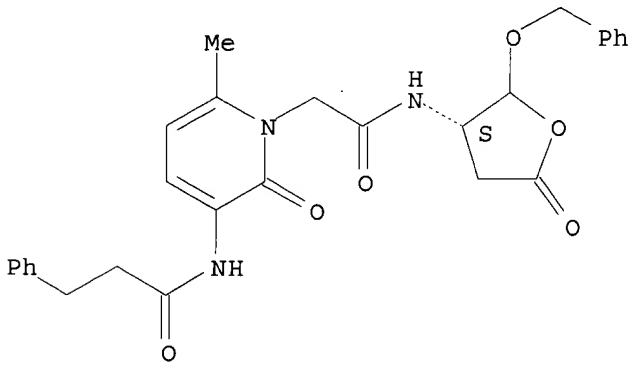
RN 195071-92-2 CAPLUS
CN 1(2H)-Pyridineacetamide, 6-butyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 195071-93-3 CAPLUS
CN 1(2H)-Pyridineacetamide, 6-methyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

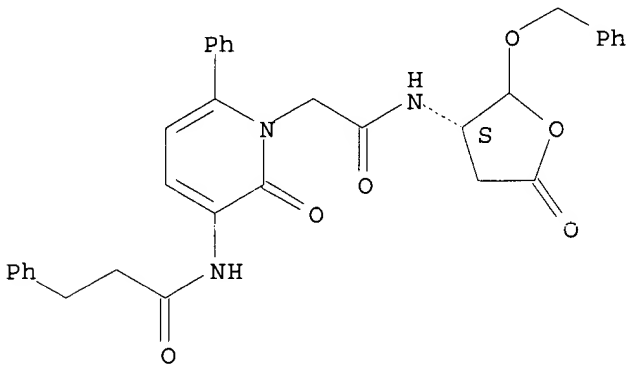
Absolute stereochemistry.



RN 195071-94-4 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-phenyl-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX

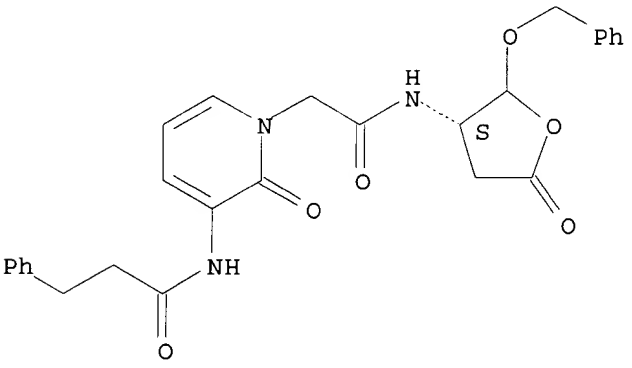
NAME)

Absolute stereochemistry.



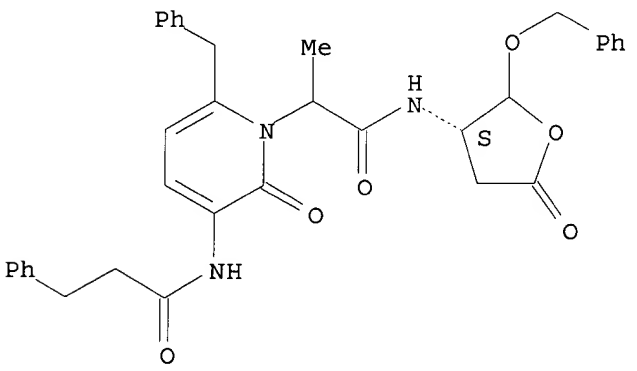
RN 195071-95-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 195071-96-6 CAPLUS
CN 1(2H)-Pyridineacetamide, .alpha.-methyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-(phenylmethyl)-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

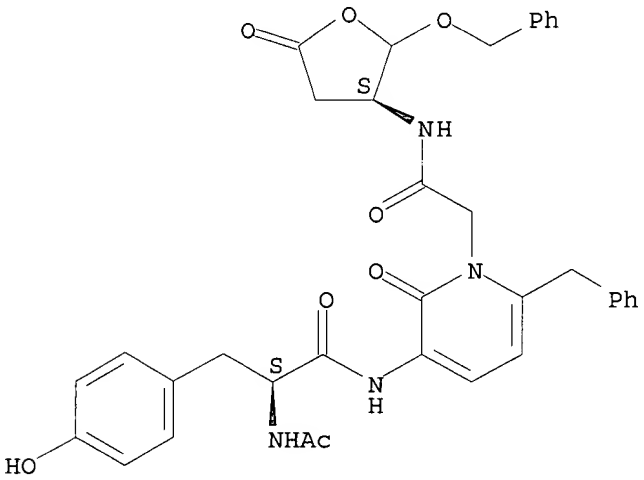
Absolute stereochemistry.



RN 195071-97-7 CAPLUS

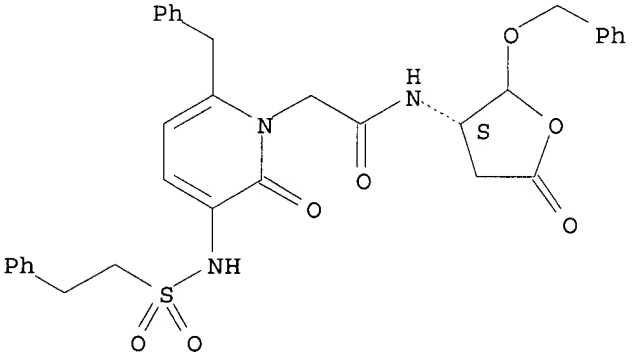
CN 1(2H)-Pyridineacetamide, 3-[[(2S)-2-(acetylamino)-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-2-oxo-6-(phenylmethyl)-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



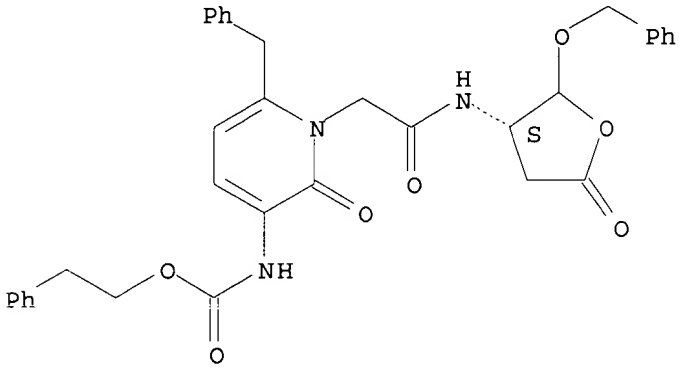
RN 195071-98-8 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[[(2-phenylethyl)sulfonyl]amino]-6-(phenylmethyl)-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



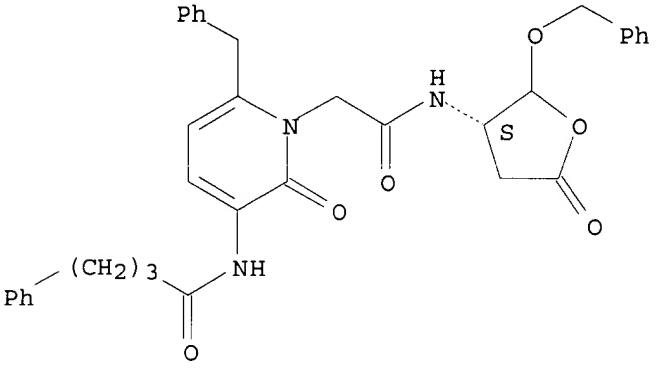
RN 195071-99-9 CAPLUS
CN Carbamic acid, [1,2-dihydro-2-oxo-1-[2-oxo-2-[[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]amino]ethyl]-6-(phenylmethyl)-3-pyridinyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



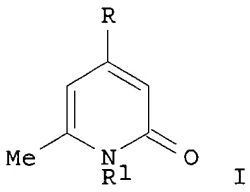
RN 195072-00-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-4-phenylbutyl)amino]-6-(phenylmethyl)-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 50
REFERENCE(S):
(1) Alberg, D; Science 1993, V262, P248 CAPLUS
(2) Andrews, P; Trends Pharmacol Sci 1986, V7, P148 CAPLUS
(3) Anon; EP 0275101 A 1988 CAPLUS
(4) Anon; EP 0410411 A 1991 CAPLUS
(5) Anon; EP 0417721 A 1991 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:211470 CAPLUS
DOCUMENT NUMBER: 128:243925
TITLE: On the preparation of 4-hydroxy- and 4-amino-6-methyl-2(1H)-pyridones from 4-hydroxy-6-methyl-2-pyrone
AUTHOR(S): Ivanov, I.; Stoyanov, E.; Aleksandrova, S.
CORPORATE SOURCE: Fac. Pharm., Med. Univ., Sofia, Bulg.
SOURCE: Farmatsiya (Sofia) (1997), 44(2), 3-6
CODEN: FMTYA2; ISSN: 0428-0296
PUBLISHER: Tsentur za Informatsiya po Meditsina
DOCUMENT TYPE: Journal
LANGUAGE: Bulgarian
GI



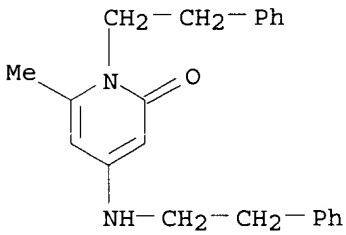
I

AB Reaction conditions and yields for the reaction of 4-hydroxy-6-methyl-2-pyrone with ammonia and some primary amines have been specified. The intermediate open-chained hexenamide cyclizes only in the presence of acid. By heating the 4-hydroxy-2(1H)-pyridone products (I; R = OH; R1 = CH2Ph, CH2CH2Ph) with benzyl- and phenethylamine, I (R, R1 = NHCH2Ph, NHCH2CH2Ph) were obtained.

IT **84259-94-9P 195005-29-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

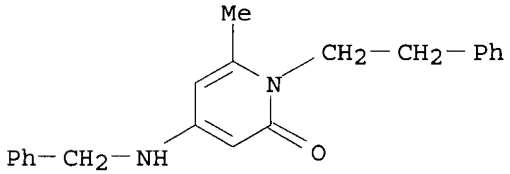
RN 84259-94-9 CAPLUS

CN 2(1H)-Pyridinone, 6-methyl-1-(2-phenylethyl)-4-[(2-phenylethyl)amino]-(9CI) (CA INDEX NAME)



RN 195005-29-9 CAPLUS

CN 2(1H)-Pyridinone, 6-methyl-1-(2-phenylethyl)-4-[(phenylmethyl)amino]-(9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:1379 CAPLUS

DOCUMENT NUMBER: 128:48502

TITLE: Preparation of aromatic heterocyclic peptide aldehydes as enzyme inhibitors

INVENTOR(S): Tamura, Susan Yoshiko; Semple, Joseph Edward; Ardecky, Robert John; Ge, Yu; Ripka, William Charles; Brunck, Terence Kevin; Lim-Wilby, Marguerita S.

PATENT ASSIGNEE(S): Corvas International, Inc., USA; Tamura, Susan Yoshiko; Semple, Joseph Edward; Ardecky, Robert John; Ge, Yu; Ripka, William Charles; Brunck, Terence Kevin; Lim-Wilby, Marguerita S.

SOURCE: PCT Int. Appl., 227 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9746207	A2	19971211	WO 1997-US9818	19970609
WO 9746207	A3	19980423		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

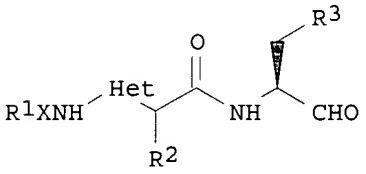
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 6011158	A	20000104	US 1996-659983	19960607
AU 9733790	A1	19980105	AU 1997-33790	19970609

PRIORITY APPLN. INFO.:

US 1996-659983	19960607
US 1994-356833	19941213
US 1995-481660	19950607
US 1995-484506	19950607
US 1995-573775	19951218
WO 1997-US9818	19970609

OTHER SOURCE(S): MARPAT 128:48502
GI



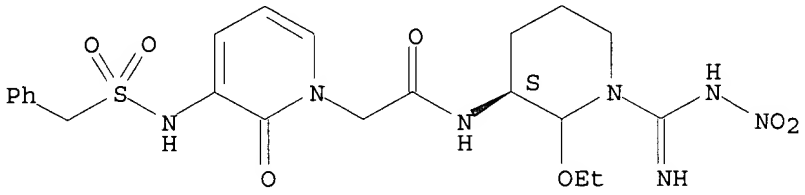
I

AB Peptide aldehydes I [X = SO2, NR'SO2 (R' = H, alkyl, aryl, aralkyl), CO, OCO, NHCO, POR'' (P'' = NR', OR', R', SR'), or a direct bond; R1 = (un)substituted aryl, heteroaryl, aralkyl, heteroaralkyl; R2 = H, alkyl, alkenyl; R3 = 2-amidinoaminoethyl, 3-amidinocyclohexyl, 1-amidino-3-piperidinyl, 3-amidinophenyl; Het = (un)substituted 2-oxo-1,2-dihydro-1,3-pyridinediyl, 4-oxo-3,4-dihydro- or 2,4-dioxo-1,2,3,4-tetrahydro-3,5-pyrimidinediyl] and their pharmaceutically acceptable salts were prepd. as potent and specific inhibitors of thrombin. Thus, [3-[(benzylsulfonyl)amino]-2-oxo-1,2-dihydropyridyl]acetyl-L-argininal was prepd. and assayed against human .alpha.-thrombin amidolytic activity (Ki = 289 .+-. 32 pM).

IT **193278-20-5P 193278-22-7P 195204-07-0P 195204-28-5P 195315-31-2P 199873-27-3P 199873-29-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of arom. heterocyclic peptide aldehydes as enzyme inhibitors)

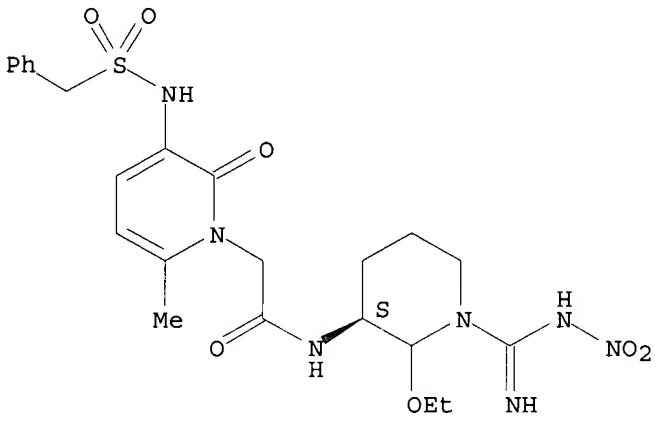
RN 193278-20-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 193278-22-7 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

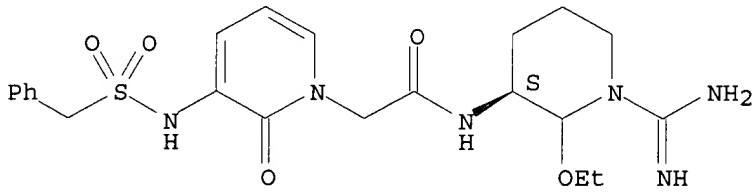


RN 195204-07-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

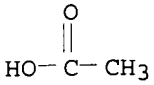
CRN 195204-06-9
CMF C22 H30 N6 O5 S

Absolute stereochemistry.



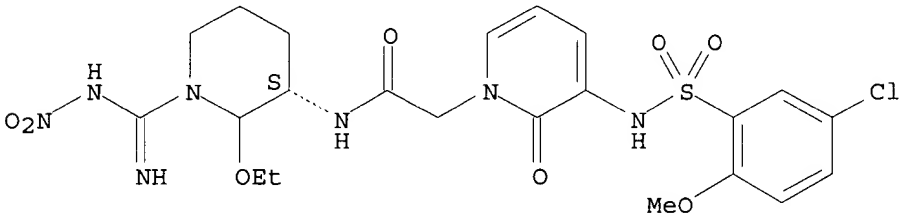
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 195204-28-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-[[(5-chloro-2-methoxyphenyl)sulfonyl]amino]-N-[2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

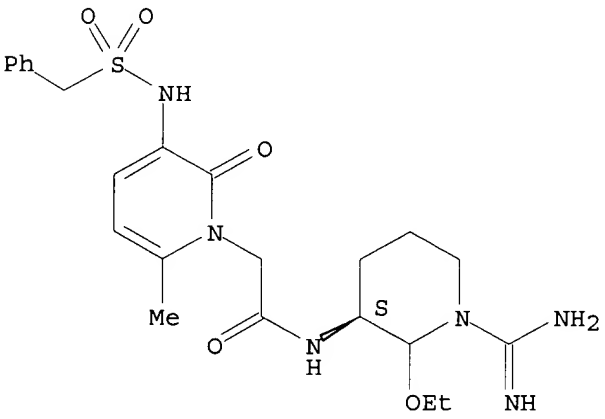


RN 195315-31-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

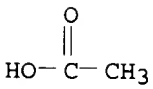
CRN 195315-30-1
CMF C23 H32 N6 O5 S

Absolute stereochemistry.



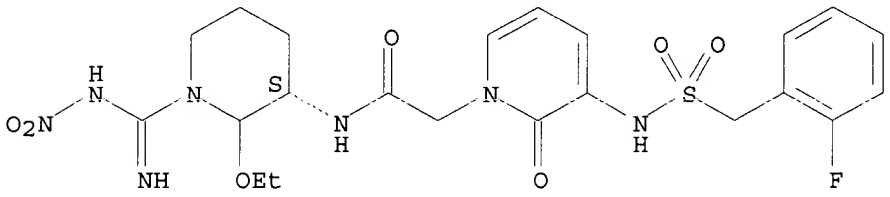
CM 2

CRN 64-19-7
CMF C2 H4 O2



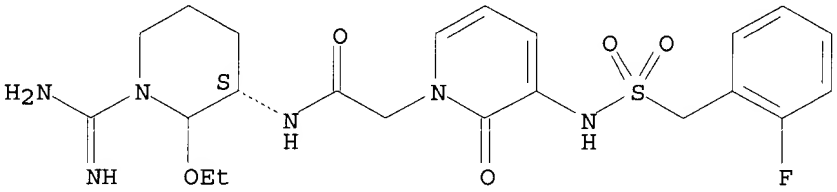
RN 199873-27-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



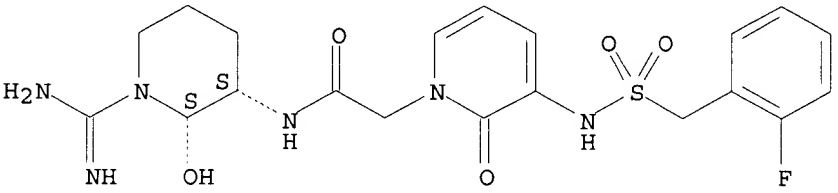
RN 199873-29-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



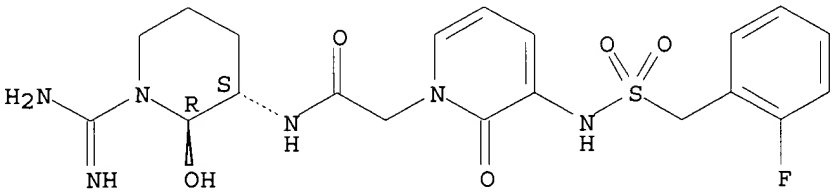
IT **199873-32-0P 199873-70-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of arom. heterocyclic peptide aldehydes as enzyme inhibitors)
RN 199873-32-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(2S,3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 199873-70-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(2R,3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:574513 CAPLUS
DOCUMENT NUMBER: 127:234607
TITLE: Preparation of aromatic heterocyclic arginine aldehyde derivatives as thrombin inhibitors
INVENTOR(S): Tamura, Susan Yoshiko; Semple, Joseph Edward; Ripka, William Charles; Ardecky, Robert John; Ge, Yu; Carpenter, Stephen H.; Brunck, Terence K.
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: U.S., 68 pp. Cont.-in-part of U.S. Ser. No. 356,833.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5658930	A	19970819	US 1995-481660	19950607
CA 2206400	AA	19960620	CA 1995-2206400	19951213
WO 9618644	A1	19960620	WO 1995-US16410	19951213
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9644248	A1	19960703	AU 1996-44248	19951213
AU 693636	B2	19980702		
EP 804464	A1	19971105	EP 1995-943130	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
BR 9509994	A	19971230	BR 1995-9994	19951213
CN 1169730	A	19980107	CN 1995-196753	19951213
JP 10510539	T2	19981013	JP 1995-519290	19951213
US 6008351	A	19991228	US 1995-573775	19951218
US 6011158	A	20000104	US 1996-659983	19960607
HU 77888	A2	19951213	HU 1998-1160	19980928

PRIORITY APPLN. INFO.:
US 1994-356833 19941213
US 1995-481660 19950607
US 1995-484506 19950607
WO 1995-US16410 19951213
US 1995-573775 19951218

OTHER SOURCE(S): MARPAT 127:234607
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

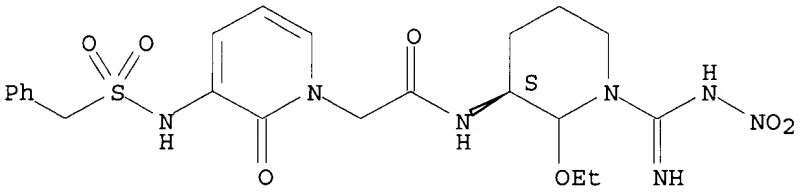
AB The present invention discloses peptide aldehydes I [X = SO2, NRSO2, CO, O2C, NHCO, P(O)(R11), bond; R = H, C1-4 alkyl, C6114 aryl, C6-16 aralkyl; R11 = NR, OR, R, SR except R11 .noteq. NH, OH, H, SH; R1 = H, C1-12 alkyl; (un)substituted C1-3 alkyl-C5-8 cycloalkyl, C3-15 cycloalkyl, C4-10 heterocycloalkyl, C3-6 alkenyl, C6-14 aryl, C5-14 heteroaryl, C7-15 aralkyl, C6-11 heteroaralkyl, C8-15 aralkenyl, C7-12 heteroaralkenyl, C1-12 perfluoroalkyl, C6-14 perfluoroaryl, C7-14 perfluoroaralkyl, etc; R2 = H, C1-4 alkyl, C2-4 alkenyl; R3 = Q, Q1, W = C, N; Het = Q2-Q4, R4-R6 = independently R1, OR1, NHR1, S(O)nR1, halo, n = 0-2; R7 = any group in R1] which ar specific inhibitors of thrombin, their pharmaceutically acceptable salts, pharmaceutically acceptable compns. thereof, and methods of using them as therapeutic agents for disease states in mammals characterized by abnormal thrombosis. Thus, heterocyclic arginine aldehyde deriv. II was prepd. by coupling of a protected argininal deriv. with 3-(benzylsulfonylamino)-2-oxo-1,2-dihydropyridine-1-acetic acid (prepn. given) and deprotection. II inhibited human .alpha.-thrombin with Ki = 289 pM.

IT **193278-20-5P 193278-22-7P 195204-07-0P 195204-28-5P 195315-31-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of arom. heterocyclic arginine aldehyde derivs. as thrombin inhibitors)

RN 193278-20-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3S)-2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

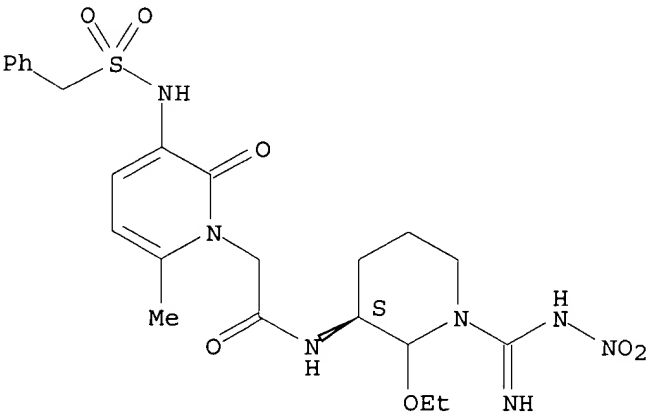
Absolute stereochemistry.



RN 193278-22-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



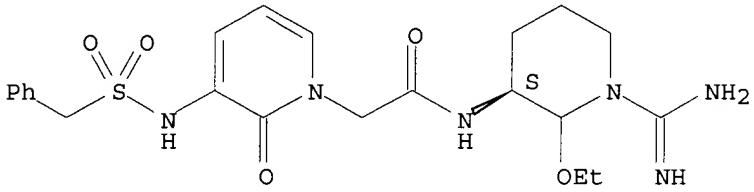
09/ 574,740

RN 195204-07-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

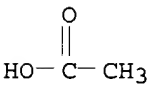
CRN 195204-06-9
CMF C22 H30 N6 O5 S

Absolute stereochemistry.



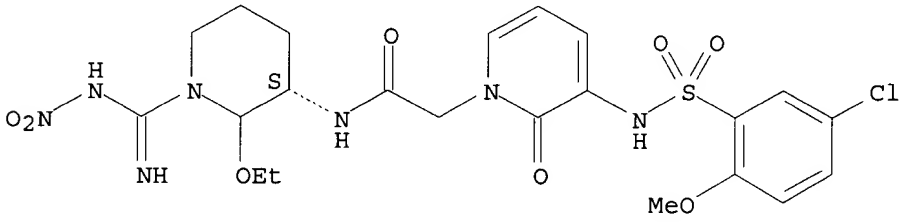
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 195204-28-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-[[(5-chloro-2-methoxyphenyl)sulfonyl]amino]-N-[2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

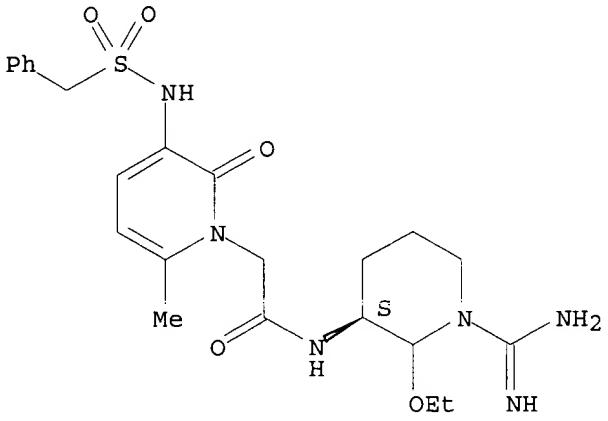


RN 195315-31-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

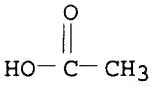
CRN 195315-30-1
CMF C23 H32 N6 O5 S

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2



L4 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:541856 CAPLUS
DOCUMENT NUMBER: 127:234613
TITLE: Aromatic heterocyclic derivatives as enzyme inhibitors
INVENTOR(S): Tamura, Susan Yoshiko; Semple, Joseph Edward; Ripka, William Charles; Ardecky, Robert John; Ge, Yu; Carpenter, Stephen H.; Brunck, Terence K.
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: U.S., 65 pp., ont.-in-part of U.S. Ser. No. 356,833.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5656645	A	19970812	US 1995-484506	19950607
CA 2206400	AA	19960620	CA 1995-2206400	19951213
WO 9618644	A1	19960620	WO 1995-US16410	19951213
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9644248	A1	19960703	AU 1996-44248	19951213
AU 693636	B2	19980702		
EP 804464	A1	19971105	EP 1995-943130	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				

BR 9509994	A	19971230	BR 1995-9994	19951213
CN 1169730	A	19980107	CN 1995-196753	19951213
JP 10510539	T2	19981013	JP 1995-519290	19951213
US 6008351	A	19991228	US 1995-573775	19951218
US 6011158	A	20000104	US 1996-659983	19960607
HU 77888	A2	19951213	HU 1998-1160	19980928

PRIORITY APPLN. INFO.:
US 1994-356833 19941213
US 1995-481660 19950607
US 1995-484506 19950607
WO 1995-US16410 19951213
US 1995-573775 19951218

OTHER SOURCE(S): MARPAT 127:234613

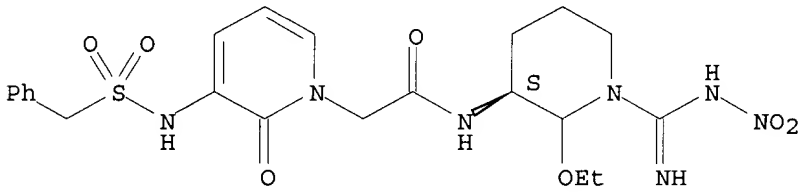
AB Heterocyclic arom. peptide aldehydes R1-X-NH-Het-CHR2CONHCH(CH2R3)CHO [Het = substituted 2-oxo-1-pyridyl, 6-oxo- or 2,6-dioxo-1-pyrimidinyl; R1 = (un)substituted alkyl, cycloalkyl, heterocyclyl, alkenyl, aryl, heteroaryl; R2 = H, alkyl, alkenyl; R3 = H2NC(:NH)NHCH2CH2; X = SO2, NR4SO2 (R4 = H, alkyl, aryl, aralkyl), CO, OCO, NHCO, P(O)R5 (R5 = NR4, OR4, R4, SR4, where R4 .noteq. H), or a direct bond] were prepd. as thrombin inhibitors. Thus, [3-[(benzylsulfonyl)amino]-2-oxo-1,2-dihydropyridyl]acetyl-L-argininal trifluoroacetate was prepd. by a multistep procedure and assayed for inhibition of human alpha-thrombin amidolytic activity (Ki = 289 .+-. 32 pM).

IT **193278-20-5P 195204-07-0P 195204-28-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of arom. peptide aldehydes as thrombin inhibitors)

RN 193278-20-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3S)-2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



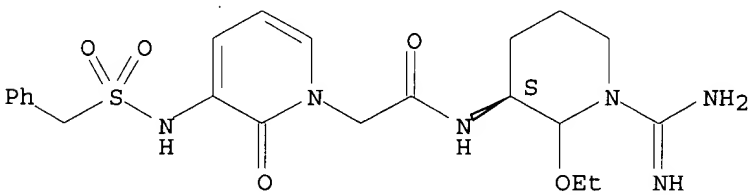
RN 195204-07-0 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 195204-06-9
CMF C22 H30 N6 O5 S

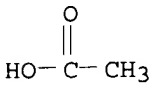
Absolute stereochemistry.



09/ 574,740

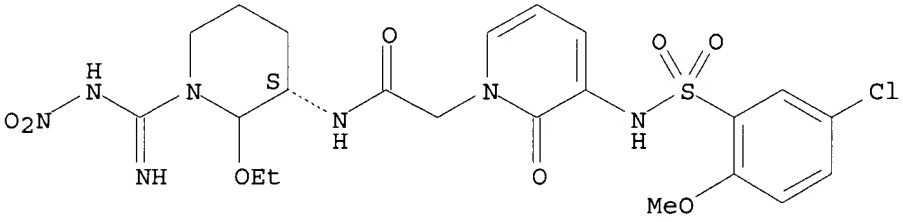
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 195204-28-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-[[(5-chloro-2-methoxyphenyl)sulfonyl]amino]-N-[2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:541852 CAPLUS
DOCUMENT NUMBER: 127:234612
TITLE: Preparation of heterocyclyl aspartaldehyde peptide derivatives as interleukin-1.beta. converting enzyme inhibitors
INVENTOR(S): Bemis, Guy W.; Golec, Julian M. C.; Lauffer, David J.; Mullican, Michael D.; Murcko, Mark A.; Livingston, David J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
SOURCE: U.S., 67 pp. Cont.-in-part of U.S. Ser. No. 261,452. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5656627	A	19970812	US 1995-405581	19950317
US 5756466	A	19980526	US 1994-261452	19940617
US 5847135	A	19981208	US 1995-440898	19950525
US 5716929	A	19980210	US 1995-464964	19950605
US 6025147	A	20000215	US 1995-460973	19950605
ZA 9504988	A	19961217	ZA 1995-4988	19950615
CA 2192089	AA	19951228	CA 1995-2192089	19950616
WO 9535308	A1	19951228	WO 1995-US7617	19950616

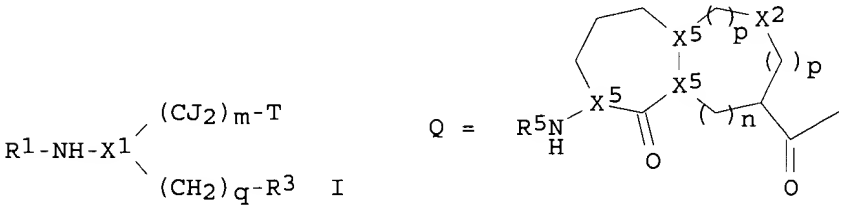
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

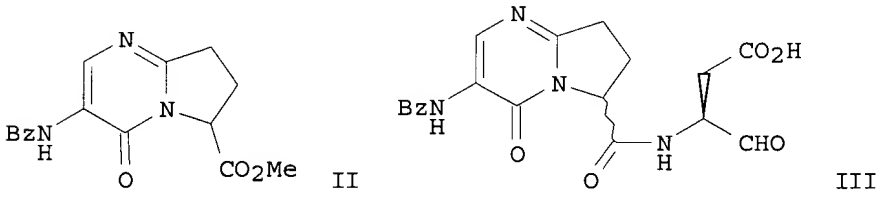
AU 9529446	A1	19960115	AU 1995-29446	19950616
AU 709114	B2	19990819		
EP 784628	A1	19970723	EP 1995-925257	19950616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1159196	A	19970910	CN 1995-194381	19950616
BR 9508051	A	19971021	BR 1995-8051	19950616
HU 76622	A2	19971028	HU 1996-3475	19950616
JP 10504285	T2	19980428	JP 1995-502478	19950616
NO 9605365	A	19970217	NO 1996-5365	19961213
FI 9605036	A	19970214	FI 1996-5036	19961216
US 5973111	A	19991026	US 1997-828941	19970328

PRIORITY APPLN. INFO.: US 1994-261452 A2 19940617
US 1995-405581 A2 19950317
US 1995-440898 A3 19950525
WO 1995-US7617 W 19950616

OTHER SOURCE(S): MARPAT 127:234612

GI





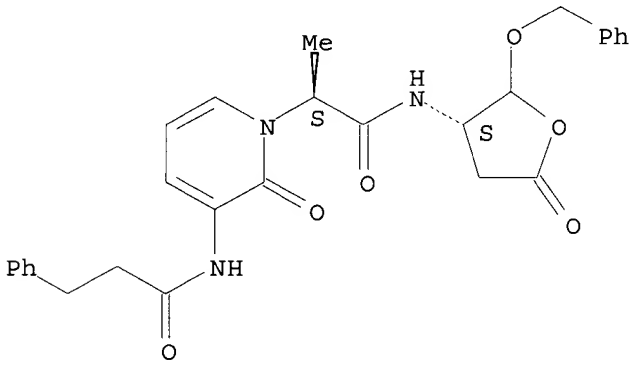
AB The present invention relates to novel classes of compds. I [X1 = CH, N; q = 0, 1; J = independently H, OH, F; m = 0-2; T = Ar3, OH, CF3, COCO2H, CO2H, COCH2OH, CONHOH, SO2NHR, SO3H, P(O)(OH)NH2, CONHCN, OSO3H, CONHSO2R16, PO3H2, P(O)(OH)OR16, P(O)(OH)R16, OPO3H2, OP(O)(OH)OR16, OP(O)(OH)R16, NHPO3H2, NHP(O)(OH)OR16, NHP(O)(OH)R16, COCH:C(OH)CO2H, 5- or 6-membered heterocyclic ring; R16 = C1-6 alkyl; R1 = optionally substituted fragment Q; X2 = O, CH2, NH, S, S(O), SO2; X5 = CH, N; n = 0-1, d = 0-2, such that n + d + d = 2; R3 = CN, CH:CHR9, CH:NOR9, (CH2)1-3T1R9, CJ2R9, COR13, COCONR5R10; each R4 = H, Ar1, R9, T1R9, (CH2)1-3T1R9; each T1 = CH:CH, O, S, S(O), SO2, NR10, NR10CO, CO, O2C, CO2, CONR10, O2CNR10, NR10CONR10, SO2NR10, NR10SO2, NR10SO2NR10; R5 = H, Ar1, COAr1, SO2Ar1, R9, CONR9, CO2R9, SO2R9, CONAr1R10, SO2NAr1R10, CONR9R10, SO2NR9R10; R5 = Ar1, SO2Ar1, COR9, CONAr1R10, SO2NAr1R10, CONR9R10, SO2NR9R10; R9 = optionally substituted, straight or branched C1-6 alkyl; R10 = H, C1-6 straight or branched alkyl; R13 = H, Ar1, Ar2, R9, T1R9, (CH2)1-3T1R9; Ar1 = aryl, cycloalkyl, or heterocyclyl group contg. 1-3 rings and 3-15 ring atoms; Ar2 = optionally benzo-fused 5-membered heterocyclyl; Ar3 = optionally substituted Ph or 5-membered heterocyclic ring] which are inhibitors of interleukin-1.beta. converting enzyme. The ICE inhibitors of this invention are characterized by specific structural and physicochem. features. This invention also relates to pharmaceutical compns. comprising these compds. The compds.

and pharmaceutical compns. of this invention are particularly well suited for inhibiting ICE activity and consequently, may be advantageously used as agents against interleukin-1 mediated diseases, including inflammatory diseases, autoimmune diseases and neurodegenerative diseases. This invention also relates to methods for inhibiting ICE activity and methods for treating interleukin-1 mediated diseases using the compds. and compns. of this invention. Thus, cyclocondensation of Et 2-aminopyrrolidine-5-carboxylate with 4-ethoxymethylene-2-phenyl-2-oxazolidin-2-one gave 32% pyrrolopyrimidine II. Sapon. of II, followed by coupling with tert-Bu (3S)-amino-4-oxobutanoate semicarbazone, diastereomer sepn., and deprotection, gave ICE inhibitors III. III and related compds. inhibited ICE with Ki = 0.011 to 35 .mu.M in a UV-visible assay and IC50 = 0.50 to >35 .mu.M in a cell assay.

IT **195071-89-7P 195071-90-0P 195071-91-1P**
195071-92-2P 195071-93-3P 195071-94-4P
195071-95-5P 195071-96-6P 195071-97-7P
195071-98-8P 195071-99-9P 195072-00-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocyclyl aspartaldehyde peptide derivs. as interleukin-1.beta. converting enzyme inhibitors)

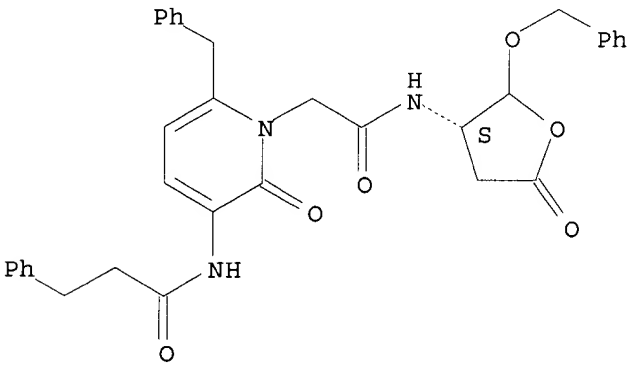
RN 195071-89-7 CAPLUS
CN 1(2H)-Pyridineacetamide, .alpha.-methyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



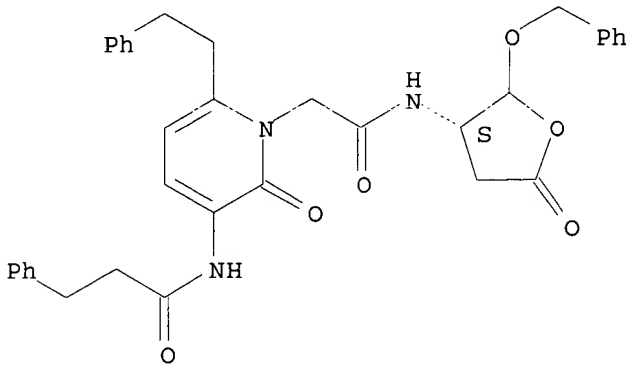
RN 195071-90-0 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-(phenylmethyl)-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



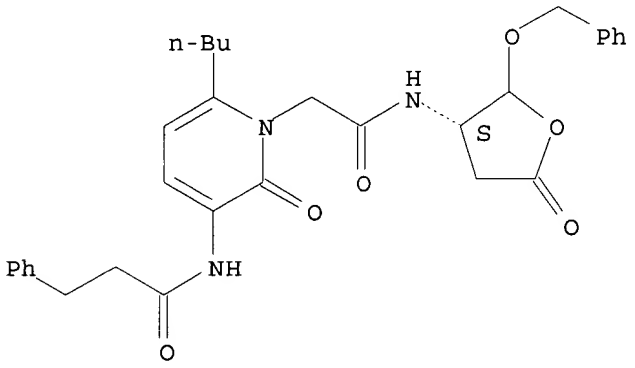
RN 195071-91-1 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-(2-phenylethyl)-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



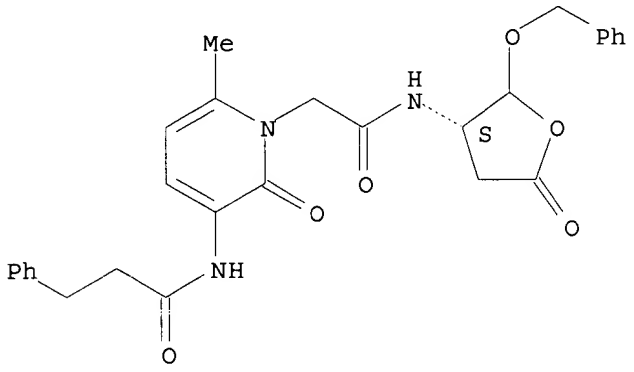
RN 195071-92-2 CAPLUS
CN 1(2H)-Pyridineacetamide, 6-butyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



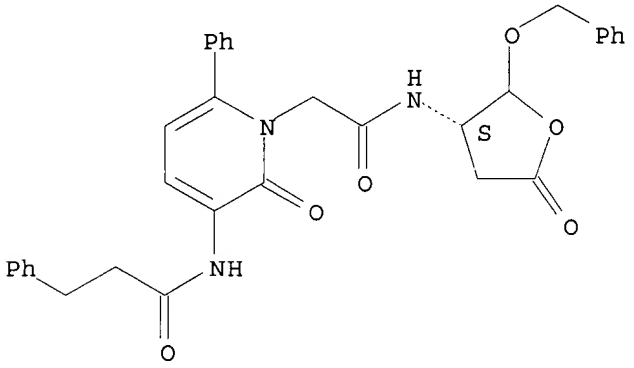
RN 195071-93-3 CAPLUS
CN 1(2H)-Pyridineacetamide, 6-methyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



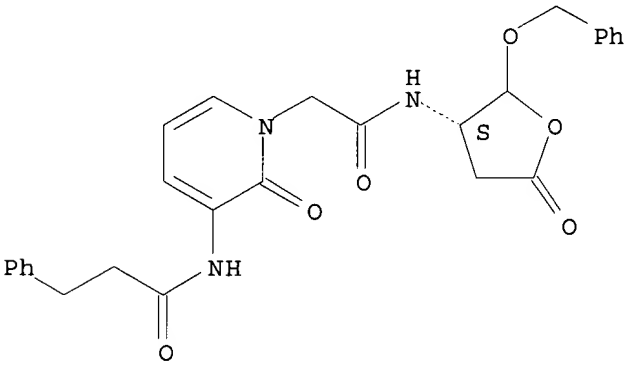
RN 195071-94-4 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-phenyl-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



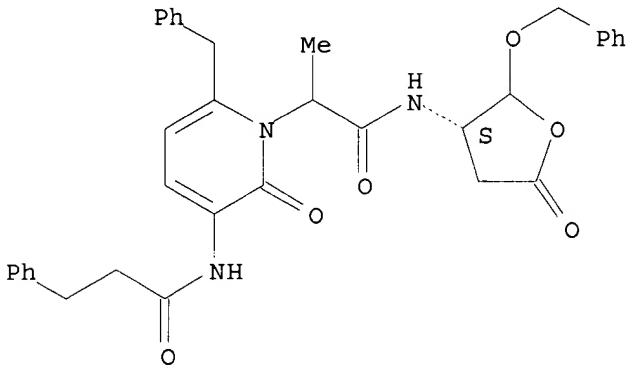
RN 195071-95-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



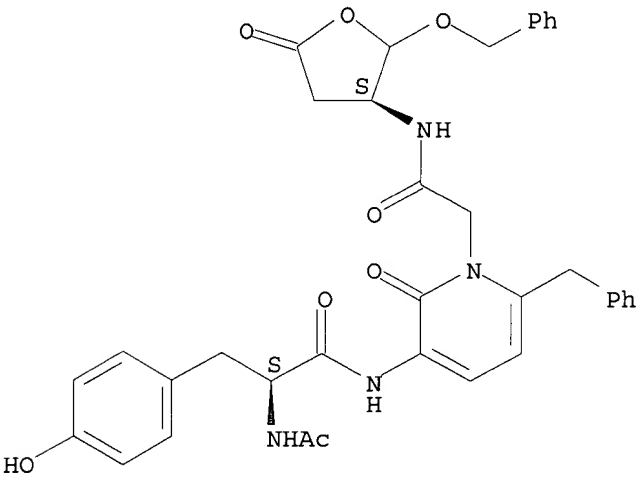
RN 195071-96-6 CAPLUS
CN 1(2H)-Pyridineacetamide, .alpha.-methyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-(phenylmethyl)-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



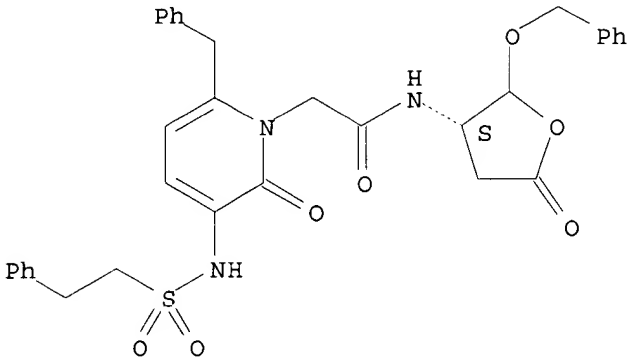
RN 195071-97-7 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-[[(2S)-2-(acetylamino)-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-2-oxo-6-(phenylmethyl)-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



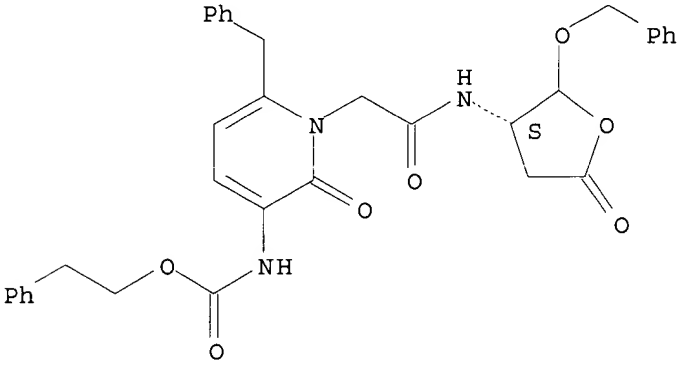
RN 195071-98-8 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[[(2-phenylethyl)sulfonyl]amino]-6-(phenylmethyl)-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



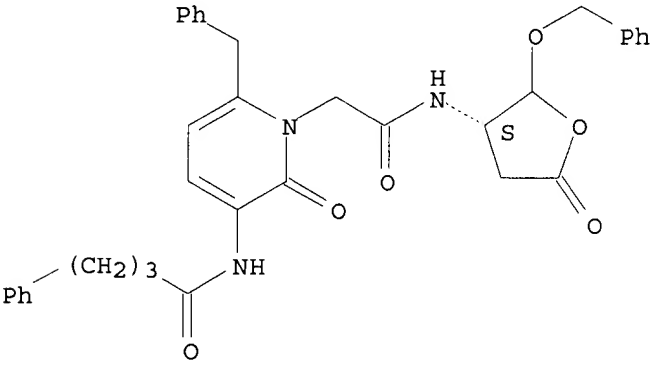
RN 195071-99-9 CAPLUS
CN Carbamic acid, [1,2-dihydro-2-oxo-1-[2-oxo-2-[[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]amino]ethyl]-6-(phenylmethyl)-3-pyridinyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 195072-00-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-4-phenylbutyl)amino]-6-(phenylmethyl)-N-[(3S)-tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)

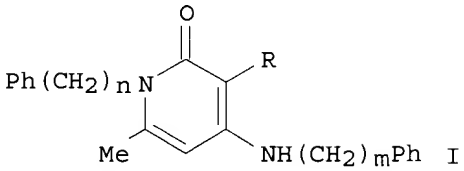
Absolute stereochemistry.



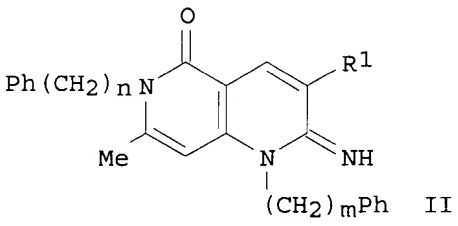
L4 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:505509 CAPLUS

09/ 574,740

DOCUMENT NUMBER: 127:220596
TITLE: Synthesis of substituted 1,2-dihydro-2-imino-7-methyl-1,6(6H)-naphthyridin-5-ones
AUTHOR(S): Ivanov, Ivo Christov; Stoyanov, Edmont Vassilev; Denkova, Pavletta Stoyanova; Dimitrov, Valentin Stefanov
CORPORATE SOURCE: Faculty Pharmacy, Medical University Sofia, Sofia, 1000, Bulg.
SOURCE: Liebigs Ann./Recl. (1997), (8), 1777-1781
CODEN: LIARFV
PUBLISHER: Wiley-VCH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:220596
GI



I

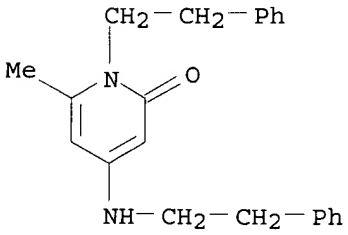


II

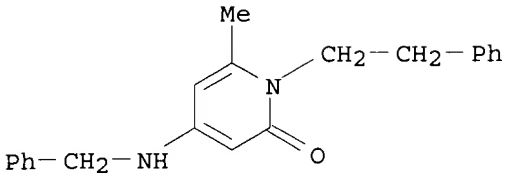
AB Vilsmeier formylation of the 4-amino-2-pyridinones I (m = 1, 2; n = 1, 2; R = H) leads to the formation of the corresponding 3-carbaldehydes I (R = CHO). A cyclizing Knoevenagel reaction of the latter with CH-acidic nitriles R1CH2CN (R1 = CN, CO2Me, CO2Et, C6H4-4-NO2) in the presence of piperidine gives the corresponding 2-imino-1,6(6H)-naphthyridin-5-ones II which were shown by 1H-NMR and 1H-NOE measurements not to rearrange to amines. The products II are resistant against hydrolysis and only the ester group can be hydrolyzed to an acid. Compds. II (n = 1, m = 1, R1 = CO2Et or C6H4-4-NO2; n = 2, m = 2, R1 = CO2Me) display in-vitro antituberculosis activity.

IT **84259-94-9 195005-29-9**
RL: RCT (Reactant)
(prepn. and antituberculosis activity of hydroiminonaphthyridinones)

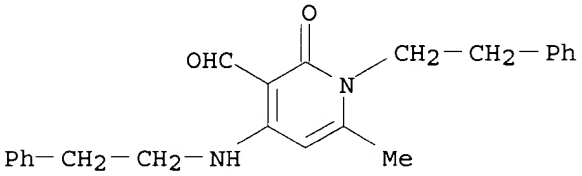
RN 84259-94-9 CAPLUS
CN 2(1H)-Pyridinone, 6-methyl-1-(2-phenylethyl)-4-[(2-phenylethyl)amino]-(9CI) (CA INDEX NAME)



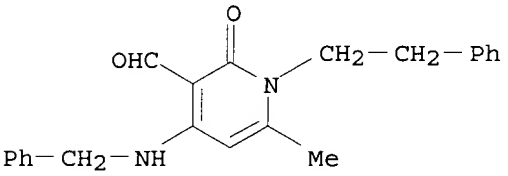
RN 195005-29-9 CAPLUS
CN 2(1H)-Pyridinone, 6-methyl-1-(2-phenylethyl)-4-[(phenylmethyl)amino]-(9CI) (CA INDEX NAME)



IT **195005-32-4P 195005-33-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antituberculosis activity of hydroiminonaphthyridinones)
RN 195005-32-4 CAPLUS
CN 3-Pyridinecarboxaldehyde, 1,2-dihydro-6-methyl-2-oxo-1-(2-phenylethyl)-4-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



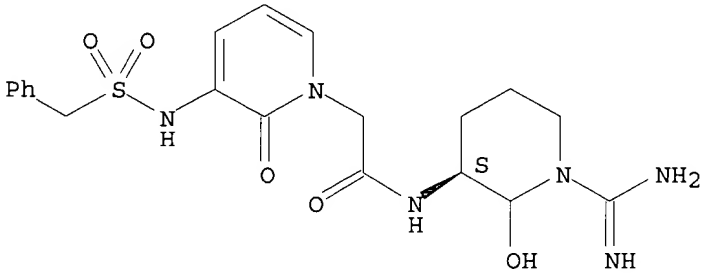
RN 195005-33-5 CAPLUS
CN 3-Pyridinecarboxaldehyde, 1,2-dihydro-6-methyl-2-oxo-1-(2-phenylethyl)-4-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:423751 CAPLUS
DOCUMENT NUMBER: 127:149377
TITLE: Design and synthesis of a novel class of thrombin inhibitors incorporating heterocyclic dipeptide surrogates
AUTHOR(S): Tamura, Susan Y.; Semple, J. Edward; Reiner, John E.; Goldman, Erick A.; Brunck, Terence K.; Lim-Wilby, Marguerita S.; Carpenter, Stephen H.; Rote, William E.; Oldeshulte, Gerard L.; Richard, Brigitte M.; Nutt, Ruth F.; Ripka, William C.
CORPORATE SOURCE: Department of Medicinal Chemistry, Corvas International, Inc., San Diego, CA, 92121, USA
SOURCE: Bioorg. Med. Chem. Lett. (1997), 7(12), 1543-1548
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Several potent and selective inhibitors of thrombin incorporating novel heterocyclic peptide surrogates in the P3-P2 position of peptidyl argininals have been discovered. Illustrated in this article are three classes of heterocycles: pyridones, uracils, and pyrimidinones. The synthesis and biol. activities of these unique arom. heterocyclic derivs. are reported.

IT **193278-10-3P 193278-11-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design and synthesis of thrombin inhibitors incorporating heterocyclic dipeptide surrogates)
RN 193278-10-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

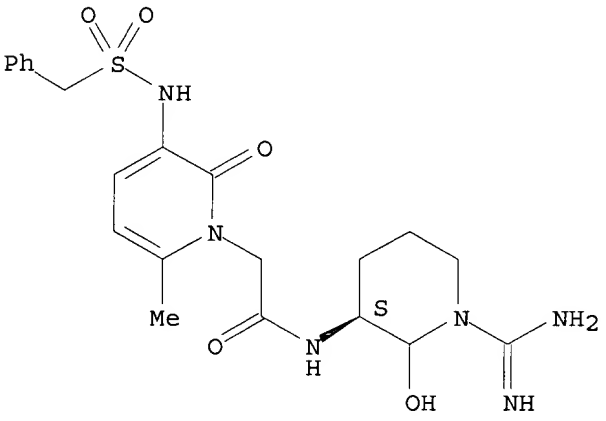
Absolute stereochemistry.



● HCl

RN 193278-11-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

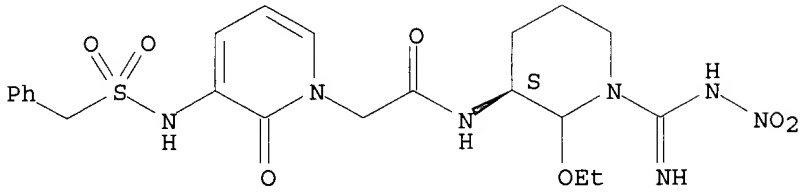


● HCl

IT **193278-20-5P 193278-22-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(design and synthesis of thrombin inhibitors incorporating heterocyclic dipeptide surrogates)
RN 193278-20-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(3S)-2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX

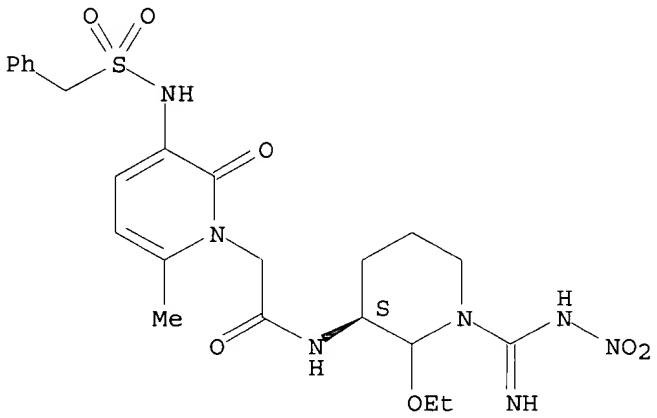
NAME)

Absolute stereochemistry.



RN 193278-22-7 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:479294 CAPLUS
DOCUMENT NUMBER: 125:143331
TITLE: Preparation of aromatic heterocyclic peptide aldehydes as thrombin inhibitors
INVENTOR(S): Tamura, Susan Yoshiko; Semple, Joseph Edward; Ripka, William Charles; Ardecky, Robert John; Ge, Yu; Carpenter, Stephen H.; Brunck, Terence Kevin; Lim-Wilby, Marguerita S.; Nutt, Ruth F.; Abelman, Matthew Mark
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9618644	A1	19960620	WO 1995-US16410	19951213

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT

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US 5656645	A	19970812	US 1995-484506	19950607
US 5658930	A	19970819	US 1995-481660	19950607
AU 9644248	A1	19960703	AU 1996-44248	19951213
AU 693636	B2	19980702		
EP 804464	A1	19971105	EP 1995-943130	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
BR 9509994	A	19971230	BR 1995-9994	19951213
JP 10510539	T2	19981013	JP 1995-519290	19951213

PRIORITY APPLN. INFO.: US 1994-356833 19941213
US 1995-481660 19950607
US 1995-484506 19950607
WO 1995-US16410 19951213

OTHER SOURCE(S): CASREACT 125:143331; MARPAT 125:143331

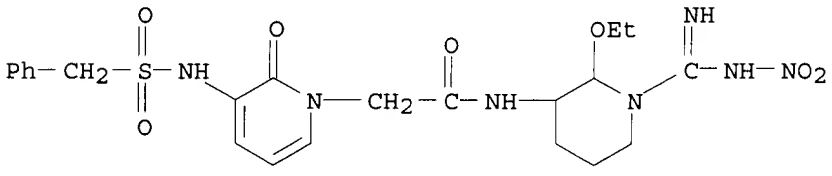
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Peptide aldehydes I [X = SO2, NR'SO2, CO, O2C, NHCO, P(O)(R''); R' = H, C1-4 alkyl, C6-14 aryl, C6-16 aralkyl; R'' = NR', OR', R', SR'; R1 = optionally substituted alkyl, alkenyl, cycloalkyl, aryl, heterocycloalkyl, heterocyclo, aryl, heteroaryl, aralkyl, aralkenyl, heteroaralkenyl, bicycloalkyl, fluoroalkyl; R2 = H, C1-4 alkyl, C2-4 alkenyl; R3 = CH2CH2NHC(NH2):NH, Q1, Q2, W = C, N; Het = Q3, Q4, Y = CR5, N; R4, R6 = independently R1, OR1, NHR1, SOnR1, halo, n = 0-2; R5, R7 = independently H, R1], which are potent and specific inhibitors of thrombin, and their pharmaceutically acceptable salts, pharmaceutically acceptable compns. thereof, and methods of using them as therapeutic agents for disease states in mammals characterized by abnormal thrombosis. Thus argininal deriv. II, prepd. in several steps from Boc-Arg(NO2)-OH, 3-nitro-2-hydroxypyridine, BrCH2CO2Et, and PhCH2SO2Cl, inhibited human .alpha.-thrombin amidolytic activity with Ki = 289.+-.32 pM in an in vitro assay.

IT **179523-54-7P 179523-56-9P 179523-61-6P 179523-63-8P 179524-52-8P 179524-65-3P 179524-66-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of arom. heterocyclic peptide aldehydes as thrombin inhibitors)

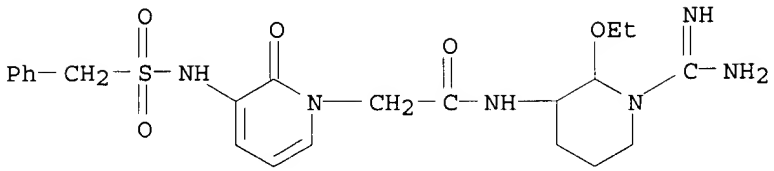
RN 179523-54-7 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 179523-56-9 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, monoacetate (9CI) (CA INDEX NAME)

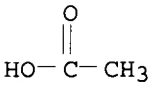
CM 1

CRN 179523-55-8
CMF C22 H30 N6 O5 S

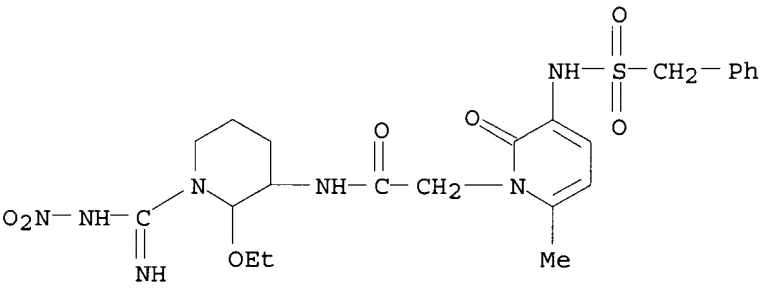


CM 2

CRN 64-19-7
CMF C2 H4 O2



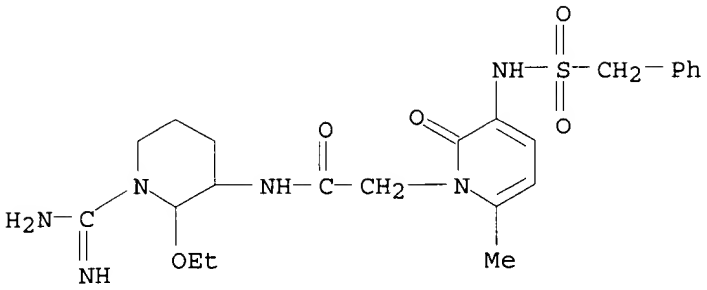
RN 179523-61-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 179523-63-8 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, monoacetate (9CI) (CA INDEX NAME)

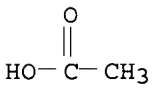
CM 1

CRN 179523-62-7
CMF C23 H32 N6 O5 S

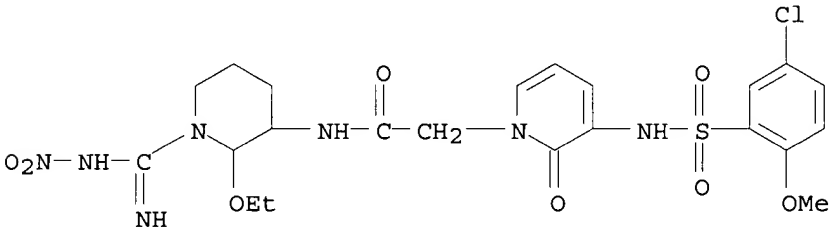


CM 2

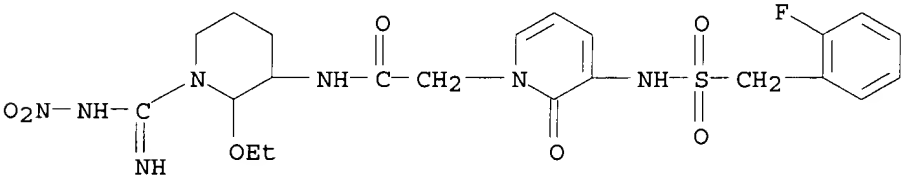
CRN 64-19-7
CMF C2 H4 O2



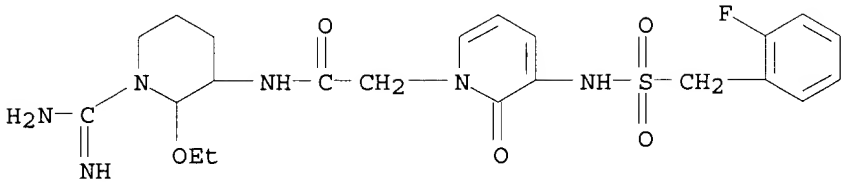
RN 179524-52-8 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-[[(5-chloro-2-methoxyphenyl)sulfonyl]amino]-N-[2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-2-oxo- (9CI) (CA INDEX NAME)



RN 179524-65-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[2-ethoxy-1-[imino(nitroamino)methyl]-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 179524-66-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[1-(aminoiminomethyl)-2-ethoxy-3-piperidinyl]-3-[[[(2-fluorophenyl)methyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)

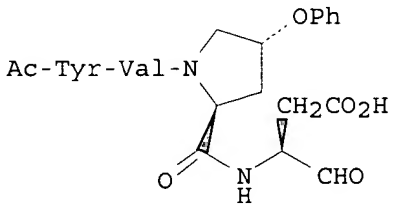


L4 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:214750 CAPLUS
DOCUMENT NUMBER: 124:290273
TITLE: Preparation of peptide analogs as inhibitors of interleukin-1 beta converting enzyme (ICE)
INVENTOR(S): Bemis, Guy W.; Golec, Julian M. C.; Lauffer, David J.; Mullican, Michael D.; Murcko, Mark A.; Livingston, David J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorp., USA
SOURCE: PCT Int. Appl., 374 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9535308	A1	19951228	WO 1995-US7617	19950616
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5756466	A	19980526	US 1994-261452	19940617
US 5656627	A	19970812	US 1995-405581	19950317
US 5847135	A	19981208	US 1995-440898	19950525
AU 9529446	A1	19960115	AU 1995-29446	19950616
AU 709114	B2	19990819		
EP 784628	A1	19970723	EP 1995-925257	19950616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9508051	A	19971021	BR 1995-8051	19950616
JP 10504285	T2	19980428	JP 1995-502478	19950616
NO 9605365	A	19970217	NO 1996-5365	19961213
FI 9605036	A	19970214	FI 1996-5036	19961216

PRIORITY APPLN. INFO.:
US 1994-261452 A 19940617
US 1995-405581 A 19950317
US 1995-440898 A 19950525
WO 1995-US7617 W 19950616

OTHER SOURCE(S): MARPAT 124:290273
GI



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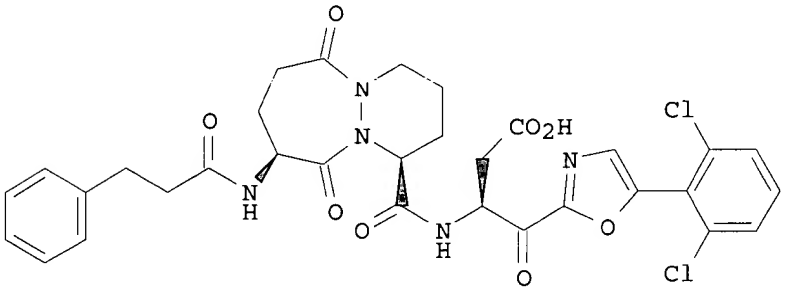


II

AB Novel classes of compds. are prepd., which are characterized by specific structural and physicochem. features comprising (a) a first and a second hydrogen bonding moiety, each of said moieties being capable of forming a hydrogen bond with a different backbone atom of ICE selected from the carbonyl O and the amide NH group of Arg-341 Ser-339, (b) a first and a second moderately hydrophobic moiety, said moieties each being capable of assocg. with a sep. binding pocket of ICE when the inhibitor is bound thereto, said binding pocket being selected form the P2, P3, P4, and P' binding pockets, and (c) an electroneg. moiety comprising .gtoreq.1 electroneg. atoms, said atoms being attached to the same atom or to adjacent atoms in the moiety and said moiety being capable of forming .gtoreq.1 hydrogen bonds or salts bridges with residues in the P1 binding pocket of ICE. These compds. and pharmaceutical compns. of this invention are particularly well suited for inhibiting ICE activity and consequently may be advantageously used as agents against interleukin-1 mediated diseases, including inflammatory diseases, autoimmune diseases and neurodegenerative diseases. Thus, etherification of Me N-tert-butoxycarbonyl-cis-4-hydroxyprolinate with phenol using Ph3P and di-Et azodicarboxylate in THF to Me N-tert-butoxycarbonyl-cis-4-phenoxyprolinate followed by deprotection with HCl in EtOAc to Me 4-phenoxyprolinate hydrochloride and condensation with Ac-Tyr-Val-OH using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, HOBT, and diisopropylethylamine in DMF gave Me N-acetyl-L-tyrosinyl-L-valyl-(4-phenoxy)prolinate. Sapon. of the latter peptide ester with LiOH in aq. THF to N-acetyl-L-tyrosinyl-L-valyl-(phenoxy)proline followed by condensation with N-allyloxycarbonyl-4-amino-5-benzyloxy-2-oxotetrahydrofuran gave N-[N-acetyl-L-tyrosinyl-L-valyl-(4-phenoxy)prolinyl]-4-amino-5-benzyloxy-2-oxotetrahydrofuran (1:1 diastereomer mixt.), which underwent hydrogenolysis over Pd(OH)2 in MeOH under H atm. to give the title compd. (I). In a IL-1.beta. assay with a mixed population of human peripheral blood mononuclear cells or enriched adherent mononuclear cells, I in vitro showed IC50 of 2.6 and 0.25 .mu.M for inhibiting the processing of pre-IL-1.beta. by ICE.

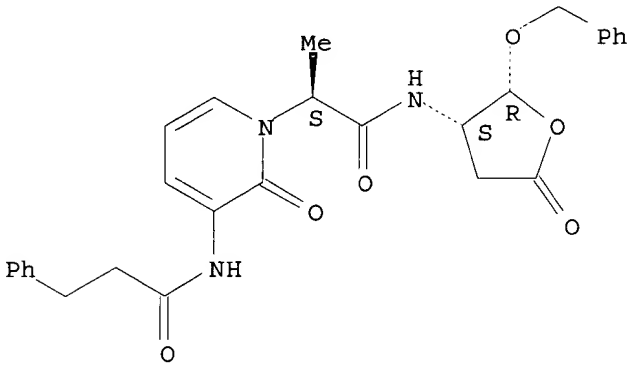
IT **175210-94-3P 175210-95-4P 175210-96-5P**
175210-97-6P 175210-98-7P 175210-99-8P
175211-00-4P 175211-01-5P 175211-02-6P
175211-03-7P 175211-04-8P 175211-05-9P
175415-26-6P 175415-27-7P 175415-28-8P
175415-29-9P 175415-30-2P 175415-31-3P
175415-32-4P 175415-33-5P 175415-34-6P
175415-35-7P 175415-36-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide analogs as inhibitors of interleukin-1 beta converting enzyme for treating inflammatory, autoimmune and neurodegenerative diseases)

RN 175210-94-3 CAPLUS

CN 1(2H)-Pyridineacetamide, .alpha.-methyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, [2R-[2.alpha.,3.alpha.(S*)]]- (9CI) (CA INDEX NAME)

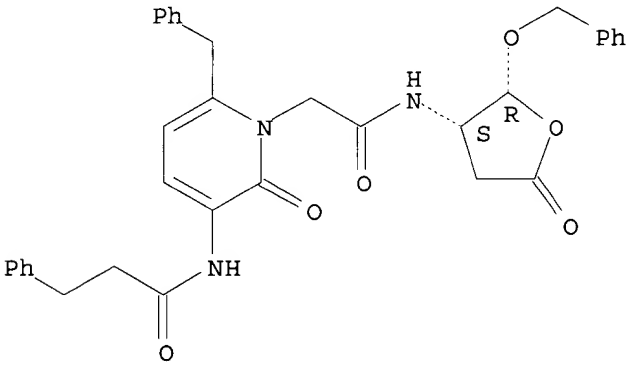
Absolute stereochemistry.



RN 175210-95-4 CAPLUS

CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-(phenylmethyl)-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

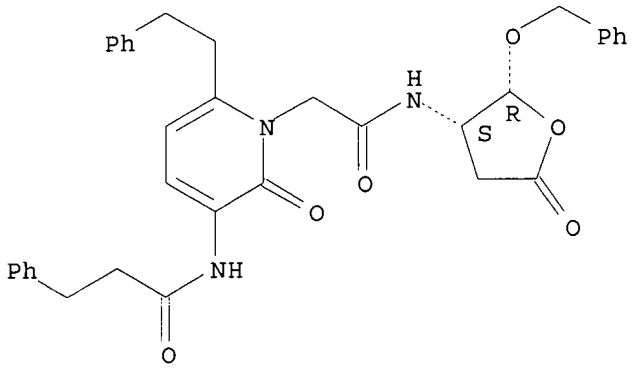
Absolute stereochemistry.



RN 175210-96-5 CAPLUS

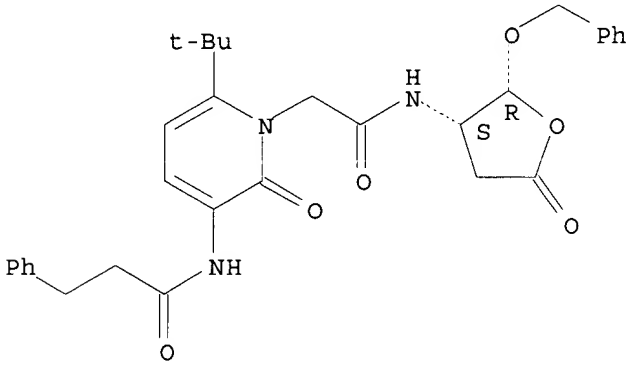
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-(2-phenylethyl)-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



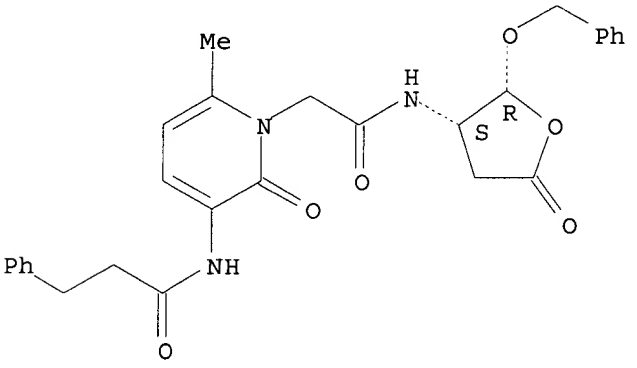
RN 175210-97-6 CAPLUS
CN 1(2H)-Pyridineacetamide, 6-(1,1-dimethylethyl)-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175210-98-7 CAPLUS
CN 1(2H)-Pyridineacetamide, 6-methyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

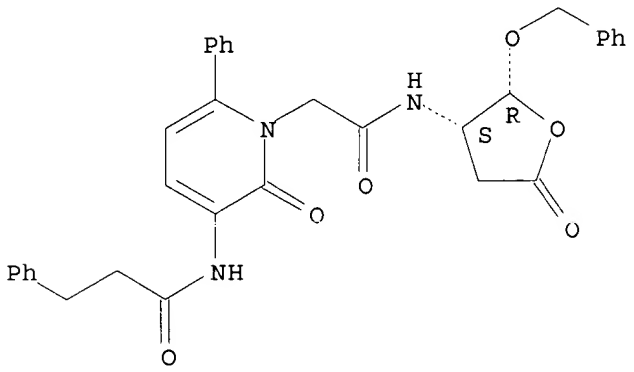
Absolute stereochemistry.



RN 175210-99-8 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-phenyl-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2R-cis)- (9CI) (CA

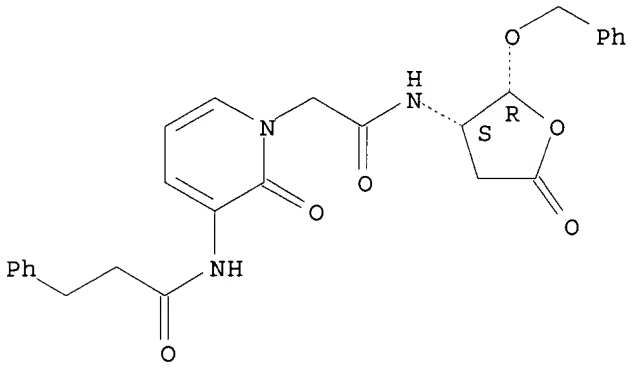
INDEX NAME)

Absolute stereochemistry.

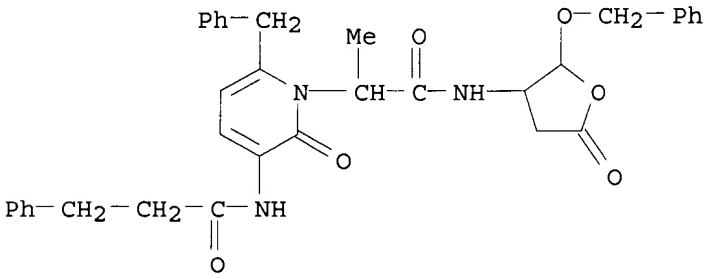


RN 175211-00-4 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



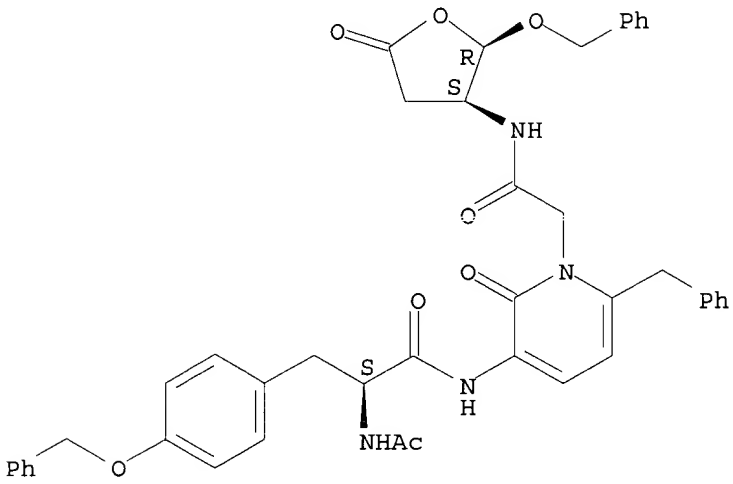
RN 175211-01-5 CAPLUS
CN 1(2H)-Pyridineacetamide, .alpha.-methyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-(phenylmethyl)-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]- (9CI) (CA INDEX NAME)



RN 175211-02-6 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-[[2-(acetylamino)-1-oxo-3-[4-(phenylmethoxy)phenyl]propyl]amino]-2-oxo-6-(phenylmethyl)-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, [2R-[2.alpha.,3.alpha.(S*)]]- (9CI)

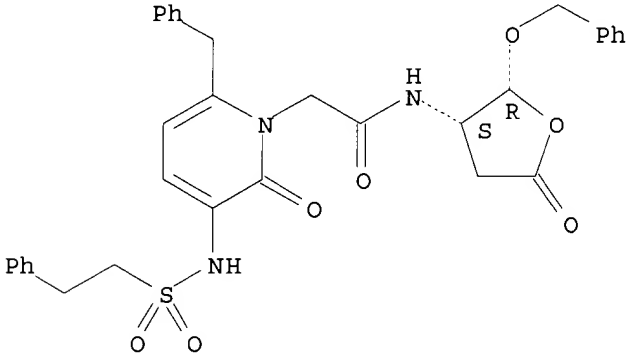
(CA INDEX NAME)

Absolute stereochemistry.



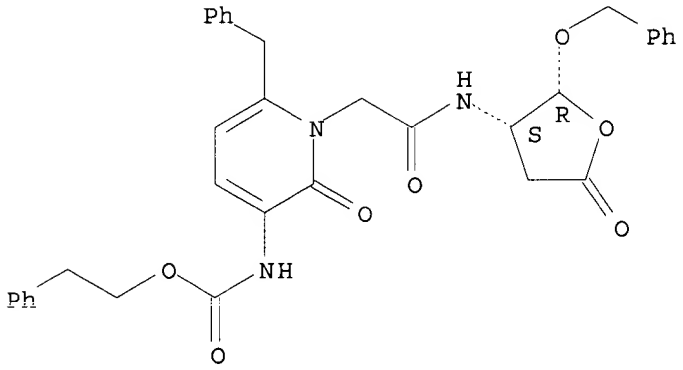
RN 175211-03-7 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[[(2-phenylethyl)sulfonyl]amino]-6-(phenylmethyl)-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



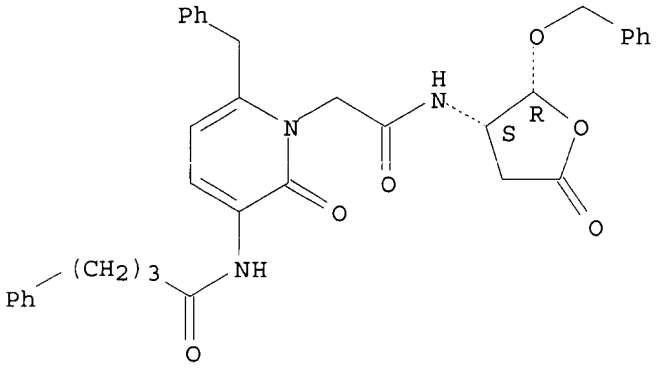
RN 175211-04-8 CAPLUS
CN Carbamic acid, [1,2-dihydro-2-oxo-1-[2-oxo-2-[[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]amino]ethyl]-6-(phenylmethyl)-3-pyridinyl]-, 2-phenylethyl ester, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



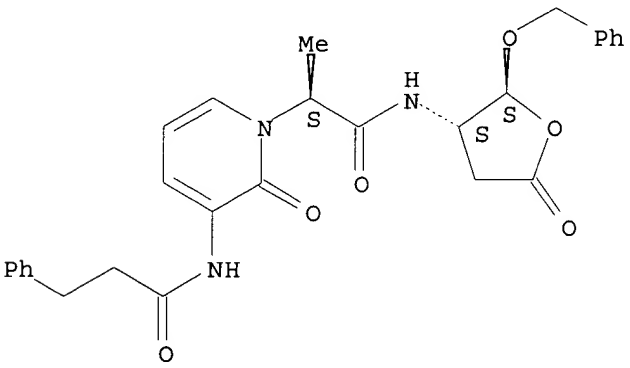
RN 175211-05-9 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-4-phenylbutyl)amino]-6-(phenylmethyl)-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175415-26-6 CAPLUS
CN 1(2H)-Pyridineacetamide, .alpha.-methyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, [2S-[2.alpha.,3.beta.(R*)]]- (9CI) (CA INDEX NAME)

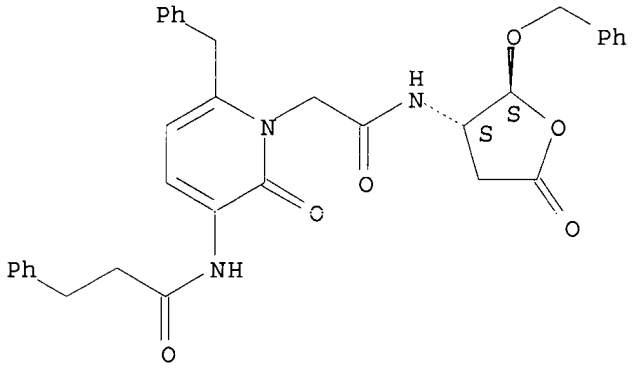
Absolute stereochemistry.



RN 175415-27-7 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-(phenylmethyl)-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-,

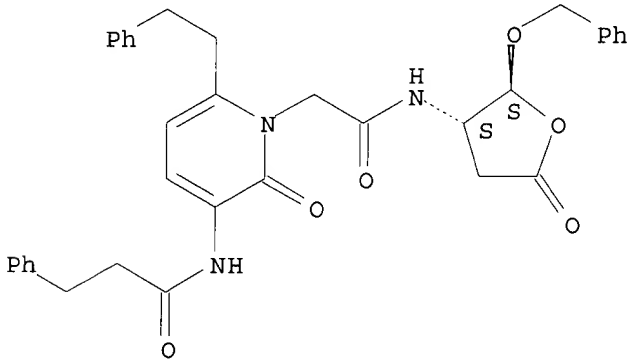
(2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



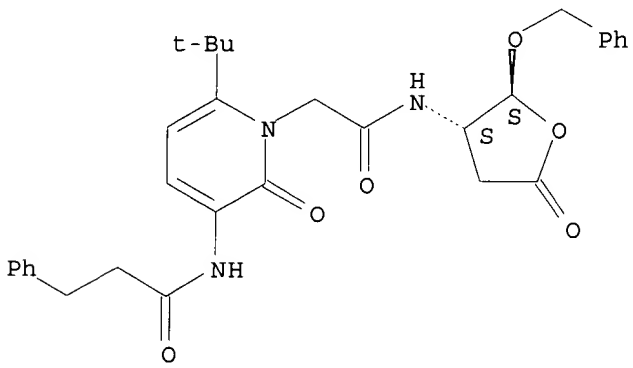
RN 175415-28-8 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-(2-phenylethyl)-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



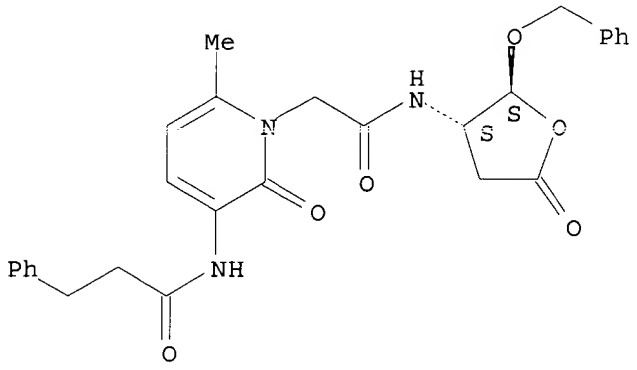
RN 175415-29-9 CAPLUS
CN 1(2H)-Pyridineacetamide, 6-(1,1-dimethylethyl)-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



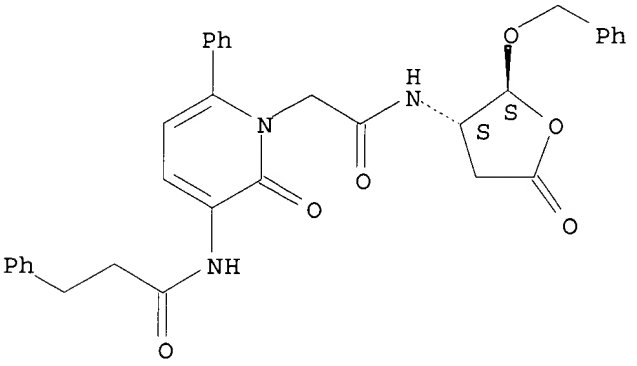
RN 175415-30-2 CAPLUS
CN 1(2H)-Pyridineacetamide, 6-methyl-2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



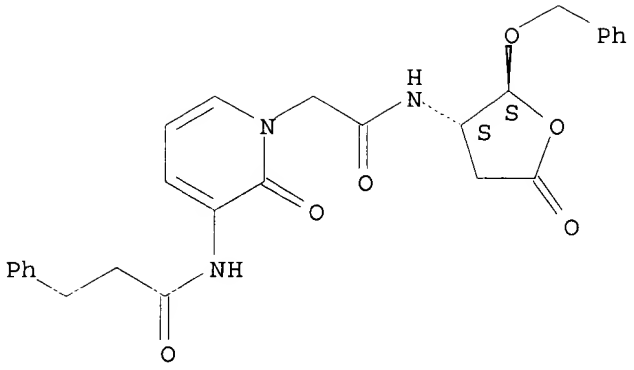
RN 175415-31-3 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-6-phenyl-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



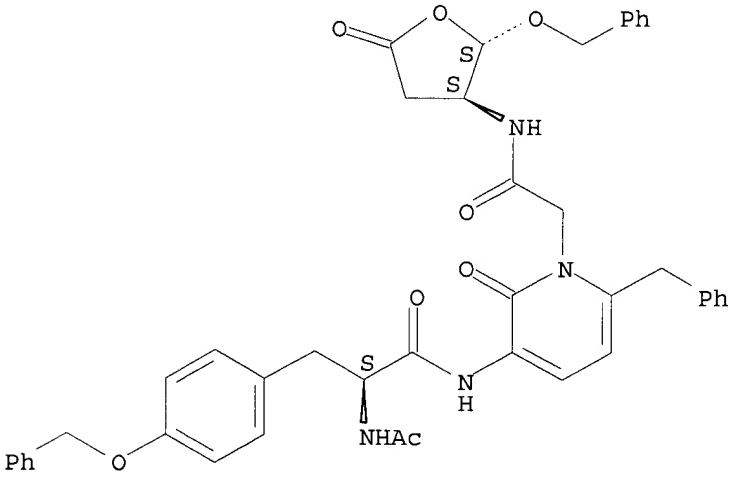
RN 175415-32-4 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-3-phenylpropyl)amino]-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



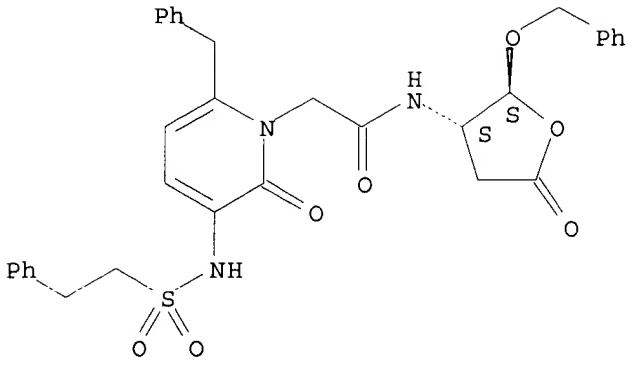
RN 175415-33-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-[[2-(acetylamino)-1-oxo-3-[4-(phenylmethoxy)phenyl]propyl]amino]-2-oxo-6-(phenylmethyl)-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, [2S-[2.alpha.,3.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



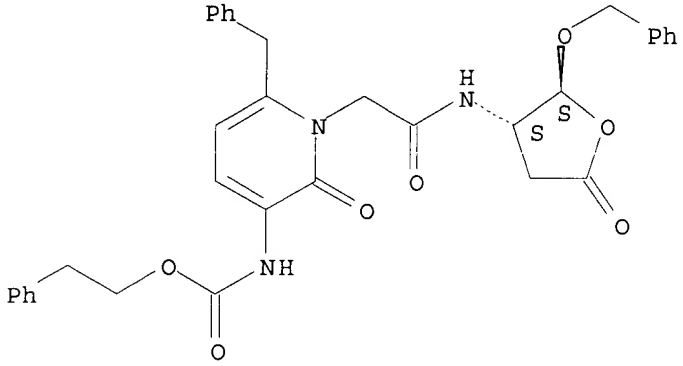
RN 175415-34-6 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[[(2-phenylethyl)sulfonyl]amino]-6-(phenylmethyl)-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



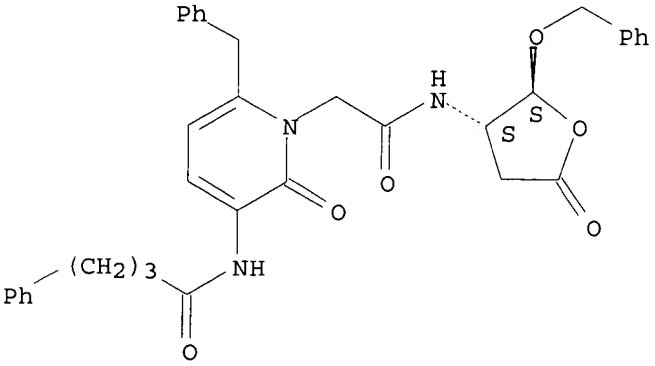
RN 175415-35-7 CAPLUS
CN Carbamic acid, [1,2-dihydro-2-oxo-1-[2-oxo-2-[[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]amino]ethyl]-6-(phenylmethyl)-3-pyridinyl]-, 2-phenylethyl ester, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175415-36-8 CAPLUS
CN 1(2H)-Pyridineacetamide, 2-oxo-3-[(1-oxo-4-phenylbutyl)amino]-6-(phenylmethyl)-N-[tetrahydro-5-oxo-2-(phenylmethoxy)-3-furanyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:170820 CAPLUS

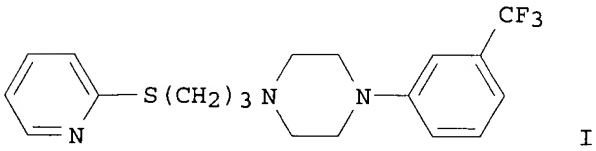
09/ 574,740

DOCUMENT NUMBER: 124:194333
TITLE: Preparation of heterocyclic dopamine D3 receptor ligands for treatment of central nervous disorders
INVENTOR(S): Hellendahl, Beate; Lansky, Annegret; Rendenbach-Mueller, Beatrice; Bach, Alfred; Unger, Liliane; Teschendorf, Hans-Juergen; Wicke, Carsten
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4425146	A1	19960118	DE 1994-4425146	19940715
CA 2195242	AA	19960201	CA 1995-2195242	19950714
WO 9602246	A1	19960201	WO 1995-EP2782	19950714
W: AU, BG, BR, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, RU, SI, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9531114	A1	19960216	AU 1995-31114	19950714
AU 704839	B2	19990506		
EP 771197	A1	19970507	EP 1995-926896	19950714
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1152870	A	19970625	CN 1995-194149	19950714
JP 10502658	T2	19980310	JP 1995-504701	19950714
BR 9508296	A	19980519	BR 1995-8296	19950714
HU 77608	A2	19980629	HU 1997-111	19950714
FI 9700148	A	19970114	FI 1997-148	19970114
NO 9700163	A	19970314	NO 1997-163	19970114
US 6090807	A	20000718	US 1997-765181	19970114

PRIORITY APPLN. INFO.: DE 1994-4425146 A 19940715
WO 1995-EP2782 W 19950714

OTHER SOURCE(S): MARPAT 124:194333
GI

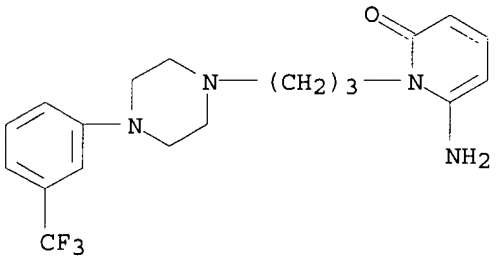


I

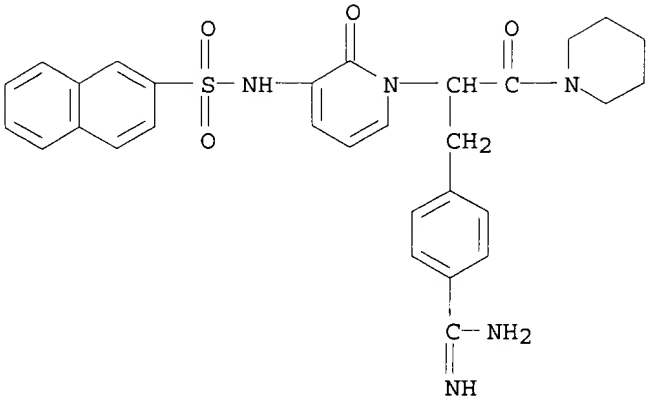
AB Heterocyclic compds. Het-A-B-Ar [Het = (substituted) N-, O-, and/or S-contg. 5- or 6-membered heterocycle, purine, benzofuran; A = C1-18 alkylene which may contain .gtoreq.1 O, S, or N atom or a double or triple bond; B = piperazinediyl, piperidinediyl, tetrahydropyridinediyl; Ar = (substituted) Ph, pyridyl, pyrimidinyl, triazinyl] have a high affinity for dopamine D3 receptors and are useful in treatment of central nervous disorders which respond to dopamine D3 receptor agonists and antagonists, e.g. schizophrenia, depression, neurosis, and psychosis, as well as of sleep disturbances and nausea and as antihistaminics. Thus, 1-(3-trifluoromethylphenyl)piperazine was condensed with 1-bromo-3-chloropropane and then with 2-mercaptopyridine to form 2-[3-[4-(3-trifluoromethylphenyl)piperazinyl]propylthio]pyridine (I). Tablets were prepd. contg. I 40, corn starch 120, gelatin 13.5, lactose 45, Aerosil 2.25, and potato starch (as 6% paste) 6.75 mg. The compds. showed good selectivity for the D3 receptor relative to the D2 receptor.

09/ 574,740

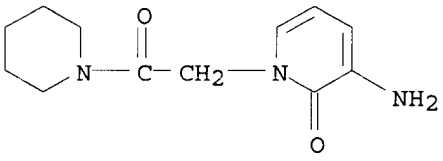
IT **174528-80-4**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of heterocyclic dopamine D3 receptor ligands for treatment of central nervous disorders)
RN 174528-80-4 CAPLUS
CN 2(1H)-Pyridinone, 6-amino-1-[3-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:807059 CAPLUS
DOCUMENT NUMBER: 124:56594
TITLE: Design, synthesis and biological activity of novel rigid amidino-phenylalanine derivatives as inhibitors of thrombin
AUTHOR(S): Mack, Helmut; Pfeiffer, Thomas; Hornberger, Wilfried; Boehm, Hans-Joachim; Hoeffken, Hans Wolfgang
CORPORATE SOURCE: Main Laboratory, Pharmaceuticals Research, BASF AG, Ludwigshafen, D-67056, Germany
SOURCE: J. Enzyme Inhib. (1995), 9(1), 73-86
CODEN: ENINEG; ISSN: 8755-5093
DOCUMENT TYPE: Journal
LANGUAGE: English
AB (3S)-(Naphthalene-2-sulfonylamino)-1-[2R-(4-amidinophenyl)-1-piperidinocarbonylethyl]-2-pyrrolidinone is a potent inhibitor of thrombin with an IC50 value by 112 times lower than that of NAPAP (racemate). The selectivity vs. trypsin can be improved by incorporation of substituents on the naphthyl ring. The mode of binding of the compd. was detd. by X-ray crystallog.
IT **171559-80-1**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); BIOL (Biological study)
(design, synthesis and biol. activity of novel rigid amidino-phenylalanine derivs. as inhibitors of thrombin)
RN 171559-80-1 CAPLUS
CN Piperidine, 1-[3-[4-(aminoiminomethyl)phenyl]-2-[3-[(2-naphthalenylsulfonyl)amino]-2-oxo-1(2H)-pyridinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

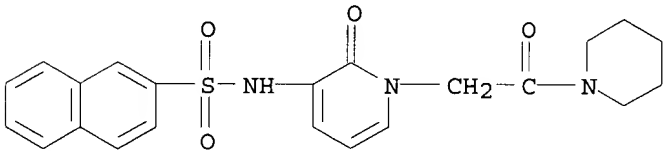


IT **171559-76-5P 171559-77-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(design, synthesis and biol. activity of novel rigid amidino-phenylalanine derivs. as inhibitors of thrombin)
RN 171559-76-5 CAPLUS
CN Piperidine, 1-[(3-amino-2-oxo-1(2H)-pyridinyl)acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)



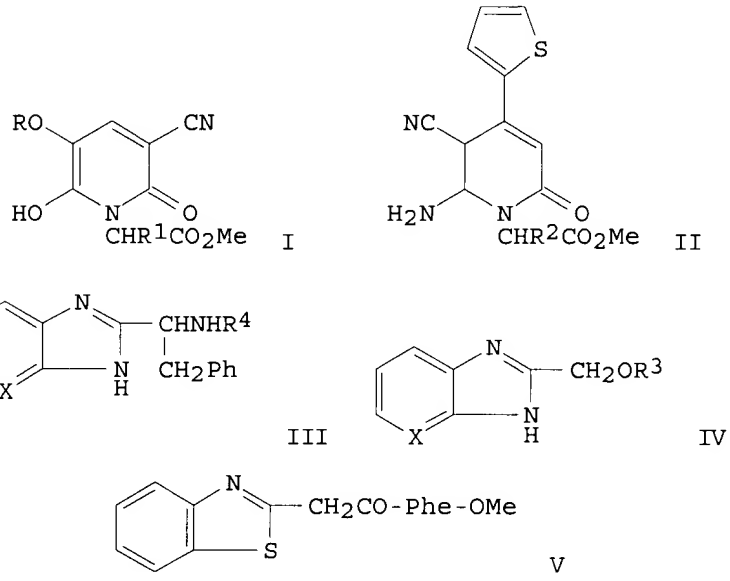
O HCl

RN 171559-77-6 CAPLUS
CN Piperidine, 1-[[3-[(2-naphthalenylsulfonyl)amino]-2-oxo-1(2H)-pyridinyl]acetyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:650416 CAPLUS
DOCUMENT NUMBER: 119:250416
TITLE: Amino acid derivatives in organic synthesis: new synthetic routes to heterocycles containing amino acid residues
AUTHOR(S): Nawwar, Galal A. M.; Shalabi, Ahmed M.; Ahmed, Sayed A. H.
CORPORATE SOURCE: Pestic. Lab., Natl. Res. Cent., Cairo, Egypt
SOURCE: J. Chem. Res., Synop. (1993), (7), 258-9
CODEN: JRPSDC; ISSN: 0308-2342

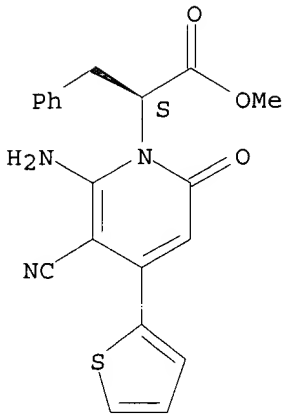
L4 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:650416 CAPLUS
DOCUMENT NUMBER: 119:250416
TITLE: Amino acid derivatives in organic synthesis: new synthetic routes to heterocycles containing amino acid residues
AUTHOR(S): Nawwar, Galal A. M.; Shalabi, Ahmed M.; Ahmed, Sayed A. H.
CORPORATE SOURCE: Pestic. Lab., Natl. Res. Cent., Cairo, Egypt
SOURCE: J. Chem. Res., Synop. (1993), (7), 258-9
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:250416
GI



AB Cyclocondensation of (methoxymethylene)malononitrile with (phenoxyacetyl)amino acid esters ROCH2CONHCHR1CO2Me (R = 2,4-Cl2C6H3, 2,4,6-Cl3C6H2, R1 = Ch2Ph, H) gave pyridones I. Similarly, cyclocondensation of 2-(2-thienyl)acryloylamino acid esters with malononitrile gave thienylpyridones II [R2 = H, CH2Ph, 3,5,4-I2(HO)C6H2CH2]. Cyclocondensation of R3OCH2CO-Phe-OMe (R3 = 4-ClC6H4) with o-phenylenediamine or 2,3-diaminopyridine gave fused imidazoles III (R4 = COCH2OR3, X = CH, N) and IV. Acid hydrolysis of III (R4 = COCH2OR3) gave the amino derivs. III (R4 = H). Finally, cyclocondensation of NCCH2CO-Phe-OMe with 2-aminothiophenol gave benzothiazole V.

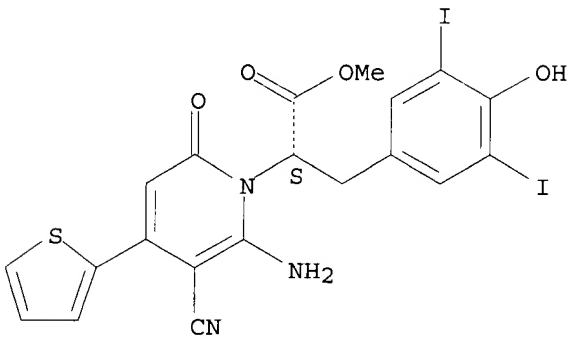
IT **151266-60-3P 151266-62-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 151266-60-3 CAPLUS
CN 1(2H)-Pyridineacetic acid, 6-amino-5-cyano-2-oxo-.alpha.-(phenylmethyl)-4-(2-thienyl)-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

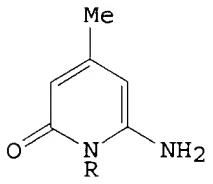


RN 151266-62-5 CAPLUS
CN 1(2H)-Pyridineacetic acid, 6-amino-5-cyano-.alpha.-[(4-hydroxy-3,5-diiodophenyl)methyl]-2-oxo-4-(2-thienyl)-, methyl ester, (S)- (9CI) (CA INDEX NAME)

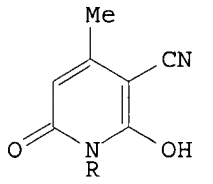
Absolute stereochemistry.



L4 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:539031 CAPLUS
DOCUMENT NUMBER: 119:139031
TITLE: Synthesis of amides of 3-methyl-4-cyano-3-butenoic acid and their cyclization into 6-amino-2-pyridones
AUTHOR(S): Tsizin, Yu. S.; Kuklenkova, O. B.; Lopatin, B. V.; Bebris, N. K.
CORPORATE SOURCE: Inst. Med. Parazitol. Trop. Med. im Martinsovskogo, Moscow, 119435, Russia
SOURCE: Khim. Geterotsikl. Soedin. (1992), (12), 1636-40
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



I



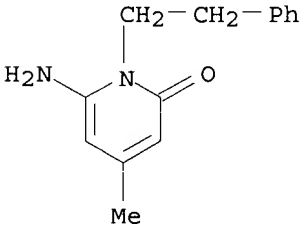
II

AB Two groups of amides, NCCH:CMeCH2CONHR and EtO2CC(CN):CMeCH2CONHR (R = H, Ph, 4-ClC6H4, PhCH2, PhCH2CH2), were obtained as mixts. of E, Z isomers by Knoevenagel condensation of MeCOCH2CONHR with NCCH2CO2H. Treating the two amides with base gave pyridinones I and II, resp.

IT **149431-33-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 149431-33-4 CAPLUS

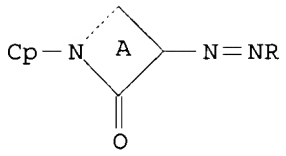
CN 2(1H)-Pyridinone, 6-amino-4-methyl-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



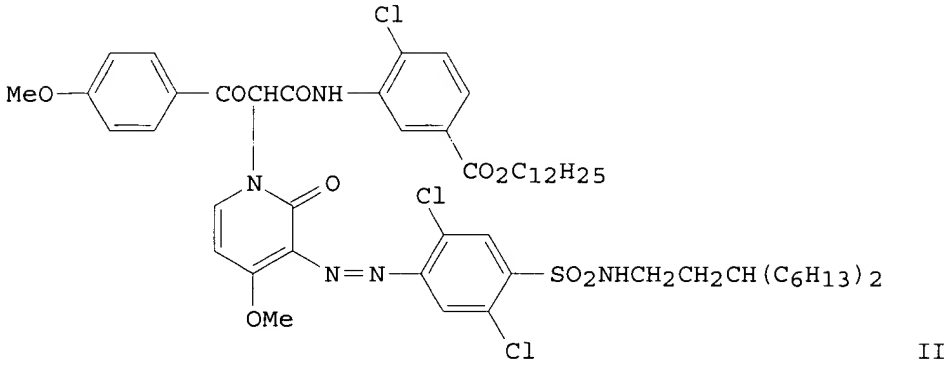
L4 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:643904 CAPLUS
DOCUMENT NUMBER: 115:243904
TITLE: Silver halide color photographic material containing coupler with color-formable coupling-off group
INVENTOR(S): Uchida, Taku
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03062030	A2	19910318	JP 1989-198661	19890731

GI



I



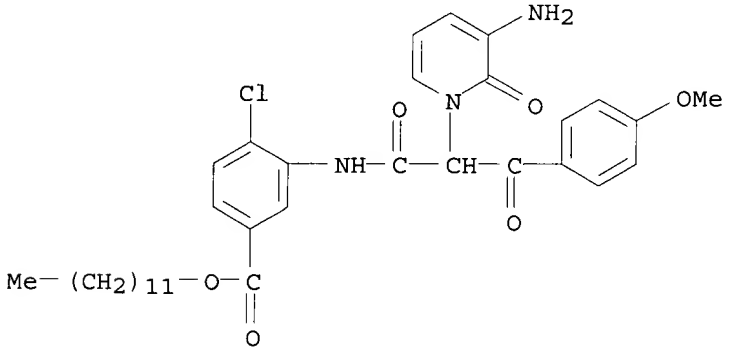
II

AB A photog. material contains .gtoreq.1 coupler of I (Cp = coupling moiety; A = 6-membered heterocyclic group; R = aryl, alkyl, heterocyclic group). Thus, in prepg. color film, II was added to Ag(Br,I) emulsion. The film gave high d. images with low fog.

IT **137350-48-2P**
RL: RCT (Reactant); PREP (Preparation)
(prepn. and diazo-coupling of)

RN 137350-48-2 CAPLUS

CN Benzoic acid, 3-[[2-(3-amino-2-oxo-1(2H)-pyridinyl)-3-(4-methoxyphenyl)-1,3-dioxopropyl]amino]-4-chloro-, dodecyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1983:53642 CAPLUS

DOCUMENT NUMBER: 98:53642

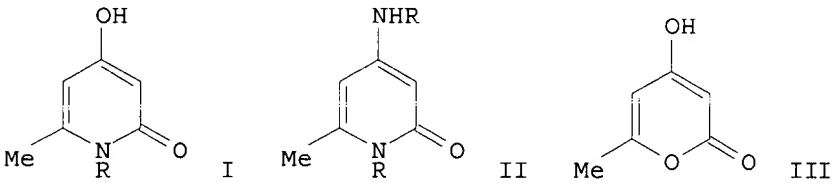
TITLE: Reaction of 4-hydroxy-6-methyl-2-pyrone with primary amines: synthesis of N-substituted 2-pyridinones and hexanamide intermediates

AUTHOR(S): Castillo, Simone; Ouadahi, Hamid; Herault, Valentin

CORPORATE SOURCE: Lab. Pyrones Pyridones, Univ. Paul Sabatier, Toulouse, 31062, Fr.

09/ 574,740

SOURCE: Bull. Soc. Chim. Fr. (1982), (7-8, Pt. 2), 257-61
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 98:53642
GI

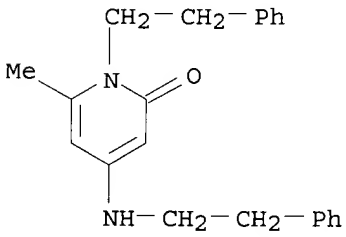


AB Pyridones I and II (R = Me, Et, Ph, PhCH2, PhCH2CH2) were obtained by the reaction of pyrone III with RNH2; the formation of MeC(NHR):CHCOCH2CONHR as intermediates is discussed. III was heated with excess MeNH2 to give I (R = Me), while an equimolar mixt. of III and MeNH2 gave MeC(NHMe):CHCOCH2CONHMe. I and II are useful as antitumor agents (no data).

IT **84259-94-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 84259-94-9 CAPLUS

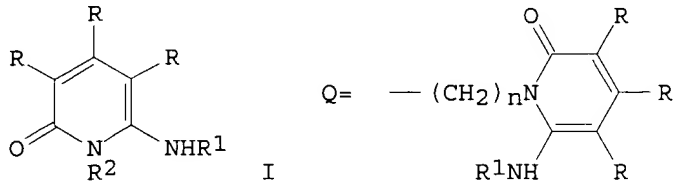
CN 2(1H)-Pyridinone, 6-methyl-1-(2-phenylethyl)-4-[(2-phenylethyl)amino]-(9CI) (CA INDEX NAME)



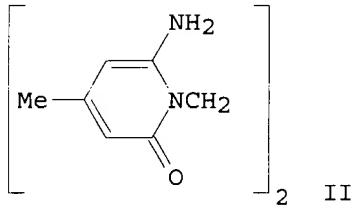
L4 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:83955 CAPLUS
DOCUMENT NUMBER: 94:83955
TITLE: Pyridones
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55104266	A2	19800809	JP 1979-11140	19790202

GI



I



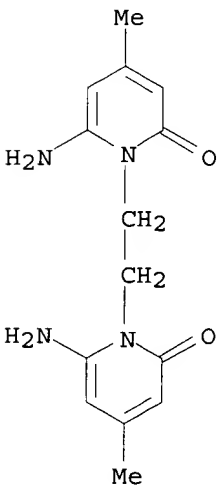
II

AB Pyridones I [one of the 3 R's is alkyl, other 2 R's are H; R1 = H, alkyl, piperidinoalkyl; R2 = alkyl, (substituted) Ph, Q; n = 1-3] and their salts were prepd. I are analgesics and antiinflammatory agents (0.15-0.6 g/day). Thus, refluxing 3 g NCCH:CMeCH2CO2Et with (CH2NH2)2 in diglyme 24 h gave 0.5 g II.

IT **72570-53-7P 76553-13-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

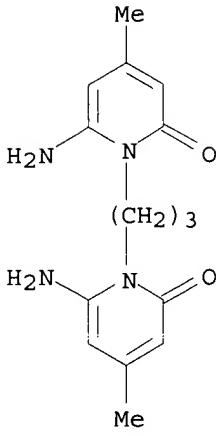
RN 72570-53-7 CAPLUS

CN 2(1H)-Pyridinone, 1,1'-(1,2-ethanediyl)bis[6-amino-4-methyl- (9CI) (CA INDEX NAME)

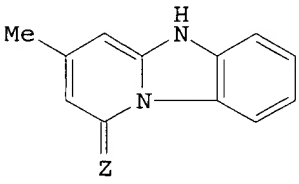


RN 76553-13-4 CAPLUS

CN 2(1H)-Pyridinone, 1,1'-(1,3-propanediyl)bis[6-amino-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:58685 CAPLUS
DOCUMENT NUMBER: 92:58685
TITLE: Studies on the syntheses of 2(1H)-pyridone derivatives. IV. Synthesis of condensed heterocyclic 2(1H)-pyridones
AUTHOR(S): Kubo, Kazuo; Ito, Noriki; Isomura, Yasuo; Sozu, Isao; Homma, Hiroshige; Murakami, Masuo
CORPORATE SOURCE: Cent. Res. Lab., Yamanouchi Pharm. Co., Ltd., Tokyo, Japan
SOURCE: Yakugaku Zasshi (1979), 99(9), 880-8
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI



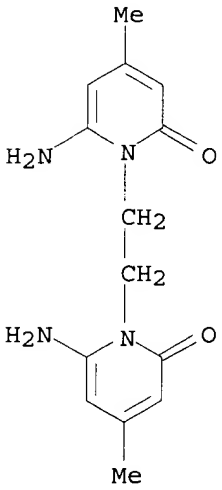
II

AB Condensation of NCCH:CRCHR1CO2Et [I; R, R1 = H, Me, Ph; RR1 = (CH2)3, (CH2)4] with diamine or aminothiol gave imidazopyridones and iminopyridobenzimidazole II (Z = NH) which was converted to the corresponding pyridone deriv. (II; Z = O) on hydrolysis. The predominant tautomeric forms of II are discussed on the basis of NMR and UV spectra. In the reaction of I with 2-aminoethanethiol or o-aminothiophenol, the thiazoline ring was formed by condensation between the cyano group of I and the amino and thiol groups of the 1,2-aminothiol, and the resulting thiazoline compd. was further converted into thiazolopyridone or oxopyridobenzothiazole, resp., by cyclization with an acid catalyst. Some of these pyridone derivs. showed antiinflammatory activity at 50 mg/kg in rats.

IT **72570-53-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

09/ 574,740

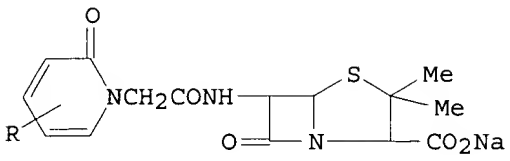
RN 72570-53-7 CAPLUS
CN 2(1H)-Pyridinone, 1,1'-(1,2-ethanediyl)bis[6-amino-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:106577 CAPLUS
DOCUMENT NUMBER: 86:106577
TITLE: 6-[(2-Oxo-1-pyridinyl)acylamino]penicillin derivatives
INVENTOR(S): Bambury, Ronald E.; Edwards, Michael L.; Miller, Laird F.
PATENT ASSIGNEE(S): Richarson-Merrell Inc., USA
SOURCE: U.S., 9 pp. Division of U.S. 3,956,287.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3994874	A	19761130	US 1976-656652	19760209
US 3956287	A	19760511	US 1973-413566	19731107
ZA 7406563	A	19751126	ZA 1974-6563	19741015
AU 7474391	A1	19760429	AU 1974-74391	19741016
CA 1041482	A1	19781031	CA 1974-211671	19741017
CH 613970	A	19791031	CH 1974-14569	19741030
BE 821916	A1	19750303	BE 1974-150270	19741106
NO 7403992	A	19750509	NO 1974-3992	19741106
NL 7414466	A	19750512	NL 1974-14466	19741106
FR 2249669	A1	19750530	FR 1974-36876	19741106
JP 50077388	A2	19750624	JP 1974-127237	19741106
DK 7405786	A	19750707	DK 1974-5789	19741106
GB 1438419	A	19760609	GB 1974-47921	19741106
ES 431690	A1	19770416	ES 1974-431690	19741106

PRIORITY APPLN. INFO.: US 1973-413566 19731107
GI



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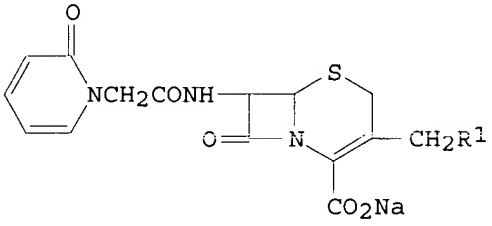


II

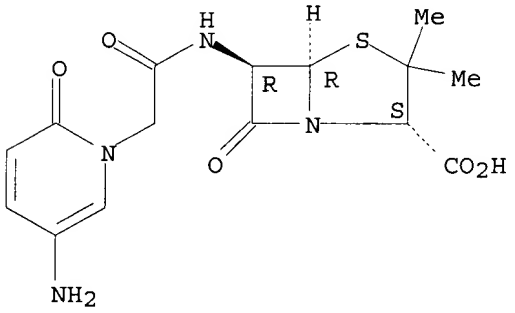
AB Penicillins I (R = H, 5-Cl, 3-OMe, 5-NH2) were prepd. by acylating 6-aminopenicillanic acid trimethylsilyl deriv. with pyridoneacetic acids prepd. by treating 2-pyridinols with BrCH2CO2Et in the presence of base. The cephalosporins II (R1 = OAc, 5-methyl-1,3,4-thiadiazol-2-ylthio) were similarly prepd.

IT **62040-82-8**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(bactericidal activity of)

RN 62040-82-8 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(5-amino-2-oxo-1(2H)-pyridinyl)acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

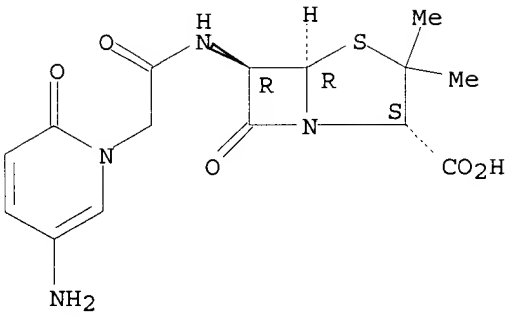


IT **56546-44-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 56546-44-2 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(5-amino-2-oxo-1(2H)-pyridinyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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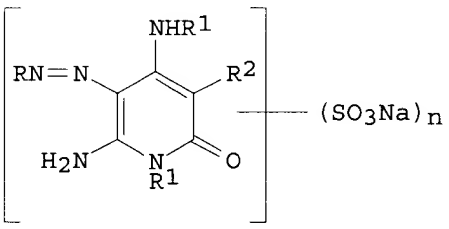
L4 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:510091 CAPLUS
DOCUMENT NUMBER: 85:110091
TITLE: Water-soluble azo dyes
INVENTOR(S): Dehnert, Johannes; Juenemann, Werner
PATENT ASSIGNEE(S): BASF A.-G., Ger.
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2500024	A1	19760708	DE 1975-2500024	19750102

GI



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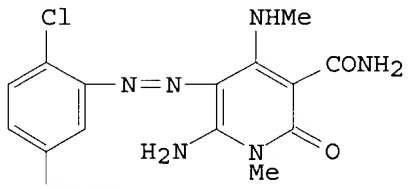
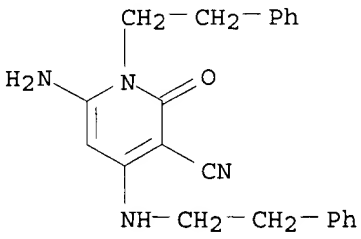


II

AB I [R = substituted phenyl, (phenylazo)phenyl; R1 = Me, phenethyl; R2 = CONH2, CN; n = 1 or 2], fast yellow to orange dyes for nylon 6 fibers, were prepd. For example, 3-amino-4-chlorobenzenesulfonic acid [98-36-2] was diazotized and coupled with 6-amino-3-carbamoyl-1-methyl-4-(methylamino)-2-pyridone [53499-96-0] to give yellow II [60270-70-4]. The prepn. of two other I is described.

IT **60270-69-1**
RL: RCT (Reactant)
(sulfonation of, in azo dye manuf.)

RN 60270-69-1 CAPLUS
CN 3-Pyridinecarbonitrile, 6-amino-1,2-dihydro-2-oxo-1-(2-phenylethyl)-4-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

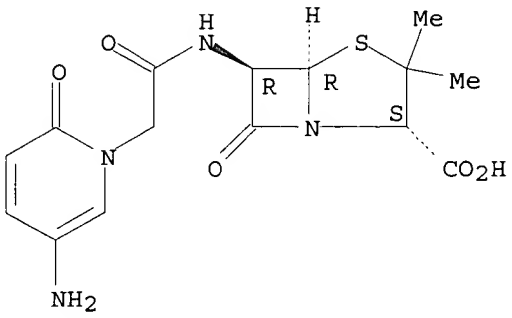


L4 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1975:497328 CAPLUS
DOCUMENT NUMBER: 83:97328
TITLE: 2-Oxo-1-pyridinylpenicillin and -cephalosporin derivatives
INVENTOR(S): Bambury, Ronald E.; Edwards, Michael Louis; Miller, Laird Foulis
PATENT ASSIGNEE(S): Richardson-Merrell Inc., USA
SOURCE: Ger. Offen., 28 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2451492	A1	19750515	DE 1974-2451492	19741030
US 3956287	A	19760511	US 1973-413566	19731107
ZA 7406563	A	19751126	ZA 1974-6563	19741015
AU 7474391	A1	19760429	AU 1974-74391	19741016
CA 1041482	A1	19781031	CA 1974-211671	19741017
CH 613970	A	19791031	CH 1974-14569	19741030
BE 821916	A1	19750303	BE 1974-150270	19741106
NO 7403992	A	19750509	NO 1974-3992	19741106
NL 7414466	A	19750512	NL 1974-14466	19741106
FR 2249669	A1	19750530	FR 1974-36876	19741106
JP 50077388	A2	19750624	JP 1974-127237	19741106
DK 7405786	A	19750707	DK 1974-5789	19741106
GB 1438419	A	19760609	GB 1974-47921	19741106
ES 431690	A1	19770416	ES 1974-431690	19741106

PRIORITY APPLN. INFO.: US 1973-413566 19731107
GI For diagram(s), see printed CA Issue.
AB Penicillanic acid derivs. (I, R = H, R1 = H, Me; R = Cl, NH2, R1 = H) were obtained by treatment of 7-aminopenicillanic acid with 2-pyridone-1-acetic acids. Analogously obtained was cephalosporanic acid deriv. II (R = OAc). Addnl. obtained was II (R = 5-methyl-1,3,4-thiadiazol-2-ylthio) and II (R = pyridinium) isolated as its inner salt. I and II were effective bactericides.
IT **56546-44-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 56546-44-2 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(5-amino-2-oxo-1(2H)-pyridinyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L1 STRUCTURE UPLOADED
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L3 247 S L1 FUL

FILE 'CAPLUS' ENTERED AT 13:47:34 ON 08 JAN 2002
L4 35 S L3

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.97	296.66

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-21.68	-21.68

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